10/802,292

* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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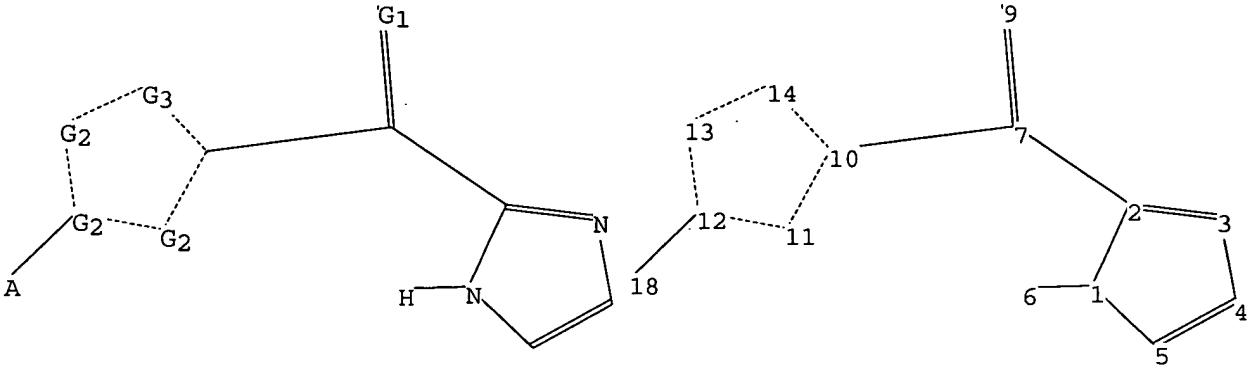


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6 7 9 18
ring nodes :
1 2 3 4 5 10 11 12 13 14
chain bonds :
1-6 2-7 7-9 7-10 12-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
1-2 1-5 1-6 2-3 2-7 3-4 4-5 7-9 7-10 10-11 10-14 11-12 12-13 12-18
13-14

G1:O,S,N

G2:C,N

G3:C,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 18:CLASS

L1 STRUCTURE UPLOADED

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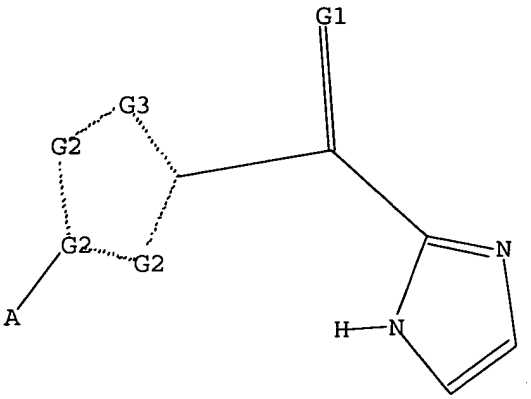
L1 HAS NO ANSWERS
L1 STR




G1 O,S,N

G2 C,N

G3 C,O,N

Structure attributes must be viewed using STN Express query preparation.

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L3            70 SEA SSS FUL L1

=> file ca


=> s l3
L4             5 L3

=> d ibib abs fhitstr hitrn 1-5
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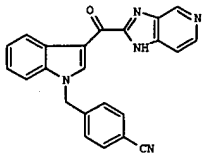
L4 ANSWER 1 OF 5 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138:238183 CA
TITLE: Preparation of 2-aroyl-imidazole compounds as antitumor agents
INVENTOR(S): Koya, Keizo; Sun, Lijun; Ono, Mitsunori; James, David; Ying, Wiewen; Chen, Shoujun
PATENT ASSIGNEE(S): SBR Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003022274 | A2 | 20030320 | WO 2002-US27514 | 20020828 |
| WO 2003022274 | A3 | 20030710 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2460345 | AA | 20030320 | CA 2002-2460345 | 20020828 |
| EP 1427413 | A2 | 20040616 | EP 2002-757458 | 20020828 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005504789 | T2 | 20050217 | JP 2003-526403 | 20020828 |
| US 2003096836 | A1 | 20030522 | US 2002-233371 | 20020829 |
| US 6743919 | B2 | 20040601 | | |
| US 2004186129 | A1 | 20040923 | US 2004-802292 | 20040316 |

PRIORITY APPLN. INFO.: US 2001-322105P P 20010913
WO 2002-US27514 W 20020828
US 2002-233371 A1 20020829

OTHER SOURCE(S): MARPAT 138:238183
GI



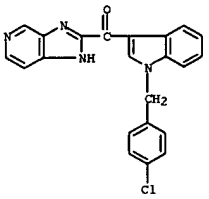
I

L4 ANSWER 1 OF 5 CA COPYRIGHT 2005 ACS on STN (Continued)

AB Disclosed is a compound represented by structural formula RC(=Z1)R1, wherein R1 is a substituted or unsubstituted 2-imidazolyl group which is optionally fused to a substituted or unsubstituted aryl group; R is heterocycle; Z1 is O, S, oxime, imine, were prepared and tested in vitro as antitumor agents for human cancer cell lines such as MDA435 (human breast cancer), MIP101 (human colon cancer), HL-60 (human myeloid leukemia), U937 (human leukemia), p388 (murine leukemia), DU-145 (human prostate cancer), MES-SA (human uterine sarcoma). Thus, aroyl-imidazole I was prepared and tested in vitro as antitumor agent. In vitro anti-cancer activity of title compds. against multi drug resistant cell lines MES-SA/DX5 and HL-60/TX1000 is reported. These compds. demonstrated significant anti-cancer activity (IC50: 0.04 - 0.5 μM) against MES-SA/DX5 and HL60/TX1000, while Taxol showed very weak anti-cancer activity (IC50: 5 μM) against the multi-drug resistant cell lines.

IT 501659-67-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroyl-imidazole compds. as antitumor agents)

RN 501659-67-2 CA
CN Methanone, [1-[(4-chlorophenyl)methyl]-1H-indol-3-yl]-1H-imidazo[4,5-c]pyridin-2-yl- (9CI) (CA INDEX NAME)



IT 501659-67-2P 501659-68-3P 501659-69-4P
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501659-76-3P 501659-77-4P 501659-78-5P
501659-79-6P 501659-80-9P 501659-81-0P
501659-82-1P 501659-83-2P 501659-84-3P
501659-85-4P 501659-86-5P 501659-87-6P
501659-88-7P 501659-89-8P 501659-90-1P
501659-91-2P 501659-92-3P 501659-93-4P
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501660-04-4P 501660-05-5P 501660-06-6P
501660-07-7P 501660-08-8P 501660-09-9P
501660-10-2P 501660-11-3P 501660-12-4P

L4 ANSWER 1 OF 5 CA COPYRIGHT 2005 ACS on STN (Continued)

501660-13-5P 501660-14-6P 501660-16-8P
501660-18-0P 501660-19-1P 501660-20-4P
501660-21-5P 501660-22-6P 501660-23-7P
501660-24-8P 501660-25-9P 501660-26-0P
501660-30-6P 501660-31-7P 501660-33-9P
501660-57-7P 501660-58-8P 501660-59-9P
501660-60-2P 501660-61-3P 501660-62-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aroyl-imidazole compds. as antitumor agents)

IT 501660-55-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aroyl-imidazole compds. as antitumor agents)

L4 ANSWER 2 OF 5 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 129:239062 CA
TITLE: Crystallographic, electrochemical, and pulsed EPR study of copper(II) polyimidazole complexes relevant to the metal sites of copper proteins
AUTHOR(S): Place, Christophe; Zimmermann, Jean-Luc; Mulliez, Etienne; Guillot, Genevieve; Bois, Claudette; Chottard, Jean-Claude
CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologies et Toxicologiques, Universite Rene Descartes, Paris, 75270, Fr.
SOURCE: Inorganic Chemistry (1998), 37(16), 4030-4039
CODEN: INOCAJ; ISSN: 0020-1669
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

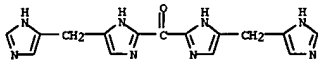
AB Cu(II) complexes of the following polyimidazole ligands were synthesized: bis(imidazol-2-yl)methane (BIM), bis(imidazol-2-yl) ketone (BIK), 4-(imidazol-4-ylmethyl)-2-(imidazol-2-ylmethyl)imidazole (TRIM), bis[4-(imidazol-4-ylmethyl)imidazol-2-yl]methane (TIM), and bis[4-(imidazol-4-ylmethyl)imidazol-2-yl] ketone (TIK). Their crystal structures were determined using x-ray diffraction. [Cu(ClO4)2(BIM)2], 1, belongs to the triclinic space group P.hivin.1 system, a 7.161(4), b 7.986(6), c 9.865(3) Å, α 76.73(5), β 71.18(3), γ 76.44(5)°, Z = 1, T = 291 K; R = 0.035, Rw = 0.036 for 1668 reflections; Cu-N = 1.998(3) and 2.001(2) Å, Cu-O = 2.574(4) Å, in a tetragonal geometry. [Cu(BIK)2](ClO4)2, 2, belongs to the monoclinic space group C2/c system, a 9.029(3), b 12.497(2), c 19.197(2) Å, β 94.59(2)°, Z = 4, T = 291 K; R = 0.056, Rw = 0.061 for 1052 reflections; Cu-N = 1.961(7) and 1.954(7) Å, in a distorted tetrahedral geometry. [CuCl(TRIM)(MeOH)]Cl, 6, belongs to the monoclinic space group P21/n system, a 14.192(5), b 13.832(5), c 7.913(3) Å, β 90.55(4)°, Z = 4, T = 291 K; R = 0.062, Rw = 0.057 for 1377 reflections; Cu-N = 1.987(7), 2.007(7) and 2.007(6) Å, Cu-O = 2.521(7) Å, Cu-Cl = 2.298(2) Å, in a square pyramidal geometry. [Cu(ClO4)(TIM)](ClO4), 4, belongs to the triclinic space group P.hivin.1 system, a 9.604(4), b 11.508(6), c 12.003(8) Å, = 58.79(4)°, β 94.59(2), γ 67.43(3)°, Z = 2, T = 291 K; R = 0.057, Rw = 0.062 for 2084 reflections; Cu-N = 1.985(7), 1.964(7),1.967(7), and 1.966(7) Å, Cu-O = 2.553(8) Å, in a distorted square pyramidal geometry. [CuCl(TIK)](ClO4), 7, belongs to the triclinic space group P.hivin.1 system, a 7.432(3), b 12.573(3), c 12.945(2) Å, α 114.94(4), β 92.46(2), γ 103.49(3)°, Z = 2, T = 291 K; R = 0.043, Rw = 0.049 for 2305 reflections; Cu-N = 1.984(5), 1.989(5), 2.012(5), and 1.979(5) Å, Cu-Cl = 2.796(2) Å, in a distorted bipyramidal geometry. In MeOH solution, the perchlorato complexes 1, 2, Cu(TRIM)(ClO4)2 (3), 4, and Cu(TIK)(ClO4)2 (5) exhibited redox potentials from -215 to +284 mV vs. normal H electrode together with a visible absorption from 604 to 728 nm. Electron spin-echo envelope modulation (ESEEM) spectroscopy data, particularly the nuclear quadrupole interaction (NQI) parameters e2qQ and η of the remote N (N1H),were analyzed and interpreted according to the model devised by Jiang et al. with reference to Cu(HIm)4(ClO4)2. The results are the following: (i) C2 substitution of the imidazole ring, next to the remote N (1, 2) decreases the asymmetry parameter η to .apprx.0.75 compared to 1.00 for Cu(HIm)4(ClO4)2; this effect of C2 substitution on the symmetry of the elec. field gradient at N1H appears similar for both the electron-donating methylene substituents (1) and the electron-withdrawing carbonyl group(2). (ii) The electron-donating or -withdrawing properties of the substituent are reflected by the variation of the e2qQ parameter, increasing from 1.43 to 1.75 MHz (1) or decreasing to 1.38 MHz (2), and by the v+ transition

L4 ANSWER 2 OF 5 CA COPYRIGHT 2005 ACS on STN (Continued)
shifting toward higher frequencies from 1.49 to 1.65 MHz (1, 3, 4) or to lower frequencies to 1.29 MHz (2, 5). The use of the η and ν+ parameters to assign the Nδ vs. Nε coordination of histidine to the metal and to detect modified histidine in Cu-binding proteins is discussed.

IT **143201-21-2**, Bis[4-(imidazol-4-ylmethyl)imidazol-2-yl] ketone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of)

RN 143201-21-2 CA

CN Methanone, bis[4-(1H-imidazol-4-ylmethyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



IT **143201-21-2**, Bis[4-(imidazol-4-ylmethyl)imidazol-2-yl] ketone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of)

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 117:142285 CA

TITLE: Iron complexes of a tetraimidazole ligand. On the way to model the lipoxygenase iron binding site

AUTHOR(S): Mulliez, E.; Guillot-Edelheit, G.; Leduc, P.; Chottard, J. C.; Bois, C.; Bousseksou, A.; Nitschke, W.

CORPORATE SOURCE: Lab. Chim. Biochim. Pharmacol. Toxicol., Univ. Rene Descartes, Paris, 75270, Fr.

SOURCE: New Journal of Chemistry (1992), 16(4), 435-7
CODEN: NJCHE5; ISSN: 0398-9836

DOCUMENT TYPE: Journal

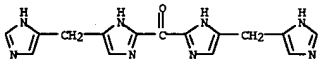
LANGUAGE: English

AB [FeCl(TIM)]Cl (TIM = bis[4-(imidazol-4'-ylmethyl)imidazol-2-yl]methane) is described. In the solid state, the high spin Fe(II) is pentacoordinated within a distorted trigonal bipyramid (Moessbauer, x-ray crystal structure). In MeOH solution, the coordinated Cl- is displaced and the complex becomes hexacoordinated with solvent mols. as auxiliary ligands (Moessbauer, 1H NMR). The Fe redox potential of this complex together with the EPR characteristics of the Fe(III) form, present close analogies with those of the purple form of L-1 and Fe(III) form of L-2a soybean lipoxygenases.

IT **143201-21-2DP**, iron 1-methylimidazole complex
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 143201-21-2 CA

CN Methanone, bis[4-(1H-imidazol-4-ylmethyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



IT **143201-21-2DP**, iron 1-methylimidazole complex **143201-21-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L4 ANSWER 4 OF 5 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 112:77188 CA

TITLE: 2-(Substituted carbonyl)imidazo[4,5-c]quinolines with benzodiazepine receptor affinity, and their pharmaceutical compositions and use as psychostimulants

INVENTOR(S): Takada, Susumu; Fujishita, Toshio; Sasatani, Takashi; Matsushita, Akira; Eigyo, Masami

PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 83 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

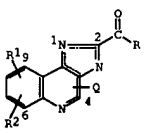
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 329073 | A2 | 19890823 | EP 1989-102499 | 19890214 |
| EP 329073 | A3 | 19910313 | | |
| EP 329073 | B1 | 19941228 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| CA 1335996 | A1 | 19950620 | CA 1989-590159 | 19890203 |
| JP 01308280 | A2 | 19891212 | JP 1989-33488 | 19890213 |
| JP 07116186 | B4 | 19951213 | | |
| AU 8929934 | A1 | 19890817 | AU 1989-29934 | 19890214 |
| AU 615260 | B2 | 19910926 | | |
| US 4940714 | A | 19900710 | US 1989-309868 | 19890214 |
| ES 2068841 | T3 | 19950501 | ES 1989-102499 | 19890214 |
| DK 8900698 | A | 19890817 | DK 1989-698 | 19890215 |
| KR 9705301 | B1 | 19970415 | KR 1989-1792 | 19890216 |
| PRIORITY APPLN. INFO.: | | | JP 1988-33270 A | 19880216 |

OTHER SOURCE(S): CASREACT 112:77188; MARPAT 112:77188

GI



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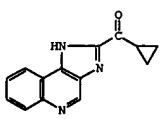


II

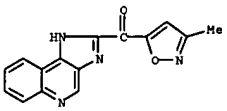
AB Imidazoquinolines I [R = H, OH, alkoxy, OPh, (un)substituted alkyl, cycloalkyl, alkenyl, amino, Ph, heterocyclyl; Q = H, alkyl, CH2Ph, CHPh2, CPh3, acyl, alkylsulfonyl, arylsulfonyl, all located on ring N; R1, R2 = H, alkyl, alkoxy, halo; dotted lines = 3 double bonds, specifically 2(3),3a(9b),4(5); or 1(9b),2(3),3a(4); or 1(2),3a(9b), 4(5)], useful for treatment of depression, convulsion, anxiety, amnesia, senile dementia, and cerebral disorders, were prepared Thus, 3-trityl-3H-imidazo[4,5-c]quinoline (preparation given) was lithiated by BuLi in THF, treated with cyclopropanecarbonyl chloride, and deprotected with CF3CO2H to give 2-cyclopropylcarbonyl-1H-imidazo[4,5-c]quinoline (II). The Ki of II for inhibition of specific binding of [3H]-diazepam to rat cerebral cortex benzodiazepine receptors was 0.357 nM. Various I either antagonized or potentiated pentylenetetrazole-induced convulsions in mice.

L4 ANSWER 4 OF 5 CA COPYRIGHT 2005 ACS on STN (Continued)

IT **125027-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as psychostimulant)

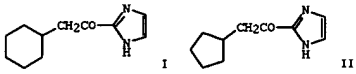
RN 125027-94-3 CA

CN Methanone, 1H-imidazo[4,5-c]quinolin-2-yl(3-methyl-5-isoxazolyl)- (9CI) (CA INDEX NAME)



IT **125027-94-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as psychostimulant)

L4 ANSWER 5 OF 5 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 90:103032 CA
TITLE: Photochemical reactions. 99. Photochemistry of N-acylimidazoles. IV. Structural factors leading to Norrish type II elimination and to cyclobutanol formation in the photolysis of acylimidazoles
AUTHOR(S): Iwasaki, Shigeo
CORPORATE SOURCE: Org.-Chem. Lab., ETH, Zurich, Switz.
SOURCE: Helvetica Chimica Acta (1978), 61(8), 2831-42
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:103032
GI



I

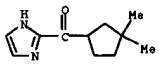


II

AB In the title photolysis, the best conformation for Type II cleavage is one in which the p-orbitals of the intermediate biradical are parallel to the C-2'-C-3' bond; a biradical intermediate with unfavorable conformation for cleavage can yield a cyclobutanol derivative E.g., photolysis of I gave cyclobutanol and fragmentation products in comparable yields. On the other hand, irradiation of II gave only elimination products.

IT **69393-28-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and photolysis of)

RN 69393-28-8 CA
CN Methanone, (3,3-dimethylcyclopentyl)-1H-imidazol-2-yl- (9CI) (CA INDEX NAME)




IT **69393-28-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and photolysis of)

10/802,292

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=> file marpat


=> s l1 full
L5          155 SEA SSS FUL L1

=> s l5/com
L6          153 L5/COM

=> s l6 and pharm?
         29361 PHARM?
L7           95 L6 AND PHARM?

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L7 ANSWER 1 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:261539 MARPAT
TITLE: Preparation of pyrrole-containing heterocyclic compounds as inhibitors of c-Met
INVENTOR(S): Aronov, Alex; Bandarage, Upul K.; Lauffer, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA; Li, Pan; Tomlinson, Ronald C.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005016920 | A1 | 20050224 | WO 2004-US26749 | 20040816 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005101650 | A1 | 20050512 | US 2004-919774 | 20040816 |
| PRIORITY APPLN. INFO.: | | | US 2003-495535P | 20030815 |

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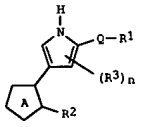
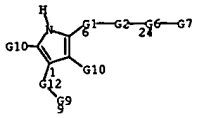


I

AB The title compds. I [Q is an alkylidene chain wherein one methylene unit of Q is replaced by CO, O, etc.; R1 = H, optionally substituted ring, etc.; R2 = optionally substituted 6-membered aryl ring having 0 - 5 nitrogens; n = 0 - 2; ring A = imidazole ring, etc.; R3 = CN, etc.] are prepared Thus, 4-[5-(2,3-difluorophenyl)isoxazol-4-yl]-1H-pyrrole-2-carboxylic acid (S-tetrahydrofuran-2-ylmethyl)amide was prepared in a multistep process from 1-(1-benzenesulfonyl-1H-pyrrol-3-yl)ethanone. In an in vitro test for the inhibition of c-Met kinase, compds. of this invention showed Ki values of 1 to > 10 μM.

MSTR 1

L7 ANSWER 1 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = C(O)
G7 = 131

G10 = CN
MPL: claim 1
NTE: substitution is restricted
NTE: additional derivatization also disclosed
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:198081 MARPAT
TITLE: Preparation of (hetero)arylcarboxamides and related compounds as inhibitors of immune cell activation.
INVENTOR(S): Xie, Yu; Holmqvist, Mats; Mahiou, Jerome; Ono, Mitsonori; Sun, Lijun; Chen, Shoujun; Zhang, Shihie; Jiang, Jun; Chinmmanamada, Dinesh
PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005009539 | A2 | 20050203 | WO 2004-US23895 | 20040722 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005107436 | A1 | 20050519 | US 2004-897681 | 20040722 |
| PRIORITY APPLN. INFO.: | | | US 2003-489711P | 20030723 |

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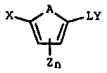
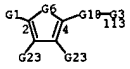


I

AB A method of inhibiting immune cell activation comprises administration of title compds. [I; X = (substituted) Ph, triazolyl, pyridyl, indolidinyl; Y = (substituted) amino, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; A = O, S, SO, SO2, NH, NZ, CH:CH, CH:N, CZ:N, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, etc.; L = NRCH2, CO, NRCO, CS, NRCS, etc.; R = H, alkyl, Ac, Boc, Z; n = 0-4], were prepared Thus, 4'-amino-2,5-bistrifluoromethylbiphenyl (preparation given) and 4-methyl-1,2,3-thiadiazole-5-carboxylic acid were stirred 24 h with EDC and DMAP in CH2Cl2 to give 85% 4-methyl-1,2,3-thiadiazole-5-carboxylic acid (2',5'-bistrifluoromethylbiphen-4-yl)amide. The latter inhibited IL-2 production in PHA-activated Jurkat cells with IC50 <100 nM.

MSTR 1

L7 ANSWER 2 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



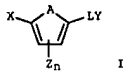
G3 = imidazolyl
G6 = O
G18 = 197

G22 = O
G23 = CONH2 (SO)
MPL: claim 1
NTE: or pharmaceutically acceptable salts, solvates, clathrates or prodrugs

L7 ANSWER 3 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:197887 MARPAT
TITLE: Method for modulating calcium ion release-activated calcium ion channels using (hetero)arenecarboxamides and preparation thereof.
INVENTOR(S): Xie, Yu; Holmqvist, Mats; Mahiou, Jerome; Ono, Mitsonori; Sun, Lijun; Chen, Shoujun; Zhang, Shihie; Jiang, Jun; Chinmmanamada, Dinesh; Fleig, Andrea
PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005009954 | A2 | 20050203 | WO 2004-US23797 | 20040722 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005107436 | A1 | 20050519 | US 2004-897681 | 20040722 |

PRIORITY APPLN. INFO.: US 2003-489711P 20030723
GI

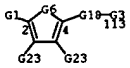


I

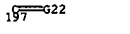
AB A method for modulating calcium ion release-activated calcium (CRAC) ion channels comprises administration of title compds. [I; X = (substituted) Ph, pyridyl, triazolyl, indolizinyl; Y = (substituted) amino, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; A = O, S, SO, SO2, NH, CH:CH, N:CH, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, haloalkyl, halo, cyano, NO2, haloalkoxy, amino, etc.; L = NRCH2, CO, NRCO, NRCS, etc.; R = H, alkyl, Ac, tert-butoxycarbonyl, benzyloxycarbonyl]. Thus, 2,5-bis(trifluoromethyl)bromobenzene, 4-nitrophenylboronic acid, trans-benzyl(chloro)bis(triphenylphosphine)palladium(II), K2CO3, and NMP were heated together at 110° for 2 days to give 99% 4'-nitro-2,5-bis(trifluoromethyl)biphenyl. This was stirred 2 days with SnCl2 in CH2Cl2/EtOH/H2O to give 85% 4'-amino-2,5-bis(trifluoromethyl)biphenyl. The latter was stirred with

L7 ANSWER 3 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
2,3-difluorobenzoyl chloride and Et3N in CH2Cl2 to give 54% N-(2',5'-bistrifluoromethylbiphen-4-yl)benzamide. This inhibited IL-2 prodn. in PHA-activated Jurkat cells with IC50 <100 nM.

MSTR 1



G3 = imidazolyl
G6 = O
G18 = 197



G22 = O
G23 = CONH2 (SO)
MPL: claim 1
NTE: or pharmaceutically acceptable salts, solvates, clathrates or prodrugs

L7 ANSWER 4 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:74614 MARPAT
TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters
INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.; Hadida Ruah, Sarah S.; Grootenhuis, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004111014 | A1 | 20041223 | WO 2004-US17673 | 20040604 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005059687 | A1 | 20050317 | US 2004-862909 | 20040607 |

PRIORITY APPLN. INFO.: US 2003-476698P 20030606
US 2003-500132P 20030904
US 2003-520181P 20031114
WO 2004-US17673 20040604
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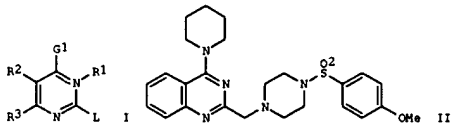


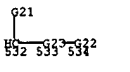
I II

AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.); R2, R3 = TR2, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)saturated monocyclic ring having 0-3 heteroatoms selected from N,

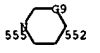
L7 ANSWER 4 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. RZ = halo, NO2, CN, etc.); L = G2BG3Ar1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms)] as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

MSTR 1A

G14 = imidazolyl
G15 = 532-5 534-143



G22 = C(O)
G23 = 555-532 552-534



MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: heteroatom functional group interruptions also claimed

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:56293 MARPAT
TITLE: P-38 inhibitors
INVENTOR(S): Dong, Qing; Pierre, Fabrice; Wang, Jianqiang
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004254236 | A1 | 20041216 | US 2004-860768 | 20040602 |
| WO 2005000298 | A2 | 20050106 | WO 2004-US17580 | 20040602 |
| WO 2005000298 | A3 | 20050303 | | |

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PRIORITY APPLN. INFO.: US 2003-475662P 20030603
US 2003-531541P 20031219

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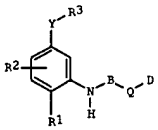
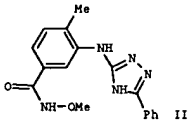
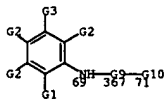

I


II

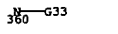
AB 5-Membered heterocycle-based p38 kinase inhibitors I (R1 = H, Me, halogen, OH, lower alkyl, lower cycloalkyl, lower alkynyl, CF3, OMe, OCF3, CN, NH2, alkylamine, alkoxy; R2 = alkyl, substituted alkyl, lower cycloalkyl, halo, CF3, OCF3, alkoxy, alkylamine, sulfoxy, sulfone, amide, and n = 0, 1, or 2; R3 = H, alkyl, alkoxy, substituted alkyl, cycloalkyl, heteroaryl, heterocycle; Y = a single bond, C(O)NH, NHC(O), NHC(O)NH, SO2NH, NHSO2, C(O); B = a 5-membered heterocyclic ring system optionally substituted; Q = a single bond, O, S, alkylamine, SO, SO2, C(O), CO(O), C(O)NH, CH2; D = a monocyclic or bicyclic ring system) are prepared for the treatment of inflammatory and autoimmune diseases. Thus, to 3-amino-N-methoxy-4-methylbenzamide in CH2Cl2 was added benzoyl isothiocyanate, and N, N-diisopropylethylamine followed by treatment with hydrazine monohydrate

L7 ANSWER 5 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
to give II. II had an IC50 of less than 50 nM against p38α.

MSTR 1



G11 = benzimidazolyl
G12 = C(O)
G32 = 360



G33 = alkyl<(1-20)> (SO)
G35 = 362-69 366-72 365-71

MPL: claim 1
NTE: or pharmaceutically acceptable derivatives

L7 ANSWER 6 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:49264 MARPAT
TITLE: aryl compounds and uses in modulating amyloid β
INVENTOR(S): Cheng, Soan; Comer, Daniel D.; Mao, Long; Balow, Guity P.; Pleynet, David
PATENT ASSIGNEE(S): Neurogenetics, Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004110350 | A2 | 20041223 | WO 2004-US15239 | 20040514 |
| WO 2004110350 | A3 | 20050303 | | |

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US 2005070538 A1 20050331 US 2004-846941 20040514
PRIORITY APPLN. INFO.: US 2003-470884P 20030514
US 2003-532260P 20031222

AB Aryl compds., compns., and kits are provided. Methods of modulating Aβ levels, and methods of treating a disease associated with aberrant Aβ levels, are also provided. Preparation of compds., e.g. (I, (A)La(B)Lb(C)Lc(D)) is included.

MSTR 1

G3 = C(O)
G6 = 238-7 236-6

G7 = C(O)
G18 = NH (SO)
G32 = 452-1 450-3

L7 ANSWER 6 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MPL: claim 27
NTE: and pharmaceutically acceptable salts and prodrugs
NTE: additional ring formation also claimed

L7 ANSWER 7 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:350179 MARPAT
TITLE: Preparation of azolidinedicarboxamides and related compounds as Factor Xa and Factor VIIa inhibitors
INVENTOR(S): Tsaklakidis, Christos; Dorsch, Dieter; Mederski, Werner; Cezanne, Bertram; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004087646 | A2 | 20041014 | WO 2004-EP2350 | 20040308 |
| WO 2004087646 | A3 | 20050106 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10315377 | A1 | 20041014 | DE 2003-10315377 | 20030403 |
| DE 10329295 | A1 | 20050203 | DE 2003-10329295 | 20030630 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10315377 | 20030403 |
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| | | | US 2003-483897P | 20030702 |

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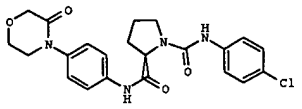
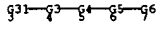


I

AB R1R2(TYX)EWCOGD {R1, R2 = H, O, halo, A, ethynyl, OR3, N(R3)2, NO2, cyano, N3, CO2R3, CON(R3)2, etc.; R3 = H, A, HC.tplbond.CCH2, MeC.tplbond.CCH2, CH2CH(OH)CH2OH, etc.; R4 = H, A; W = N, C, CR3; E = atoms to form a 3-7 membered (heterocyclic) ring optionally containing a double bond; D = mono- or dinuclear (substituted) (hetero)aryl; G = [C(R4)2]n, [C(R4)2]nNR3, [C(R4)2]nO, [C(R4)2]nS, etc.; n = 0-2; X = [C(R4)2]nCO[C(R4)2]n, [C(R4)2]n,NR3[C(R4)2]n, [C(R4)2]nNR3CO[C(R4)2]n, etc.; Y = alkylene, cycloalkylene, heterocyclylene, arenediyl; T = substituted mono- or dinuclear carbocyclyl, heterocyclyl; A = (fluoro-substituted) alkyl

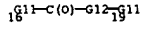
L7 ANSWER 7 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
optionally interrupted by O, S, CH:CH], were prepd. Thus, title compd. (I) [prepn. from 4-(4-aminophenyl)morpholin-3-one, Boc-D-proline, and 4-chlorophenyl isocyanate given] bound to Factor Xa receptors with IC50 = 1.8 + 10-8 M.

MSTR 1

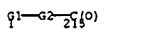


G1 = imidazolyl (SO G17)
G3 = 108-3 112-5

G4 = 16-4 19-6



G31 = 215

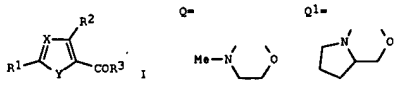


MPL: claim 1
NTE: also incorporates claim 26
NTE: and pharmaceutically acceptable derivatives, solvates, and salts
STE: and stereoisomers and mixtures

L7 ANSWER 8 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:140463 MARPAT
TITLE: Preparation of heterocyclic compounds as selective phosphodiesterase V inhibitors
INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Ser. No. 258,545.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004142930 | A1 | 20040722 | US 2003-699804 | 20031104 |
| JP 2002012587 | A2 | 20020115 | JP 2000-277652 | 20000913 |
| JP 3637961 | B2 | 20050413 | | |
| WO 2001083460 | A1 | 20011108 | WO 2001-JP2034 | 20010315 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003229089 | A1 | 20031211 | US 2002-258545 | 20021025 |
| PRIORITY APPLN. INFO.: | | | JP 2000-130371 | 20000428 |
| | | | JP 2000-277652 | 20000913 |
| | | | WO 2001-JP2034 | 20010315 |
| | | | US 2002-258545 | 20021025 |
| | | | JP 1999-261852 | 19990916 |

GI



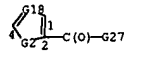
I

AB The title compds. (I) [X = CH, N; Y = NH, NR, S, O, CH:N, N:CH, N:N, CH:CHC(:R5)N, CH:C(R5), N:C(R7); R1 = each (un)substituted lower alkoxy, amino, heterocyclyl containing N atom(s), HO, or heterocyclyloxy containing N atom(s), cyano; R2 = lower alkylamino or lower alkoxy each optionally substituted by an (un)substituted aryl, lower alkoxy group substituted by an aromatic heterocyclic ring containing N atom(s), lower alkylamino group substituted by a (un)substituted heterocyclic ring, (un)substituted arylamino; R3 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkyl, lower alkoxy, lower cycloalkoxy, heterocyclyloxy containing N atom(s), or NH2; R4-R7 = each (un)substituted aryl, heterocyclyl containing N

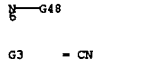
L7 ANSWER 8 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
atom(s), lower alkoxy, or NH2; R4, R5, R6 or R7 may combine with R3 to form a lactone ring Q or Q1; when X = N, Y = CH:N, or N:CH, R2 = an amino group monosubstituted by an (un)substituted arylmethyl, and R3 = (un)substituted lower alkyl, amino monosubstituted by an (un)substituted heterocyclyl-lower alkyl contg. N atom(s) in the ring, heterocyclylamino contg. N atom(s) in the ring, or (un)substituted lower cycloalkylamino, R1 = each (un)substituted lower alkoxy, amino, heterocyclyloxy contg. N atom(s) in the ring, or cyano group] or pharmacol. acceptable salts thereof are prepd. These compds. have excellent selective PDE V inhibitory activity and therefore, are useful as therapeutic or prophylactic drugs for treating various diseases due to functional disorders on cGMP-signaling, such as erectile dysfunction, pulmonary hypertension, and diabetic gastroparesis. Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF and etherified with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

MSTR 1

G1 = 4-17 1-172



G2 = 6

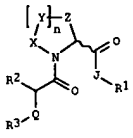


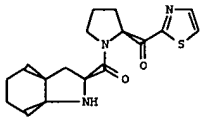
G3 = CN
G18 = CH
G27 = imidazolyl
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: or pharmacologically acceptable salts

L7 ANSWER 9 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:407113 MARPAT
TITLE: Preparation of 2-pyrrolidinecarboxylic acid derivatives and related compounds as novel inhibitors of dipeptidyl peptidase IV
INVENTOR(S): Belyakov, Sergei; Kalish, Vincent; Ferraris, Dana
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004041795 | A1 | 20040521 | WO 2003-US34388 | 20031030 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2002-422452P 20021030
GI

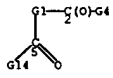

I


II

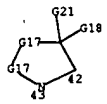
AB The invention relates to novel inhibitors I [n = 0-2, forming a four-, five- or six-membered nitrogen-containing ring which is saturated or optionally contains one double bond; X and Y, if present, are CH2, CF2, CH, S, O, NH, N, C:O, CH-W or C-W (W is halo, hydroxy, sulfhydryl, alkyl or alkyloxy), provided that the nitrogen-containing ring may contain no more than one heteroatom in addition to nitrogen; Z is CH2, CF2, CH, C-W or CH-W; J is a single bond, C:O or CH2; R1 is halo, cyano, -OR4, -SR4 or -NHR5 (R4 is (un)substituted Ph or benzyl and R5 is aryl- or heteroaryl-substituted alkyl or alkanoyl), (un)substituted Ph, mono-, bi-, or tricyclic heteroaryl; Q is NH or CH2; one of R2 and R3 is H and the other is alkyl or a saturated mono-, bi- or tricyclic hydrocarbon or R2 and R3 form a ring (with provisos)] of dipeptidyl peptidase IV (DPP IV) and their

L7 ANSWER 9 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
pharmaceutical compns. for the treatment of medical conditions such as neurol. disorders, diabetes, arthritis, obesity, and osteoporosis. Thus, II.HCl was prepd. by arylation of Boc-L-prolinal (Boc = tert-butoxycarbonyl) with thiazolyllithium, coupling with Boc-octahydro-2-indolecarboxylic acid, oxidn. with oxalyl chloride, and deprotection. DPP IV inhibitory IC50 values expressed in nanomolar concns. are tabulated for compds. I (IC50 = 44 for II.HCl).

MSTR 1



G1 = 43-5 42-2



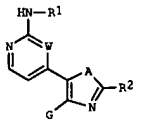
G4 = 2-imidazolyl
G17 = 44

G18 = alkyl<(1-12)>
MPL: claim 1
NTE: or pharmaceutically acceptable derivatives
NTE: substitution is restricted

L7 ANSWER 10 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:111414 MARPAT
TITLE: Preparation of imidazolpyrimidines and related compounds as JNK protein kinase inhibitors
INVENTOR(S): Ledeboer, Mark; Wang, Jian; Moon, Young Choom
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004005283 | A1 | 20040115 | WO 2003-US21524 | 20030709 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2491895 | AA | 20040115 | CA 2003-2491895 | 20030709 |
| US 2004097531 | A1 | 20040520 | US 2003-616560 | 20030709 |

PRIORITY APPLN. INFO.: US 2002-395202P 20020709
WO 2003-US21524 20030709
GI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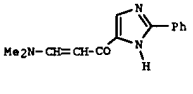
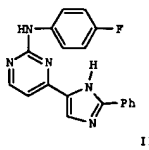
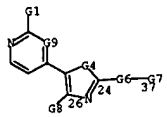

II


III

AB Title compds. I [W = N, CH; G = H, alkyl with provisos; A = O, S, N-Tn-R; R = H, (un)substituted aliphatic; T = alkylidene chain wherein one methylene unit is optionally replaced by CO, CO2, CONH, etc.; n = 0, 1; R1 = Tn-R,

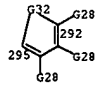
L7 ANSWER 10 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
Tn-Ar1; Ar1 = 3-7 membered monocyclic satd., partially satd. or arom. ring; R2 = Qn-Ar2; Q = alkylidene chain with provisos; Ar2 = 3-7 membered monocyclic satd., partially satd. or arom. ring] and their pharmaceutically acceptable salts and formulations were prepd. For example, condensation of enone II, e.g., prepd. from 4-methoxybut-3-en-2-one in 3-steps, and N-(4-fluorophenyl)guanidine afforded imidazolpyrimidine III in 56% yield. In human JNK3 protein kinase inhibition assays, 36-examples of compds. I exhibited Ki values ranging from 0.1->1.0 μM. Compds. I are claimed useful as inhibitors of JNK, a mammalian protein kinase involved cell proliferation, cell death and response to extracellular stimuli.

MSTR 1



G4 = 358

G6 = C(O)
G7 = 295



G29 = CH2
G32 = O
MPL: claim 1
NTE: substitution is restricted
NTE: or pharmaceutically acceptable derivatives

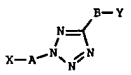
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:276903 MARPAT
TITLE: Preparation of diaryltetrazoles as modulators of metabotropic glutamate receptor-5
INVENTOR(S): Smith, Nicholas D.; Cosford, Nicholas D. P.; Reger, Thomas R.; Roppe, Jeffrey R.; Poon, Steven F.; Huang, Dehua; Chen, Chixu; Eastman, Brian W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003077918 | A1 | 20030925 | WO 2003-US7074 | 20030307 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2478799 | AA | 20030925 | CA 2003-2478799 | 20030307 |
| EP 1485093 | A1 | 20041215 | EP 2003-711474 | 20030307 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: US 2002-363456P 20020312
WO 2003-US7074 20030307

GI



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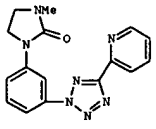
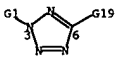


II

L7 ANSWER 11 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB Tetrazoles I [A, B = alkylene, optionally interrupted by heteroatoms; X, Y = (un)substituted heteroaryl, at least one of which has N adjacent to the attachment to A or B] are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, schizophrenia, anxiety, depression, panic, and bipolar disorder, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, drug addiction, drug abuse, drug withdrawal, obesity and other diseases. I IC50 ≤ 10 μM in the calcium flux assay and ≤ 100 μM in the phosphatidylinositol hydrolysis assay. Thus, 1-(3-aminophenyl)-3-methyl-2-imidazolidinone was diazotized and treated with 2-pyridinecarboxaldehyde and 4-MeC6H4SO2NHNH2 to give the tetrazole II.

MSTR 1



G13 = C(O)
G20 = C(O)
G24 = 448-80 451-141

G30 = NH
MPL: claim 1
NTE: or pharmaceutically acceptable salts, or N-oxides

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

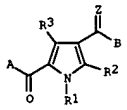
L7 ANSWER 12 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:101023 MARPAT
TITLE: Preparation of (aroyl)pyrrolyl heteroaryl methanones and methanols as central nervous system agents
INVENTOR(S): Carson, John R.; Codd, Ellen E.; Pitis, Philip M.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical Inc., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003057219 | A1 | 20030717 | WO 2002-US39487 | 20021210 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003181481 | A1 | 20030925 | US 2002-315585 | 20021210 |
| US 6897319 | B2 | 20050524 | | |
| EP 1458386 | A1 | 20040922 | EP 2002-799923 | 20021210 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005514412 | T2 | 20050519 | JP 2003-557577 | 20021210 |
| US 2004058980 | A1 | 20040325 | US 2002-328317 | 20021223 |

PRIORITY APPLN. INFO.: US 2001-343768P 20011227
WO 2002-US39487 20021210

GI

L7 ANSWER 12 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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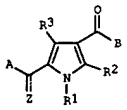
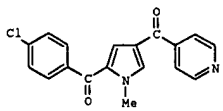


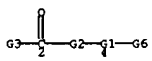
II



III

AB Title compds. I and II (wherein A = (un)substituted aryl, heteroaryl; B = (un)substituted heteroaryl; Z = oxo, hydroxy; R1 = (un)substituted alkyl, cycloalkyl, aryl; R2, R3 = independently H, alkyl, halogen; and their pharmaceutically acceptable acid addition salts, quaternary ammonium salts and N-oxides) were prepared as sodium channel antagonists. Examples include the synthesis for nineteen invention compds. and six biol. assays. For example, compound III was prepared by Friedel-Crafts acylation of (4-chlorophenyl)(1-methyl-1H-pyrrol-2-yl)methanone with isonicotinoyl chloride in the presence of AlCl3 in DCE for 16 h. The latter exhibited ED50 = 156.97 mpk according to the mouse anticonvulsant model. Thus, I and II are useful as treating or modulating central nervous systems disorders.

MSTR 1



G1 = CHOH
G2 = 10-2 7-4

G3 = imidazolyl (SO)
MPL: claim 1

L7 ANSWER 12 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
NTE: and pharmaceutically acceptable acid addition salts, quaternary ammonium salts, and N-oxides

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:101022 MARPAT
TITLE: Preparation of (aroyl)pyrrolyl heteroaryl methanones and methanols as central nervous system agents
INVENTOR(S): Carson, John R.; Codd, Ellen E.; Pitits, Philip M.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003057147 | A2 | 20030717 | WO 2002-US41417 | 20021223 |
| WO 2003057147 | A3 | 20031023 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | |
| US 2003181481 | A1 | 20030925 | US 2002-315585 | 20021210 |
| US 6897319 | B2 | 20050524 | | |
| US 2004058980 | A1 | 20040325 | US 2002-328317 | 20021223 |
| PRIORITY APPLN. INFO.: | | | US 2001-343768P | 20011227 |

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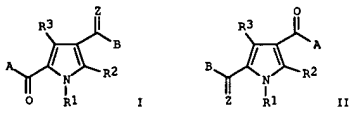
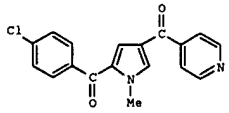

I

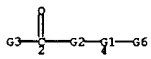

II


III

AB Title compds. I and II [wherein A = (un)substituted aryl, heteroaryl; B = (un)substituted heteroaryl; Z = oxo, hydroxy; R1 = (un)substituted alkyl, cycloalkyl, aryl; R2, R3 = independently H, alkyl, halogen; and their

L7 ANSWER 13 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
pharmaceutically acceptable acid addn. salts, quaternary ammonium salts and N-oxides] were prepd. as sodium channel antagonists. Examples include the synthesis for nineteen invention compds. and six biol. assays. For example, compd. III was prepd. by Friedel-Crafts acylation of (4-chlorophenyl)(1-methyl-1H-pyrrol-2-yl)methanone with isonicotinoyl chloride in the presence of AlCl3 in DCE for 16 h. The latter exhibited ED50 = 156.97 mpk according to the mouse anticonvulsant model. Thus, I and II are useful as treating or modulating central nervous systems disorders.

MSTR 1



G1 = CHOH
G2 = 10-2 7-4

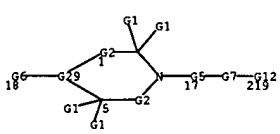
G3 = imidazolyl (SO)
MPL: claim 1
NTE: and pharmaceutically acceptable acid addition salts, quaternary ammonium salts, and N-oxides

L7 ANSWER 14 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:379271 MARPAT
TITLE: Method using imidazole derivatives to treat cystic fibrosis
INVENTOR(S): Higgins, Linda S.; Liu, David Y.; Protter, Andrew A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003041644 | A2 | 20030522 | WO 2002-US35939 | 20021108 |
| WO 2003041644 | A3 | 20031113 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2466665 | AA | 20030522 | CA 2002-2466665 | 20021108 |
| US 2004009990 | A1 | 20040115 | US 2002-291243 | 20021108 |
| EP 1453515 | A2 | 20040908 | EP 2002-778799 | 20021108 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002014020 | A | 20041013 | BR 2002-14020 | 20021108 |
| TR 200401028 | T2 | 20041122 | TR 2004-200401028 | 20021108 |
| JP 2005511616 | T2 | 20050428 | JP 2003-543531 | 20021108 |
| PRIORITY APPLN. INFO.: | | | US 2001-338209P | 20011109 |
| | | | WO 2002-US35939 | 20021108 |

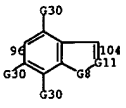
AB The invention is directed to methods to treat cystic fibrosis by administering certain imidazole derivs.

MSTR 1



G7 = 96-17 104-219

L7 ANSWER 14 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G8 = 31

G9 = 210

G11 = 143

G12 = 369



G15 = imidazolyl
MPL: claim 1
NTE: and pharmaceutically acceptable salts, prodrugs, or compositions
NTE: substitution is restricted
NTE: additional ring, oxo, oxime and ketal formation also claimed

L7 ANSWER 15 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:368900 MARPAT
TITLE: Preparation of purine analogs as heat shock protein 90 (HSP90) inhibitors.
INVENTOR(S): Kasibhatla, Srinivas Rao; Hong, Kevin; Zhang, Lin; Biamonte, Marco Antonio; Boehm, Marcus F.; Shi, Jiandong; Fan, Junhua
PATENT ASSIGNEE(S): Conforma Therapeutics Corporation, USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003037860 | A2 | 20030508 | WO 2002-US35069 | 20021030 |
| WO 2003037860 | A3 | 20040325 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2464031 | AA | 20030508 | CA 2002-2464031 | 20021030 |
| EP 1440072 | A2 | 20040728 | EP 2002-780559 | 20021030 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005511565 | T2 | 20050428 | JP 2003-540142 | 20021030 |
| US 2005049263 | A1 | 20050303 | US 2004-494414 | 20041004 |
| PRIORITY APPLN. INFO.: | | | US 2001-335391P | 20011030 |
| | | | WO 2002-US35069 | 20021030 |

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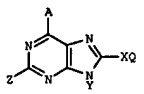
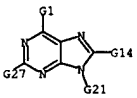


I

AB Title compds. [I; A = H, halo, cyano, N2, amino, alkyl, guanidino, amidino, perhaloalkyl, OR3, SR3, etc.; Q = (substituted) alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; X = S, SO, SO2; Y = H, COR2, SO2R2, CO2R2, (substituted) alkyl, alkenyl, alkynyl, aryl, aryloxyalkyl, alicyclyl, etc.; Z = H, halo, cyano, OR3, SR3, perhaloalkyl, (substituted) alkyl, alkenyl, alkynyl, aryl, alicyclyl, aralkyl, aryloxyalkyl, alkoxyalkyl, heterocyclyl, COR2, SO2R2, guanidino, amidino, etc.; R2 = (substituted) alkyl, heteroalkyl, cycloalkyl, heterocyclyl, heteroaryl,

L7 ANSWER 15 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
aryl; R3 = H, (substituted) alkyl, cycloalkyl, heteroalkyl, aryl, heterocyclyl, etc.], were prepd. Thus, 6-chloro-8-(2,5-dimethoxybenzyl)-N9-butylpurine (prepn. given) was heated in a sealed tube with aq. NH3 at 100° for 48 h to give 8 -(2,5-dimethoxybenzyl)-9-butyladenine. The latter showed HSP90 binding ability with IC50 = 10 μM.

MSTR 1



G15 = 97

G31 = C(O)
MPL: claim 1
NTE: or tautomers or pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: also incorporates broader disclosure

L7 ANSWER 16 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:287681 MARPAT
TITLE: Preparation of heteroaryl substituted tetrazole modulators of metabotropic glutamate receptor-5
INVENTOR(S): Cosford, Nicholas D.; Roppe, Jeffrey; Chen, Chixu; Smith, Nicholas; Reger, Thomas
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003029210 | A2 | 20030410 | WO 2002-US31294 | 20021001 |
| WO 2003029210 | A3 | 20031120 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2462289 | AA | 20030410 | CA 2002-2462289 | 20021001 |
| EP 1434773 | A2 | 20040707 | EP 2002-776076 | 20021001 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005508344 | T2 | 20050331 | JP 2003-532460 | 20021001 |
| WO 2004030637 | A2 | 20040415 | WO 2003-US9717 | 20030331 |
| WO 2004030637 | A3 | 20040923 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004186295 | A1 | 20040923 | US 2004-491613 | 20040402 |
| PRIORITY APPLN. INFO.: | | | US 2001-327132P | 20011004 |
| | | | WO 2002-US31294 | 20021001 |
| | | | WO 2002-US40147 | 20021213 |
| | | | WO 2002-US41720 | 20021213 |
| | | | WO 2002-US40237 | 20021216 |
| | | | WO 2002-US40486 | 20021217 |

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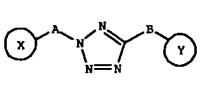
L7 ANSWER 16 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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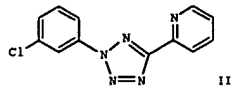
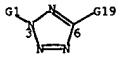


II

AB Title compds. I [X, Y = (un)substituted (hetero)aryl; A, B = alkyl, alkyl-SO-alkyl, alkyl-SO2-alkyl, etc.] are prepared For instance, 2-formylpyridine is condensed with toluenesulfonyl hydrazide to form the hydrazone. 3-Chloroaniline is converted to the diazonium salt and reacted with the hydrazone to form 2-[2-(3-chlorophenyl)-2H-tetrazol-5-yl]pyridine (II) as a pale orange solid. Compds. of the invention have IC50 < 10μM for mGluR5 in the calcium flux assay. I are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, schizophrenia, anxiety, depression, and panic, as well as in the treatment of pain and other diseases.

MSTR 1



G13 = C(O)
G20 = C(O)
G24 = imidazolyl
MPL: claim 1
NTE: or pharmaceutically acceptable salts, or N-oxides

L7 ANSWER 17 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138:238183 MARPAT
TITLE: Preparation of 2-aroyl-imidazole compounds as antitumor agents
INVENTOR(S): Koya, Keizo; Sun, Lijun; Ono, Mitsunori; James, David; Ying, Wiewen; Chen, Shoujun
PATENT ASSIGNEE(S): SBR Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003022274 | A2 | 20030320 | WO 2002-US27514 | 20020828 |
| WO 2003022274 | A3 | 20030710 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2460345 | AA | 20030320 | CA 2002-2460345 | 20020828 |
| EP 1427413 | A2 | 20040616 | EP 2002-757458 | 20020828 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005504789 | T2 | 20050217 | JP 2003-526403 | 20020828 |
| US 2003096836 | A1 | 20030522 | US 2002-233371 | 20020829 |
| US 6743919 | B2 | 20040601 | | |
| US 2004186129 | A1 | 20040923 | US 2004-802292 | 20040316 |
| PRIORITY APPLN. INFO.: | | | US 2001-322105P | 20010913 |
| | | | WO 2002-US27514 | 20020828 |
| | | | US 2002-233371 | 20020829 |

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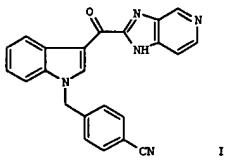


I

L7 ANSWER 17 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

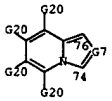
AB Disclosed is a compound represented by structural formula RC(=Z1)R1, wherein R1 is a substituted or unsubstituted 2-imidazolyl group which is optionally fused to a substituted or unsubstituted aryl group; R is heterocycle; Z1 is O, S, oxime, imine, were prepared and tested in vitro as antitumor agents for human cancer cell lines such as MDA435 (human breast cancer), MIP101 (human colon cancer), HL-60 (human myeloid leukemia), U937 (human leukemia), p388 (murine leukemia), DU-145 (human prostate cancer), MES-SA (human uterine sarcoma). Thus, aroyl-imidazole I was prepared and tested in vitro as antitumor agent. In vitro anti-cancer activity of title compds. against multi drug resistant cell lines MES-SA/DX5 and HL-60/TX1000 is reported. These compds. demonstrated significant anti-cancer activity (IC50: 0.04 - 0.5 μM) against MES-SA/DX5 and HL60/TX1000, while Taxol showed very weak anti-cancer activity (IC50: 5 μM) against the multi-drug resistant cell lines.

MSTR 1

G1 = 5

G3 = O
G7 = 30

G10 = 76-2 74-59



G11 = 99

L7 ANSWER 17 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: additional ring formation also claimed
NTE: substitution is restricted

L7 ANSWER 18 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:153524 MARPAT
TITLE: Preparation of indaneacetic acid derivatives for treating diabetes, obesity, hyperlipidemia, and atherosclerotic diseases
INVENTOR(S): Lowe, Derek B.; Wickens, Philip L.; Ma, Xin; Zhang, Mingbao; Bullock, William H.; Coish, Philip D. G.; Mugge, Ingo A.; Stolle, Andreas; Wang, Ming; Wang, Yamin; Zhang, Chengzhi; Zhang, Hai-Jun; Zhu, Lei; Tsutsumi, Manami; Livingston, James N.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003011842 | A1 | 20030213 | WO 2002-US23614 | 20020725 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2455620 | AA | 20030213 | CA 2002-2455620 | 20020725 |
| US 2003216391 | A1 | 20031120 | US 2002-205839 | 20020725 |
| US 6828335 | B2 | 20041207 | | |
| EP 1414809 | A1 | 20040506 | EP 2002-750297 | 20020725 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005508308 | T2 | 20050331 | JP 2003-517034 | 20020725 |
| US 2005075338 | A1 | 20050407 | US 2004-949119 | 20040922 |

PRIORITY APPLN. INFO.:
US 2001-308500P 20010727
US 2002-373048P 20020416
US 2002-205839 20020725
WO 2002-US23614 20020725

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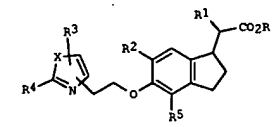
L7 ANSWER 18 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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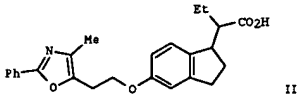
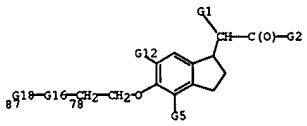


II

AB The title compds. I [R = H, alkyl; R1 = H, CO2R, cycloalkyl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, (un)substituted Ph; X = O, S; R4 = alkyl, cycloalkyl, Ph, etc.; R5 = H, halo, alkyl optionally substituted with oxo], useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated. Thus, reacting 2-(4-methyl-2-phenyl-1,3-oxazol-5-yl)ethanol with Me 5-hydroxy-2,3-dihydroinden-1-yl-2-butanoate (prepns. given) in the presence of DEAD and PPh3 in THF followed by hydrolysis of the ester afforded the acid II.

MSTR 1



G16 = 86-87 82-78

G17 = O
G20 = imidazolyl

L7 ANSWER 18 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G24 = 377

G27 = Ak<EC (1-6) C, BD (ALL) SE> (SO)
MPL: claim 1
NTE: and pharmaceutically acceptable salts and esters

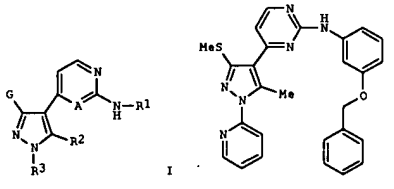
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:384853 MARPAT
TITLE: Preparation of pyrazolyl pyridinamines and pyrimidinamines as inhibitors of Src and other protein kinases
INVENTOR(S): Moon, Young-Choon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002092573 | A2 | 20021121 | WO 2002-US15606 | 20020516 |
| WO 2002092573 | A3 | 20040122 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2446864 | AA | 20021121 | CA 2002-2446864 | 20020516 |
| EP 1404669 | A2 | 20040407 | EP 2002-769762 | 20020516 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004534754 | T2 | 20041118 | JP 2002-589459 | 20020516 |

PRIORITY APPLN. INFO.:
WO 2002-US15606 20020516

GI

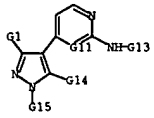


I II

AB Title compds. I [wherein G = XR or XAr; X = independently alkylidene wherein 1-2 non-adjacent methylene units are independently replaced by O, NR, S, CO, CONR, NRCO, NRCONR, SO, SO2, NRSO2, SO2NR, or NRSO2NR; A = N or CR; R = H or (un)substituted aliphatic group; or NR2 = heterocyclyl; Ar = (un)substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8-10 membered bicyclic ring with 0-4 heteroatoms; R1 = TnR or TnAr; n = 0-1; T

L7 ANSWER 19 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
= CO, CO2, COCO, COCH2CO, CONR, SO2, or SO2NR; R2 = H, Ar, or (un)substituted aliph. group; R3 = R or Ar; or pharmaceutically acceptable derivs. thereof] were prepd. as inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli (no data). For example, 3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-yl]propenone was coupled with N-(3-benzyloxyphenyl)guanidine in MeOH to give II (40%). I and compns. contg. I are useful in the treatment and prevention of various inflammatory, autoimmune, destructive bone, proliferative, infectious, neurodegenerative, allergic, and cardiac disorders and diseases (no data).

MSTR 1




G4 = C(O)
G5 = imidazolyl
G14 = 66


MPL: claim 1
NTE: or pharmaceutically acceptable derivatives
NTE: additional interruptions in G2 also claimed

L7 ANSWER 20 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)


G4 = Ak<(1-8)> (SO)
G10 = (0-3) 18


G13 = benzimidazolyl
G18 = C(O)
MPL: disclosure
NTE: substitution is restricted
NTE: and pharmaceutically acceptable salts or compositions

L7 ANSWER 20 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:185513 MARPAT
TITLE: Preparation of piperidine and piperazine derivatives as inhibitors of p38α kinase
INVENTOR(S): Goehring, R. richard; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Leudtke, Gregory; Lewicki, John A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 385,494.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002115671 | A1 | 20020822 | US 2001-796997 | 20010228 |
| US 6541477 | B2 | 20030401 | | |
| US 6410540 | B1 | 20020625 | US 1999-385494 | 19990827 |
| | | | US 1999-385494 | 19990827 |
| PRIORITY APPLN. INFO.: | | | US 2000-185571P | 20000228 |
| | | | US 1998-98219P | 19980828 |
| | | | US 1999-125343P | 19990319 |

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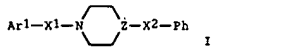
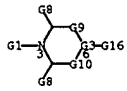


I

AB The title compds. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH2, isostere; Ph may be optionally substituted], inhibitors of p38α kinase, were prepared For example, 1-benzoyl-4-benzylpiperidine was prepared in 96% yield by reaction of 4-benzylpiperidine and PhCOCl in the presence of diisopropylethylamine in CH2Cl2. In p38α kinase inhibition assays, I showed substantial inhibition at 15 μM, some as high as 99%. I are useful for the treatment of conditions associated with activation of p38α, in particular inflammation and cardiac conditions (no data).

MSTR 2




G3 = 11

L7 ANSWER 21 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:33320 MARPAT
TITLE: Preparation of aroylpiperidines and -piperazines as inhibitors of p38 kinase.
INVENTOR(S): Dugar, Sundeep; Perumattam, John; Tester, Richland; Lu, Qing
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002046158 | A2 | 20020613 | WO 2001-US43824 | 20011120 |
| WO 2002046158 | C2 | 20030501 | | |
| WO 2002046158 | A3 | 20030821 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2429258 | AA | 20020613 | CA 2001-2429258 | 20011120 |
| AU 2002043230 | A5 | 20020618 | AU 2002-43230 | 20011120 |
| US 2002198214 | A1 | 20021226 | US 2001-990184 | 20011120 |
| US 6696443 | B2 | 20040224 | | |
| EP 1353905 | A2 | 20031022 | EP 2001-989111 | 20011120 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2004533989 | T2 | 20041111 | JP 2002-547897 | 20011120 |
| US 2004176382 | A1 | 20040909 | US 2004-757023 | 20040113 |
| PRIORITY APPLN. INFO.: | | | US 2000-252196P | 20001120 |
| | | | US 2001-990184 | 20011120 |
| | | | WO 2001-US43824 | 20011120 |

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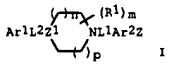
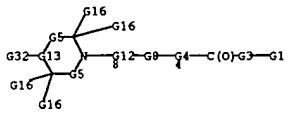


I

AB Title compds. [I; Ar1 = substituted aryl; L1, L2 = linker; R1 = noninterfering substituent; Z1 = CR2, N; R2 = H, noninterfering substituent; m = 0-4; n, p = 0-2; n+p = 0-3; Ar2 = substantially planar, mono- or polycyclic (substituted) (hetero)aryl; Z = WCOXY; Y = COR3, isostere thereof; R3 = noninterfering substituent; W, X = spacer of 2-6 Å; i, j = 0, 1; wherein the smallest number of covalent bonds in the compound separating the atom of Ar1 bonded to L2 to the atom of Ar2 bonded to L1
≥6, where each of said bonds has a bond length of 1.2-2.0 Å; and/or wherein the distance in space between the atom of Ar1 bonded to L2

L7 ANSWER 21 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
and the atom of Ar2 bonded to L1 = 4.5-24 Å; with a proviso], were prepd. as p38-α kinase inhibitors (no data). Thus, 2,5-dimethyl-1H-pyrrole-3-carboxylic acid and 1-(4-fluorobenzyl)trans-2,5-dimethylpiperazine in CH2Cl2 were treated with EDCl and catalytic DMAP followed by stirring for 12 h to give (2,5-dimethyl-1H-pyrrol-3-yl)[4-(4-fluorobenzyl)trans-2,5-dimethylpiperazine-1-yl]methanone. The latter in CH2Cl2 at 0° was treated with (COCl)2 and then with Me2NH to give 2-[4-[4-(4-Fluorobenzyl)-2,5-trans-dimethylpiperazine-1-carbonyl]-2,5-dimethyl-1H-pyrrol-3-yl]-N,N-dimethyl-2-oxoacetamide.

MSTR 1



G1 = imidazolyl
G8 = 395-8 397-4

MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: additional ring formation also claimed

L7 ANSWER 22 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:6088 MARPAT
TITLE: Preparation of indolecarboxamides as p38-α inhibitors
INVENTOR(S): Dugar, Sundeep; Mavunkel, Babu J.; Luedtke, Gregory R.; Mcenroe, Glen
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002044168 | A2 | 20020606 | WO 2001-US43439 | 20011120 |
| WO 2002044168 | A3 | 20030522 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2429382 | AA | 20020606 | CA 2001-2429382 | 20011120 |
| AU 2002037657 | A5 | 20020611 | AU 2002-37657 | 20011120 |
| US 2003100588 | A1 | 20030529 | US 2001-989991 | 20011120 |
| US 6890938 | B2 | 20050510 | | |
| EP 1339708 | A2 | 20030903 | EP 2001-986461 | 20011120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004536779 | T2 | 20041209 | JP 2002-546538 | 20011120 |

PRIORITY APPLN. INFO.: US 2000-252163P 20001120
WO 2001-US43439 20011120

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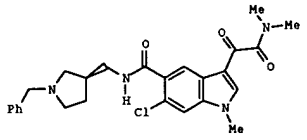
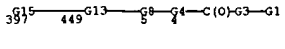


I

AB Title compds. were prepared as p38-α inhibitors (no data). Thus,

L7 ANSWER 22 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compd. I.

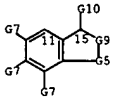
MSTR 1



G1 = imidazolyl
G5 = 18

G6 = 282

G8 = 11-449 15-4



G9 = 124

MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: substitution is restricted

L7 ANSWER 23 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:6031 MARPAT
TITLE: Preparation of novel imidazo[5,1-b]thiazolylcarbapenem derivatives as antibacterial agents
INVENTOR(S): Kano, Yuko; Yamamoto, Yasuo; Maruyama, Takahisa; Sawabe, Takehiko; Shitara, Eiki; Aihara, Kazuhiro; Atsumi, Kunio; Ida, Takashi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002042312 | A1 | 20020530 | WO 2001-JP10252 | 20011122 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2429675 | AA | 20020530 | CA 2001-2429675 | 20011122 |
| AU 2002024088 | A5 | 20020603 | AU 2002-24088 | 20011122 |
| EP 1336612 | A1 | 20030820 | EP 2001-997496 | 20011122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001015615 | A | 20040203 | BR 2001-15615 | 20011122 |
| ZA 2003003558 | A | 20040906 | ZA 2003-3558 | 20011122 |
| US 2004038967 | A1 | 20040226 | US 2003-344729 | 20030214 |

PRIORITY APPLN. INFO.: JP 2000-356997 20001124
WO 2001-JP10252 20011122

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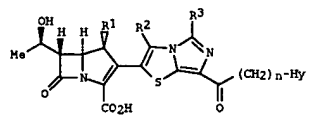

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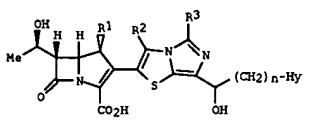
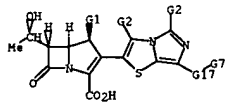


II

L7 ANSWER 23 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB Carbapenem derivs. represented by the following general formulas (I) and (II) and pharmaceutically acceptable salts thereof [wherein R1 represents H or methyl; R2 and R3 represent each H, halogeno, optionally substituted alkyl, cycloalkyl, optionally substituted alkylcarbonyl, carbamoyl, optionally substituted aryl, optionally substituted alkylthio, morpholinyl, alkylsulfonyl or formyl; n is from 0 to 4; and Hy represents an optionally substituted, monocyclic or bicyclic heterocyclic group] are prepared These compds. have a broad and potent antibacterial activity against gram-neg. and gram-pos. bacteria including methicillin-resistant Staphylococcus aureus (MRSA), penicillin-resistant Streptococcus pneumoniae (PRSP), Haemophilus influenzae and β-lactamase-producing bacteria and exhibit a high stability against kidney dehydropeptidase-1 (DHP-1). Thus, (5R,6S)-6-[(1R)-1-hydroxyethyl]-2-[7-(pyridin-3-yl)carbonylimidazo[5,1-b]thiazol-2-yl]-1-carbapen-2-em-3-carboxylic acid p-nitrobenzyl ester was alkylated by 2-iodoacetamide in MeCN at 50° for 6 h followed by hydrogenolysis over 10% Pd-C in a mixture of THF and 1/15 M sodium phosphate buffer at room temperature for 2 h to give (5R,6S)-6-[(1R)-1-hydroxyethyl]-2-[7-(1-carbamoylmethylpyridinium-3-yl)carbonylimidazo[5,1-b]thiazol-2-yl]-1-carbapen-2-em-3-carboxylate (inner salt) (II). II showed min. inhibitory concentration of 0.008, 0.25, 1, 0.031, 0.031, 0.063, 0.031, and 0.031 μg/mL against S. aureus 209P JC-1, S. aureus M126 (MRSA), S. aureus M126 HR (MRSA), S. pneumoniae PRC9 (PRSP), Moraxella catarrhalis W-0500, H. influenzae PRC44, Escherichia coli NIHJ JC-2, and Klebsiella pneumoniae PCI602, resp.

MSTR 1



G2 = alkyl<(1-6)> (SO (1-) G3)
G7 = imidazolyl
G17 = C(O)
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: also incorporates claim 5

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:386139 MARPAT
TITLE: Preparation of piperidine- and piperazineacetamides as nervous system agents
INVENTOR(S): Kordik, Cheryl P.; Reitz, Allen B.; Coats, Steven J.; Luo, Chi; Pan, Kevin; Parker, Michael H.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002040466 | A2 | 20020523 | WO 2001-US51096 | 20011023 |
| WO 2002040466 | A3 | 20020829 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002183316 | A1 | 20021205 | US 2001-1725 | 20011022 |
| CA 2427296 | AA | 20020523 | CA 2001-2427296 | 20011023 |
| AU 2002039761 | A5 | 20020527 | AU 2002-39761 | 20011023 |
| EP 1334098 | A2 | 20030813 | EP 2001-987558 | 20011023 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300167 | A | 20030815 | EE 2003-167 | 20011023 |
| BR 2001014983 | A | 20030923 | BR 2001-14983 | 20011023 |
| JP 2004513944 | T2 | 20040513 | JP 2002-543477 | 20011023 |
| NZ 525547 | A | 20041126 | NZ 2001-525547 | 20011023 |
| NO 2003001903 | A | 20030625 | NO 2003-1903 | 20030428 |
| BG 107789 | A | 20040227 | BG 2003-107789 | 20030509 |
| ZA 2003004064 | A | 20040826 | ZA 2003-4064 | 20030526 |
| US 2005004136 | A1 | 20050106 | US 2004-898130 | 20040723 |
| PRIORITY APPLN. INFO.: | | | US 2000-244117P | 20001027 |
| | | | US 2001-1725 | 20011022 |
| | | | WO 2001-US51096 | 20011023 |

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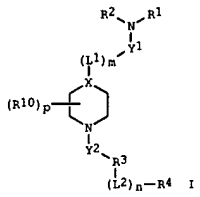
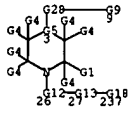
L7 ANSWER 24 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

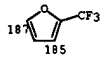


AB Title compds. I [wherein X = CH, C-alkyl, or N; L1 = alkyl; Y1 = CO or CS; R1 and R2 = independently H or (un)substituted alkyl, (hetero)cycloalkyl(alkyl), or (hetero)aryl(alkyl); or NR1R2 = pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl; Y2 = CH2, CO, CS or SO2; R3 and R4 = independently (un)substituted (hetero)aryl, aralkyl, or (hetero)cycloalkyl; L2 = alkyl, alkenyl, alkynyl, CO, CS, SO2, or A(0-1)QB(0-1); A and B = independently alkyl, alkenyl, or alkynyl; Q = O, S, or (un)substituted NH; R10 = (un)substituted (ar)alkyl, (hetero)cycloalkyl, or (hetero)aryl(alkyl); m = 0-1; n = 0-1; p = 0-2; with provisos; and pharmaceutically acceptable salts thereof] were prepared Thus, e.g., N-phenyl-1-[3-(2-pyridylethynyl)benzoyl]-4-piperidineacetamide was prepared A statistical reduction of DOI-induced head shakes in mice by I was reported.

MSTR 1

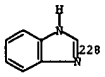


G8 = O
G12 = CH2
G13 = 185-26 187-237



G26 = 228

L7 ANSWER 24 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G35 = 36



MPL: claim 1
NTE: substitution is restricted
NTE: and pharmaceutically acceptable salts

L7 ANSWER 25 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:247575 MARPAT
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Sun, Siquan; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020011 | A2 | 20020314 | WO 2001-US27429 | 20010905 |
| WO 2002020011 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003078419 | A1 | 20030424 | US 2001-927324 | 20010810 |
| CA 2421493 | AA | 20020314 | CA 2001-2421493 | 20010905 |
| AU 2001088706 | A5 | 20020322 | AU 2001-88706 | 20010905 |
| EP 1315490 | A2 | 20030604 | EP 2001-968461 | 20010905 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001014054 | A | 20030701 | BR 2001-14054 | 20010905 |
| JP 2004531456 | T2 | 20041014 | JP 2002-524495 | 20010905 |
| PRIORITY APPLN. INFO.: | | | US 2000-230407P | 20000906 |
| | | | US 2001-927324 | 20010810 |
| | | | US 2000-225178P | 20000814 |
| | | | WO 2001-US27429 | 20010905 |

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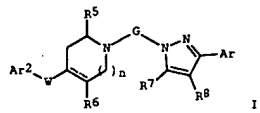
L7 ANSWER 25 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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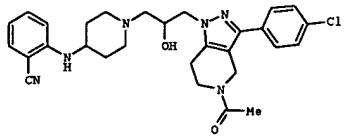
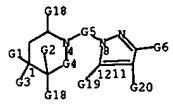


II

AB Title compds. I (wherein Ar and Ar2 = independently (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = O, S, (un)substituted N or CH, CO, CONH, NHCO, or a bond; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, or (un)substituted carbocyclyl or heterocyclyl; or R7R8 form an (un)substituted carbocyclic or heterocyclic ring; Rz = H, OH, or is absent; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof) were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl and cycloaddn. of the product with H2NNH2 gave 1-{3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl}ethanone (42%). Alkylation with epichlorohydrin (60%), followed by addition of 1,4-dioxa-8-azaspiro[4.5]decane (81%), conversion to the piperidinone (65%), and reductive addition of 2-aminobenzonitrile (20%), afforded II. The latter inhibited recombinant human cathepsin S with IC50 of 0.73 μM.

**MSTR 1**



G17 = NH
G18 = alkyl<(1-5)>

L7 ANSWER 25 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G40 = 351

G45 = C(O)
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
STE: or stereoisomeric forms

L7 ANSWER 26 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:200182 MARPAT
TITLE: Substituted and/or fused pyrazoles, particularly piperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Tays, Kevin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002014315 | A2 | 20020221 | WO 2001-US25290 | 20010810 |
| WO 2002014315 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2419552 | AA | 20020221 | CA 2001-2419552 | 20010810 |
| AU 2001086454 | A5 | 20020225 | AU 2001-86454 | 20010810 |
| US 2003078419 | A1 | 20030424 | US 2001-927324 | 20010810 |
| EP 1309593 | A2 | 20030514 | EP 2001-965898 | 20010810 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001013286 | A | 20030909 | BR 2001-13286 | 20010810 |
| JP 2004511440 | T2 | 20040415 | JP 2002-519455 | 20010810 |
| NZ 524191 | A | 20041126 | NZ 2001-524191 | 20010810 |
| ZA 2003002051 | A | 20040625 | ZA 2003-2051 | 20030313 |
| ZA 2003002056 | A | 20040702 | ZA 2003-2056 | 20030313 |
| PRIORITY APPLN. INFO.: | | | US 2000-225178P | 20000814 |
| | | | US 2001-927324 | 20010810 |
| | | | WO 2001-US25290 | 20010810 |

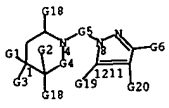
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and
methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R = H, OH, or absent; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated
(non)aromatic 5- to 7-membered carbo- or heterocyclic ring; Ar1 =

L7 ANSWER 26 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
(un)substituted mono- or bicyclic (hetero)aryl; Ar2 = (un)substituted (un)satd. (non)arom. mono- or bicyclic ring system with 0-5 heteroat. ring moieties selected from O, S, N, SO2, and CO; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.)); W = O, S, CO CONH, NHCO, (un)substituted NH or CH2; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 350 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 31 compds. For instance, 6-chloro-1-(piperidin-4-yl)-3,4-dihydro-1H-quinolin-2-one (prepd. in 6 steps) reacted with the corresponding epoxide (prepd. in several steps) to give title compd. II. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.01 μM. Compd. III is one of two specifically preferred compds.

MSTR 1




G17 = NH
G18 = alkyl<(1-5)>
G40 = 351


G45 = C(O)
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
STE: or stereoisomeric forms

L7 ANSWER 27 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:167374 MARPAT
TITLE: Preparation of (e.g.) pyrrolylalkylphenyl derivatives as histamine H3 antagonists
INVENTOR(S): Bogenstaetter, Michael; Chai, Wenying; Kwok, Annette K.
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002012224 | A2 | 20020214 | WO 2001-US24654 | 20010806 |
| WO 2002012224 | A3 | 20020718 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2419027 | AA | 20020214 | CA 2001-2419027 | 20010806 |
| AU 2001081119 | A5 | 20020218 | AU 2001-81119 | 20010806 |
| US 2002037896 | A1 | 20020328 | US 2001-922622 | 20010806 |
| US 6638967 | B2 | 20031028 | | |
| EP 1311499 | A2 | 20030521 | EP 2001-959580 | 20010806 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| ZA 2003001853 | A | 20040621 | ZA 2003-1853 | 20030306 |
| ZA 2003001854 | A | 20040621 | ZA 2003-1854 | 20030306 |
| PRIORITY APPLN. INFO.: | | | US 2000-223768P | 20000808 |
| | | | US 2001-922622 | 20010806 |
| | | | WO 2001-US24654 | 20010806 |

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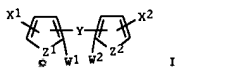
L7 ANSWER 27 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

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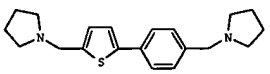



II

AB Title compds. I [X1 = Ga, RaGa, LaGa, RaLaGa; X2= Gb, RbGb, LbGb, RbLbGb; Ga-b= NR3aR4a or NR3bR4b, resp., or pyrrolidinyl, imidazolidinyl, pyrazolidinyl, piperidyl, isoindolinyl, morpholinyl, piperazinyl, imidazolyl, thiazolinyl, 5,6-dihydro-3-imidazo[2,1-b]thiazolyl, thiazolyl; R3a, R4a, R3b, R4b = H, alkyl, cycloalkyl, cycloalkyl-alkyl; Gb can be further selected from NO2, halo, OH, CHO, pyrrolyl, or C(:NOH)H; Ra-b = O, S, NH, C=O; each of La-b = alkylene; Y = covalent bond where one of Z1-2 = N, O, S; Y can also be SO2, C:O, CH2, CH2CH2, OCH2, CH2O, NRc; Rc = H, alkyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl, heterocyclyl-alkyl, Ph, phenyl-alkyl, or di(alkylamino)-alkyl; Z1-2= N, O, S, CH=CH to form a Ph ring;] were prepared For instance, 5-formylthiophen-2-ylboronic acid was coupled to 4-bromobenzaldehyde (dioxane, Pd2(dba)3, t-Bu3P, Cs2CO3, 80°C, 24 h) and the product used to reductively alkylate pyrrolidine (CH2Cl2, NaBH(OAc)3, HOAc, 16 h) to give II. II had Ki = 9.0 nM for the histamine H3 receptor. I are useful for treating histamine-mediated disorders, e.g., narcolepsy, sleep disorders, ADHD, etc.

MSTR 1A




G1 = 294


G7 = 210-1 213-3

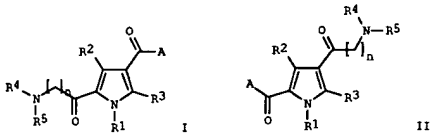

G8 = NH

L7 ANSWER 27 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G10 = C(O)
G18 = imidazolyl
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
NTE: substitution is restricted

L7 ANSWER 28 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:102279 MARPAT
TITLE: Preparation of aroyl aminoacyl pyrroles as CNS agents
INVENTOR(S): Carson, John R.; Pitis, Philip M.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002002521 | A2 | 20020110 | WO 2001-US20747 | 20010629 |
| WO 2002002521 | A3 | 20020404 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002019436 | A1 | 20020214 | US 2001-896084 | 20010629 |
| US 6573267 | B2 | 20030603 | | |

PRIORITY APPLN. INFO.: US 2000-215272P 20000630
GI

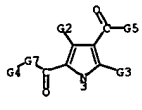


I II

AB The title compds. [I and II; A = (un)substituted (hetero)aryl; n = 1-5; R1 = (un)substituted alkyl; R2, R3 = H, alkyl; R4, R5 = H, alkyl, aralkyl; or NR4R5 = heterocyclic ring such as piperidine] and their salts, useful as agents and modulators for the treatment of central nervous system disorders including, but not limited to, use of the compds. of the present invention as anticonvulsant agents and modulators, antiepileptic agents and modulators, neuroprotective agents and modulators, muscle relaxant agents and modulators and as agents and modulators for the treatment of neuropathic pain, were prepared Thus, reacting 2-chloro-1-[4-(2-naphthalenoyl)-1-methyl-1H-pyrrol-2-yl]ethanone (preparation given) with Et2NH in EtOH afforded 27% I.fumarate [A = 2-naphthyl; n = 1; R1 = Me; R2, R3 = H; R4, R5 = Et] which showed ED50 of 10.98 mg/kg (i.p.) in mouse MES model and 80% MPE when tested in a neuropathic pain model in rats (antiallodynic

L7 ANSWER 28 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
activity).

MSTR 1



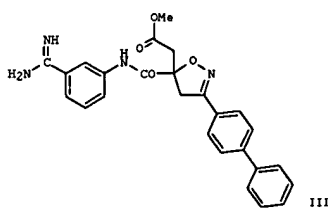
MPL: claim 1
NTE: and pharmaceutically acceptable acid addition salts
NTE: substitution is restricted

L7 ANSWER 29 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:85810 MARPAT
TITLE: Preparation of arylamides and heterocyclylamides as factor Xa inhibitors for treatment of thromboembolic disorders
INVENTOR(S): Quan, Mimi L.; Lam, Patrick Y.; Li, Yunlong; Pinto, Donald J. P.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 192 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002000651 | A2 | 20020103 | WO 2001-US20538 | 20010627 |
| WO 2002000651 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2000-214758P 20000627
US 2000-246124P 20001106
GI

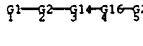
I II



III

L7 ANSWER 29 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
AB Title compds. I and II [wherein ring M, including M1, M2, and, if present, M3 = 5-membered aromatic heterocycle substituted with 0-2 R1a; or ring M = isoxazoline, isothiazoline, pyrazoline, triazoline, or tetrazoline substituted with 0-2 R1a; R1a = H, (un)substituted alkyl, alkenyl, amino, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, amido, alkoxycarbonylamino, aminocarboxy, etc.; G = 5-6 membered (hetero)cycle optionally fused to Ph, pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl substituted with 0-2 R; R = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Z = a bond, (un)substituted (CH2)1-4, (CH2)pO(CH2)q, (CH2)pCO(CH2)q, (CH2)pOCO(CH2)q, (CH2)pCO2(CH2)q, (CH2)pNH(CH2)q, etc.; p + q = 0-2; Z1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uO(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; A = (un)substituted carbocycle or heterocycle; B = H, Y, or XY; X = (un)substituted (CH2)1-4, CO, C(NH), CH(NH2), CH(OH), CH(SH), COCH2, CH2CO, S, SO, SO2, NHCO, CONH, O, etc.; Y = (un)substituted carbocycle or heterocycle] were prepared as inhibitors of trypsin-like serine protease enzymes, especially factor Xa. For example, 4-biphenylcarboxaldehyde oxime (preparation given) was treated with itaconic acid monomethyl ester and bleach in THF to give 3-([1,1']-biphen-4-yl)-5-(carbomethoxymethyl)isoxazolin-5-ylcarboxylic acid (84%). Amidation with 3-cyanoaniline (28%), followed by conversion to the amidine and elution with TFA, afforded III•TFA. Some of the invention compds. inhibited factor Xa with Ki values of ≤ 10 μM. Thus, I and II are useful as anticoagulant agents for treatment and prevention of thromboembolic disorders.

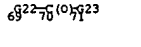
MSTR 1A



G1 = imidazolyl (SO)
G2 = 6

G3 = Ak<EC (1-) C, BD (ALL) SE>
(SO Cb<EC (6) C, AR (1-), BD (ALL) N, RC (1), RS (1) E6>)
G14 = 295-2 297-4

G10 = 69-3 71-59



G37 = CH
MPL: claim 1

L7 ANSWER 29 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted
STE: or stereoisomers

L7 ANSWER 30 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G4 = Ak<(1-8)> (SO)
G10 = (0-3) 18

G13 = benzimidazolyl
G18 = C(O)
MPL: disclosure
NTE: substitution is restricted
NTE: and pharmaceutically acceptable salts or compositions

L7 ANSWER 30 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:227015 MARPAT
TITLE: Preparation of piperidine and piperazine derivatives as inhibitors of p38-α kinase
INVENTOR(S): Goehring, Richard R.; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Luedtke, Gregory; Lewicki, John A.
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001064676 | A2 | 20010907 | WO 2001-US6715 | 20010228 |
| WO 2001064676 | A3 | 20020328 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-185571P 20000228
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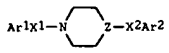
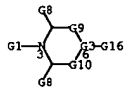


I

AB The title compds. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH2, isostere; Ar2 = substituted Ph], inhibitors of p38-α kinase, were prepared E.g., 1-benzoyl-4-benzylpiperidine was prepared by reaction of 4-benzylpiperidine and PhCOCl.

MSTR 2



G3 = 11

L7 ANSWER 31 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:320886 MARPAT
TITLE: Methods using indoline compounds for treating hair loss
INVENTOR(S): Lammers, Karen Marie
PATENT ASSIGNEE(S): The University of Texas Southwestern Medical Center, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001030151 | A1 | 20010503 | WO 2000-US41383 | 20001020 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| CA 2386889 | AA | 20010503 | CA 2000-2386889 | 20001020 |
| EP 1223809 | A1 | 20020724 | EP 2000-984592 | 20001020 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

| | | | | |
|---|---|---|---|---|
| JP 2003512396 | T2 | 20030402 | JP 2001-532591 | 20001020 |

PRIORITY APPLN. INFO.: US 1999-161577P 19991026
WO 2000-US41383 20001020
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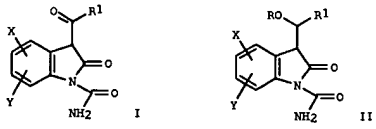


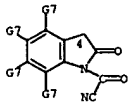
I II

AB Methods and compns. are provided for treating hair loss in mammals, including arresting hair loss, reversing hair loss and/or promoting hair growth. The methods comprise administering a composition wherein the composition
comprises an indoline compound I [X = H, F, Cl, Br, nitro, cyano, thio, C1-6 alkyl, etc; Y = H, F, Cl, Br, C1-4 alkyl, etc; R1 = C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, etc.] or II [X = H, F, Cl, Br, nitro, cyano, thio, C1-6 alkyl, etc; Y = H, F, Cl, Br, C1-4 alkyl, etc; R = C2-10 alkanoyl, C7-10 phenylalkanoyl, C2-10 alkoxycarbonyl, etc.; R1 = C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, etc.], or a pharmaceutically acceptable salt, hydrate, tautomer, or biohydrolyzable amide, or ester thereof.

L7 ANSWER 31 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1

G1 = 4



G19 = 451-225 450-227

MPL: claim 1
NTE: or pharmaceutically acceptable salts, hydrates, tautomers, or bichydrolyzable amides or esters

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:266035 MARPAT
TITLE: Use of substituted 4-biarylbutyric and 5-biarylpentanoic acid derivatives for the treatment of multiple sclerosis
INVENTOR(S): Fahrig, Thomas; Haning, Helmut; Riedl, Bernd; Braeunlich, Gabriele; Henning, Rolf
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001022951 | A2 | 20010405 | WO 2000-EP8890 | 20000912 |
| WO 2001022951 | A3 | 20011011 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2385490 | AA | 20010405 | CA 2000-2385490 | 20000912 |
| EP 1217994 | A2 | 20020703 | EP 2000-965974 | 20000912 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003510272 | T2 | 20030318 | JP 2001-526163 | 20000912 |
| PRIORITY APPLN. INFO.: | | | GB 1999-22710 | 19990924 |
| | | | WO 2000-EP8890 | 20000912 |

AB The title compds. (T)xA-B-D-E-CO2H [I, A = aryl, heteroaryl; B = aryl, heteroaryl, bond; each T is a substituent group; x = 0, 1, or 2; D = CO, CH(OH); E = two or three carbon chain bearing one to three substituent groups which are independent or are involved in ring formation], useful for the treatment of multiple sclerosis, were prepared E.g., (rac)-2-[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)ethyl]-4-(4'-ethoxy[1,1'-biphenyl]-4-yl)-4-oxobutanoic acid was prepared Inhibitory activities of I against matrix metalloproteases was determined

MSTR 1



G1 = 21

L7 ANSWER 32 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G2 = 9

G3 = alkyl<(1-3)>
G28 = (0-3) CH2 (SO)
G31 = C(O)
G32 = 509-433 508-435

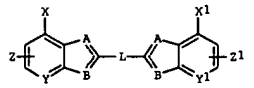
MPL: claim 1
NTE: substitution is restricted
NTE: and pharmaceutically acceptable salts and prodrugs

L7 ANSWER 33 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:42128 MARPAT
TITLE: Preparation of bisbenzimidazoles and related compounds as inhibitors of cell death.
INVENTOR(S): Bitler, Catherine M.; Wood, Paul L.; Anstine, Duran T.; Meyer-franke, Anke; Zhao, Qi; Khan, Mohamed A.
PATENT ASSIGNEE(S): Elan Pharma International Ltd., Ire.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000075117 | A1 | 20001214 | WO 2000-US15181 | 20000602 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6379882 | B1 | 20020430 | US 1999-393137 | 19990910 |
| CA 2375843 | AA | 20001214 | CA 2000-2375843 | 20000602 |
| EP 1189889 | A1 | 20020327 | EP 2000-938060 | 20000602 |
| EP 1189889 | B1 | 20031217 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003501418 | T2 | 20030114 | JP 2001-501598 | 20000602 |
| AT 256666 | E | 20040115 | AT 2000-938060 | 20000602 |
| US 2002102597 | A1 | 20020801 | US 2002-74964 | 20020212 |
| PRIORITY APPLN. INFO.: | | | US 1998-100241P | 19980914 |
| | | | US 1999-137618P | 19990604 |
| | | | US 1999-138855P | 19990611 |
| | | | US 1999-168256P | 19991130 |
| | | | US 1999-393137 | 19990910 |
| | | | WO 2000-US15181 | 20000602 |

GI



I

AB A pharmaceutical compns. for inhibiting cell death comprising title compds., e.g., [I; X, X1, Z, Z1 = H, alkyl, alkoxy, cyano, CO2H (and esters), SO3H (and esters), amino, alkylamino, NO2, halo; L = NR1, CO, CR2R3, bond; R1, R2 = H, alkyl, aryl, aralkyl; R3 = H, alkyl, amino, NO2, alkylsulfonate; ACB = atoms to form an imidazole, pyrazole, oxazole, or thiazole ring; Y, Y1 = C, N], is claimed. Thus, 2-

L7 ANSWER 33 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
benzimidazolylacetonitrile in PhMe was treated with EtOH and then with HCl at 0° followed by addn. of Et2O to ppt. a solid which was taken up in EtOH and treated with 3-nitro-1,2-phenylenediamine in EtOH followed by reflux to give 69% 2-(1H-benzimidazol-2-ylmethyl)-4-nitro-1H-benzimidazole dihydrochloride. This was reduced to give bis(4-aminobenzimidazol-2-yl)methane (SNX912). I protected oxygen/glucose deprived retinal ganglion cells from cell death with EC50 = 0.19-9300 nM.

MSTR 1

G1—G13

MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:17503 MARPAT
TITLE: Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
INVENTOR(S): Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000071535 | A1 | 20001130 | WO 2000-US14003 | 20000519 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6589954 | B1 | 20030708 | US 1999-316761 | 19990521 |
| CA 2372567 | AA | 20001130 | CA 2000-2372567 | 20000519 |
| EP 1178983 | A1 | 20020213 | EP 2000-939322 | 20000519 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000011274 | A | 20020226 | BR 2000-11274 | 20000519 |
| NZ 515285 | A | 20040130 | NZ 2000-515285 | 20000519 |
| AU 772295 | B2 | 20040422 | AU 2000-54424 | 20000519 |
| BG 106091 | A | 20020628 | BG 2001-106091 | 20011108 |
| HR 2001000854 | A1 | 20030430 | HR 2001-854 | 20011119 |
| NO 2001005655 | A | 20020118 | NO 2001-5655 | 20011120 |

PRIORITY APPLN. INFO.:
US 1999-316761 19990521
US 1999-154594P 19990917
US 2000-202608P 20000509
US 1998-86531P 19980522
US 1998-128137 19980803
US 1999-275176 19990324
WO 2000-US14003 20000519

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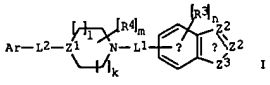
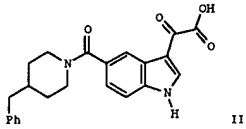
L7 ANSWER 34 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



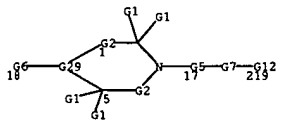
I



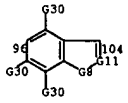
II

AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6Å; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the α ring is 4.5-24Å] which inhibit p38-α kinase (biol. data given), were prepared Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

MSTR 1



G7 = 96-17 104-219



L7 ANSWER 34 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G8 = 31

31—G9

G9 = 210

210—C(O)—G26

G11 = 143

143—C—G41

G12 = 369

369—C(O)—G15

G15 = imidazolyl
MPL: claim 1
NTE: and pharmaceutically acceptable salts or compositions
NTE: substitution is restricted
NTE: additional ring, oxo, oxime and ketal formation also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:222576 MARPAT
TITLE: Preparation of furanones, thiophenones and pyranones having antitumor activity
INVENTOR(S): Menta, Ernesto; Conti, Marco; Pescalli, Nicoletta
PATENT ASSIGNEE(S): Novuspharma S.p.A., Italy
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000053581 | A2 | 20000914 | WO 2000-EP1721 | 20000301 |
| WO 2000053581 | A3 | 20001221 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| IT 1309593 | B1 | 20020124 | IT 1999-MI456 | 19990305 |
| IT 99MI0456 | A1 | 20000905 | | |
| AU 2000041033 | A5 | 20000928 | AU 2000-41033 | 20000301 |
| EP 1173420 | A2 | 20020123 | EP 2000-920458 | 20000301 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002539114 | T2 | 20021119 | JP 2000-604021 | 20000301 |
| PRIORITY APPLN. INFO.: | | | IT 1999-MI456 | 19990305 |
| | | | WO 2000-EP1721 | 20000301 |

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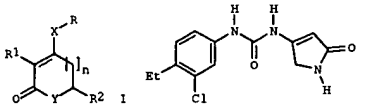
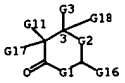



AB The title compds. [I; Y = O, S, NH; n = 0-1; X = NHCONH, NHCO, NHSO2, etc.; R1 = H, alkyl, (CH2)pA (wherein p = 0-4; A = OH, NRaRb, CO2Rc, etc.; Ra, Rb = H, alkyl; NRaRb = a 4-7 membered heterocyclyl; Rc = H, alkyl, alkali or alkaline-earth metal); R = alkyl, cycloalkyl, arylalkyl, etc.; R2 = H, alkyl], useful as medicaments, in particular as antitumor agents (no data), were prepared Thus, reacting 3-chloro-4-ethylphenylurea with tetramic acid in EtOH/PhMe afforded II. Compds. I are effective at 0.01-0.4 g/kg/day.

L7 ANSWER 35 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1



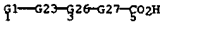

G1 = NH
G5 = imidazolyl
G10 = C(O)
MPL: claim 1
NTE: and pharmaceutically acceptable acid or base salts
NTE: substitution is restricted
STE: and stereoisomers or mixtures

L7 ANSWER 36 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:193178 MARPAT
TITLE: Preparation and use of substituted biaryloxo(oxobenzotriazinyl)alkanoates and related compounds for treatment and prevention of cerebral diseases.
INVENTOR(S): Hinz, Volker; Haning, Helmut; Riedl, Bernd; Henning, Rolf; Stolle, Andreas; Keldenich, Jorg; Bruck, Antje; Schumacher, Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1031349 | A1 | 20000830 | EP 1999-103723 | 19990225 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CA 2333955 | AA | 20000831 | CA 2000-2333955 | 20000214 |
| WO 2000050017 | A2 | 20000831 | WO 2000-EP1204 | 20000214 |
| WO 2000050017 | A3 | 20010201 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1087761 | A2 | 20010404 | EP 2000-920435 | 20000214 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRIORITY APPLN. INFO.: | | | EP 1999-103723 | 19990225 |
| | | | WO 2000-EP1204 | 20000214 |

AB Use of TxABDECO2H [B = bond, (substituted) aryl, heteroaryl; T = F, Cl, Br, iodo, alkyl, haloalkyl, haloalkoxy, alkenyl, alkynyl, etc.; A = thienyl, furyl, pyrrolyl, thiazolyl, pyridazinyl, pyrimidinyl, Ph, etc.; x = 0, 1, 2; D = CO, CH(OH); E = chain of 2-3 C atoms bearing substituents R6; R6 = F, OH, alkyl, aryl, heteroarylaralkyl, alkenyl, etc.; pairs of R6 may form spiro or nonspiro rings; with provisos] for manufacturing of drugs for the treatment and prevention of cerebral disease is claimed. Thus, 4-(4'-chlorobiphenyl-4-yl)-4-oxo-2-[2-(4-oxo-4H-benzo[d][1,2,3]triazin-3-yl)ethyl]butyricacid inhibited matrix metalloproteinase-1 and -2 with Ki = 2400 nM and 1.2 nM, resp.

MSTR 1A

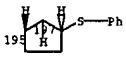



G23 = 107-1 109-3

L7 ANSWER 36 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)


G24 = 99


G26 = C(O)
G27 = 195-3 197-5




DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: additional substitution also claimed
NTE: substitution is restricted

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:177190 MARPAT
TITLE: Preparation of heterocyclic derivatives as inhibitors of factor Xa
INVENTOR(S): Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000047573 | A1 | 20000817 | WO 2000-GB354 | 20000208 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2362392 | AA | 20000817 | CA 2000-2362392 | 20000208 |
| EP 1150974 | A1 | 20011107 | EP 2000-902725 | 20000208 |
| EP 1150974 | B1 | 20020904 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200102306 | T2 | 20011221 | TR 2001-20010230620000208 | |
| BR 2000008130 | A | 20020205 | BR 2000-8130 | 20000208 |
| AT 223402 | E | 20020915 | AT 2000-902725 | 20000208 |
| JP 2002536443 | T2 | 20021029 | JP 2000-598493 | 20000208 |
| PT 1150974 | T | 20030131 | PT 2000-902725 | 20000208 |
| ES 2181637 | T3 | 20030301 | ES 2000-902725 | 20000208 |
| AU 757738 | B2 | 20030306 | AU 2000-24470 | 20000208 |
| RU 2226193 | C2 | 20040327 | RU 2001-124855 | 20000208 |
| ZA 2001006337 | A | 20021101 | ZA 2001-6337 | 20010801 |
| NO 2001003921 | A | 20011010 | NO 2001-3921 | 20010810 |
| US 6723723 | B1 | 20040420 | US 2001-913071 | 20011115 |

PRIORITY APPLN. INFO.: GB 1999-2989 19990211
WO 2000-GB354 20000208

GI

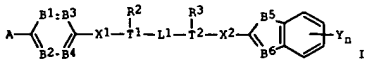
L7 ANSWER 37 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G19 = C(O)
G24 = 157-121 159-123

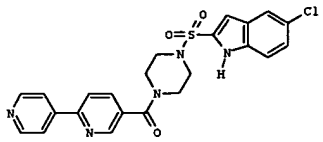
G29 = NH
G30 = N
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



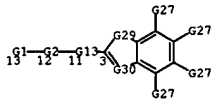
I



II

AB The title compds. [I; A = (un)substituted 5-6 membered monocyclic aromatic ring containing 1-3 ring heteroatoms selected from O, N, and S atoms; B1-B4 = CH, N; with the proviso that at least one of B1-B4 = N; T1 = CH, N; T2 = CH, N; with the proviso that at least one of T1 and T2 = N; X1 = SO, SO2, C(R4)2, CO when T1 = CH, N; or in addition X1 = O, S when T1 = CH; L1 = alkylene, alkylenecarbonyl; R2-R4 = H, alkyl; R2 and R3 are joined to form alkylene or CH2CO; X2 = SO, SO2, C(R5)2, CO; R5 = H, alkyl; Y = H, halo, CF3, etc.; B5, B6 = N, CH; with the proviso that at least one of B5 and B6 = N] and their pharmaceutically acceptable salts which possess antithrombotic and anticoagulant properties and are accordingly useful in methods of treatment of humans or animals, were prepared Thus, reacting 6-(4-pyridyl)nicotinic acid (preparation given) with 1-(5-chloroindol-2-ylsulfonyl)piperazine in the presence of EDAC in DMF afforded II. Compds. I are effective at 0.5-10 mg/kg/day.

MSTR 1



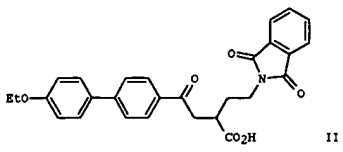
G14 = 95

L7 ANSWER 38 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:89429 MARPAT
TITLE: Preparation of 4-aryl-4-oxo-2-(2-phthalimidoethyl)butanoates and analogs as matrix metalloprotease inhibitors
INVENTOR(S): Fitzgerald, Mary F.; Gardiner, Philip J.; Nash, Kevin; Sturton, Graham; Benz, Gunter; Henning, Rolf; Schlemmer, Karl-Heinz; Riedl, Bernd; Haning, Helmut
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000040539 | A1 | 20000713 | WO 1999-EP10110 | 19991220 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2356053 | AA | 20000713 | CA 1999-2356053 | 19991220 |
| EP 1140768 | A1 | 20011010 | EP 1999-963582 | 19991220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9916669 | A | 20011016 | BR 1999-16669 | 19991220 |
| TR 200101970 | T2 | 20020321 | TR 2001-20010197019991220 | |
| JP 2002534404 | T2 | 20021015 | JP 2000-592250 | 19991220 |
| ZA 2001004651 | A | 20020607 | ZA 2001-4651 | 20010607 |

PRIORITY APPLN. INFO.: GB 1998-28845 19981230
GB 1999-22709 19990924
WO 1999-EP10110 19991220

GI

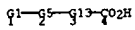


II

AB RZZ1Z2CO2H [I; R = (un)substituted Ph or -heteroaryl; Z = bond, (un)substituted 1,4-phenylene, -heteroarylene; Z1 = CO, CH(OH), C(:NOH), etc.; Z2 = substituted (CH2)2-3] were prepared Thus, di-tert-Bu 2-(2-phthalimidoethyl)malonate was condensed with 4-(EtO)C6H4C6H4(COCH2Br)-

L7 ANSWER 38 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
4 (prepn. each given) and the sapond. product mono-decarboxylated to give title compd. II. Data for biol. activity of I were given.

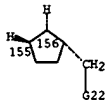
MSTR 1



G6 = O
G10 = 16

G11 = 43-1 41-19

G12 = NH (SO)
G13 = 155-2 156-4



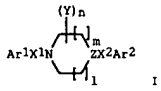
DER: and pharmaceutically acceptable salts and prodrugs
MPL: claim 1
NTE: also incorporates broader disclosure
NTE: additional ring formation also claimed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:203174 MARPAT
TITLE: Inhibitors of p38-α kinase, preparation thereof, and therapeutic use
INVENTOR(S): Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000012074 | A2 | 20000309 | WO 1999-US19845 | 19990827 |
| WO 2000012074 | A3 | 20000831 | | |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2342251 | AA | 20000309 | CA 1999-2342251 | 19990827 |
| AU 9957936 | A1 | 20000321 | AU 1999-57936 | 19990827 |
| AU 772477 | B2 | 20040429 | | |
| EP 1107758 | A2 | 20010620 | EP 1999-945316 | 19990827 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9913654 | A | 20011127 | BR 1999-13654 | 19990827 |
| JP 2002523448 | T2 | 20020730 | JP 2000-567192 | 19990827 |
| PRIORITY APPLN. INFO.: | | | US 1998-98219P | 19980828 |
| | | | US 1999-125343P | 19990319 |
| | | | WO 1999-US19845 | 19990827 |

GI

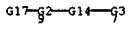


I

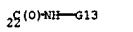
AB Methods are provided for treating conditions mediated by p38-α kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl,

L7 ANSWER 39 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is described. Compds. of the invention may be used to treat p38-α kinase-mediated conditions.

MSTR 1



G2 = C(O)
G4 = 22



G5 = (0-4) 15

G14 = 68-9 70-7

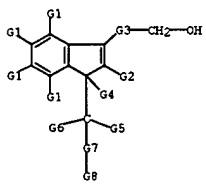
G17 = benzimidazolyl
DER: and pharmaceutically acceptable salts or compositions
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed

L7 ANSWER 40 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:161244 MARPAT
TITLE: Substituted condensation products of 1H-indenyl-hydroxyalkanes with aldehydes for treatment of neoplasia
INVENTOR(S): Sperl, Gerhard; Gross, Paul; Brendel, Klaus; Piazza, Gary; Pamukcu, Rifat
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6028116 | A | 20000222 | US 1998-54814 | 19980403 |
| PRIORITY APPLN. INFO.: | | | US 1998-54814 | 19980403 |

AB Substituted condensation products of 1H-indenylhydroxyalkanes with aldehydes are useful for inducing or promoting apoptosis and for arresting uncontrolled neoplastic cell proliferation, and are specifically useful in the arresting and treatment of neoplasia, including precancerous and cancerous lesions. Preparation of compds. of the invention is described.

MSTR 1



G8 = imidazolyl (SO)
G5 +G6 = O
DER: and pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:12201 MARPAT
TITLE: Preparation of biarylalkylhydroxamic acids and related compounds as matrix metalloprotease inhibitors.
INVENTOR(S): Kluender, Harold C. E.; Brittelli, David R.; Schoen, William R.; Ha, Sookhee N.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9961413 | A1 | 19991202 | WO 1999-US11481 | 19990525 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6288063 | B1 | 20010911 | US 1998-85909 | 19980527 |
| AU 9942017 | A1 | 19991213 | AU 1999-42017 | 19990525 |
| EP 1082295 | A1 | 20010314 | EP 1999-925802 | 19990525 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |

PRIORITY APPLN. INFO.: US 1998-85909 19980527
WO 1999-US11481 19990525

AB TxABDEG [A = Ph, thienyl, furyl, pyrrolyl, oxazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, etc.; B = bond, thienylene, furylene, phenylene, furylene, imidazolylene, pyridinylene, pyrazinylene, pyridazinylene, etc.; T = halo, alkyl, haloalkyl, haloalkoxy, alkenyl, alkynyl, etc.; x = 0, 1, 2; D = CO, CH(OH), C:NN(R2)2, C:NOR2; R2 = H, alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; E = 2-3 C atom chain bearing 1-3 substituents; G = COCH2OH, CONHOH, CONHSO2R3; R3 = alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl], were prepared as matrix metalloproteinase inhibitors (no data). Thus, 4-(biphen-4-yl)-4-oxobutyric acid in EtOAc/CH2Cl2 was treated with CH2N2 in Et2O to give 100% Me ester, which was added to a solution of NH2OH.HCl and KOH in MeOH/H2O to give 4-Ph6H4C(:NOH)CH2CH2CONOH.

MSTR 1

G1 = 230

L7 ANSWER 41 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G2 = 21

G5 = N
G7 = 228

G8 = O
G17 = 152-147 151-145 152-148

G18 = (1-2) CH2
G19 = alkyl<(1-9)>
G36 = 127

DER: and pharmaceutically acceptable salts and prodrugs
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: also incorporates broader disclosure
NTE: further substitution and derivatization also claimed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 42 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:346538 MARPAT
TITLE: Thiazolidine and oxazolidine derivatives for the treatment of acute myocardial infarction and inhibition of cardiomyocyte apoptosis
INVENTOR(S): Wang, Ping H.
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

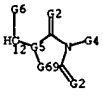
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9959586 | A1 | 19991125 | WO 1999-US11101 | 19990519 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9940052 | A1 | 19991206 | AU 1999-40052 | 19990519 |

PRIORITY APPLN. INFO.: US 1998-86030P 19980519
US 1998-87204P 19980528
WO 1999-US11101 19990519

AB It has been demonstrated that antidiabetic thiazolidine and oxazolidine derivs. (glitazones) exhibit novel effects on apoptosis of cardiomyocytes. These substances are capable of greatly decreasing apoptosis by a pathway that is not Caspase 3 dependent. Addition of IGF1 to the treatment further prevents apoptosis. Glitazones alone or glitazones plus IGF1 should be administered at the beginning of a myocardial infarction and continued through the recuperation period to reduce morbidity and prevent unfavorable remodeling of the myocardium. Thus, troglitazone (5 μM), when added to a culture medium, reduced doxorubicin-induced apoptosis of cardiomyocyte by approx. 60%.

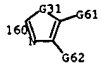
MSTR 1

G1 = 12

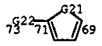


G2 = O
G19 = 160

L7 ANSWER 42 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G20 = 73-46 69-11



G21 = 78



G22 = 80

G31 = 155

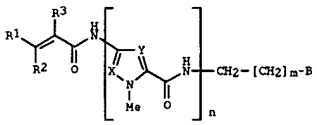
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:257879 MARPAT
TITLE: Preparation of distamycin acryloyl derivatives as antitumor agents
INVENTOR(S): Cozzi, Paolo; Baraldi, Pier Giovanni; Beria, Italo; Caldarelli, Marina; Capolongo, Laura; Romagnoli, Romeo
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9950265 | A1 | 19991007 | WO 1999-EP1822 | 19990317 |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9934154 | A1 | 19991018 | AU 1999-34154 | 19990317 |
| EP 1064280 | A1 | 20010103 | EP 1999-915664 | 19990317 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002509929 | T2 | 20020402 | JP 2000-541169 | 19990317 |
| US 6753316 | B1 | 20040622 | US 2000-623506 | 20000919 |
| PRIORITY APPLN. INFO.: | | | GB 1998-6689 | 19980327 |
| | | | WO 1999-EP1822 | 19990317 |

GI

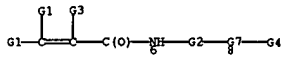


I

AB Distamycin acryloyl derivs. I [n = 2-4; m = 1 or 2; X, Y = N or CH, selected independently for each heterocyclic ring; R1, R2 = H, halo, alkyl; R3 = H, halo; B = C(NH2):NCN, C(NR4R5):NR6, C(NH2):NNH2, CONR7R8, NHC(NH2):NR9, NR10R11, C(NH2):NOR12, CN; R4-8 and R10-12 are H or alkyl and R9 is H or OH] or their pharmaceutically acceptable salts were prepared as antitumor agents. Thus, treatment of cyanamide with NaH in DMF, followed by addition of distamycin A and hydrolysis of the formyl group with aqueous HCl afforded an amino derivative, which was treated with 1-methyl-3-(α-bromoacrylamido)pyrrole-5-carbonyl chloride (preparation given) to give I [n = 4, m = 1, X = Y = CH, R1 = R2 = H, R3 = Br, B =

L7 ANSWER 43 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
C(NH2):NCN].

MSTR 1



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:130007 MARPAT
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9937304 | A1 | 19990729 | WO 1999-US1682 | 19990127 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9900607 | A | 19990727 | ZA 1999-607 | 19990127 |
| CA 2319198 | AA | 19990729 | CA 1999-2319198 | 19990127 |
| AU 9926533 | A1 | 19990809 | AU 1999-26533 | 19990127 |
| AU 745425 | B2 | 20020321 | | |
| BR 9907300 | A | 20001024 | BR 1999-7300 | 19990127 |
| EP 1051176 | A1 | 20001115 | EP 1999-906684 | 19990127 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200002182 | T2 | 20001221 | TR 2000-20000218219990127 | |
| JP 2002501024 | T2 | 20020115 | JP 2000-528286 | 19990127 |
| EE 200000435 | A | 20020215 | EE 2000-435 | 19990127 |
| WO 2000032590 | A1 | 20000608 | WO 1999-US28074 | 19991124 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2003529531 | T2 | 20031007 | JP 2000-585232 | 19991124 |
| NO 2000003808 | A | 20000926 | NO 2000-3808 | 20000725 |
| BG 104633 | A | 20010330 | BG 2000-104633 | 20000725 |
| US 2004102450 | A1 | 20040527 | US 2003-628093 | 20030725 |
| PRIORITY APPLN. INFO.: | | | US 1998-72707P | 19980127 |
| | | | US 1998-110012P | 19981125 |
| | | | WO 1999-US1682 | 19990127 |
| | | | US 1999-313611 | 19990518 |
| | | | US 1999-363196 | 19990728 |
| | | | WO 1999-US28074 | 19991124 |

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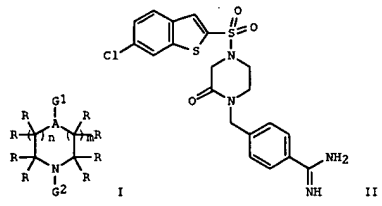
L7 ANSWER 44 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I II

AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compound II.

MSTR 1A

G2 = 9-1 6-3

G4 = (1-2) CH2 (SO)
G6 = benzimidazolyl
G7 = 357

L7 ANSWER 44 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)





G8 = CH
G10 = O
G12 = 266

DER: or pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates or solvates
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions of alkylene, alkenylene and alkynylene in G7 and G14 also claimed
NTE: additional oxo and thioxo substitution on rings in G2 also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 45 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:58652 MARPAT
TITLE: Preparation of N-adamantylmethylbenzamides and analogs as purinergic P2Z receptor antagonists
INVENTOR(S): Baxter, Andrew; Mcinally, Thomas; Mortimore, Michael; Cladingboel, David
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9929661 | A1 | 19990617 | WO 1998-SE2188 | 19981201 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2312420 | AA | 19990617 | CA 1998-2312420 | 19981201 |
| AU 9917913 | A1 | 19990628 | AU 1999-17913 | 19981201 |
| AU 744280 | B2 | 20020221 | | |
| EP 1036059 | A1 | 20000920 | EP 1998-962751 | 19981201 |
| EP 1036059 | B1 | 20020918 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9813390 | A | 20001003 | BR 1998-13390 | 19981201 |
| TR 200001605 | T2 | 20001023 | TR 2000-200001605 | 19981201 |
| JP 2001525392 | T2 | 20011211 | JP 2000-524258 | 19981201 |
| EE 200000378 | A | 20011217 | EE 2000-200000378 | 19981201 |
| AT 224360 | E | 20021015 | AT 1998-962751 | 19981201 |
| PT 1036059 | T | 20030228 | PT 1998-962751 | 19981201 |
| ES 2184352 | T3 | 20030401 | ES 1998-962751 | 19981201 |
| RU 2214997 | C2 | 20031027 | RU 2000-117574 | 19981201 |
| US 6201024 | B1 | 20010313 | US 1999-230478 | 19990126 |
| NO 2000002786 | A | 20000731 | NO 2000-2786 | 20000531 |
| US 2001003121 | A1 | 20010607 | US 2000-745740 | 20001226 |
| US 6303659 | B2 | 20011016 | | |
| US 6258838 | B1 | 20010710 | US 2000-745346 | 20001226 |

PRIORITY APPLN. INFO.:
SE 1997-4544 19971205
WO 1998-2188 19981201
WO 1998-SE2188 19981201
US 1999-230478 19990126

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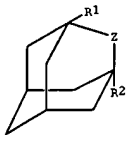
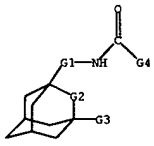
L7 ANSWER 45 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

AB Title compds. [I; R1 = (CH2)xNHCOR; R = (un)substituted Ph, -pyridyl, -indolyl, etc.; R2 = H or halo; Z = O or CH2; X = 1 or 2] were prepared Thus, 1-adamantanemethylamine was amidated by 2,4-Cl2C6H3COCl to give I (R1 = CH2NHCOC6H3C12-2,4, R2 = H, Z = CH2). Data for biol. activity of I were given.

MSTR 1



DER: or pharmaceutically acceptable salts or solvates
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 46 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 131:19000 MARPAT
TITLE: Preparation of phenyloxazolidinones as bactericides
INVENTOR(S): Betts, Michael John; Swain, Michael Lingard
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9928317 | A1 | 19990610 | WO 1998-GB3496 | 19981124 |
| W: JP, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 1034175 | A1 | 20000913 | EP 1998-955759 | 19981124 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2001525320 | T2 | 20011211 | JP 2000-523209 | 19981124 |
| US 6495551 | B1 | 20021217 | US 2000-555203 | 20000525 |

PRIORITY APPLN. INFO.:
GB 1997-25244 19971129
WO 1998-GB3496 19981124

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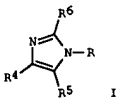
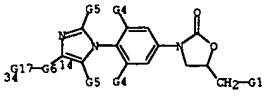


I

AB Title compds. [I; R = Z1ZCH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepared Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene)(II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO)(preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc)(III; R7 = OH) which was thioetherified by pyrimidine-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepared I were given.

MSTR 1A

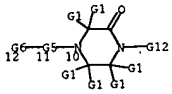


L7 ANSWER 46 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G5 = CF3
G6 = C(O)
G17 = imidazolyl
DER: and pharmaceutically acceptable salts or protected derivatives
MPL: claim 1
NTE: also incorporates structures 2 and 4 from claim 6

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
prepd. E.g., 1-(3-chlorophenyl)-4-[1-(4-cyanobenzyl)-5-imidazolylmethyl]-2-piperazinone dihydrochloride was prepd.

MSTR 1



G5 = 19-12 20-10

G14 = imidazolyl (SO)
G17 = 117-11 119-85

G21 = 227-88 228-86

DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:223297 MARPAT
TITLE: Preparation of piperazinones as inhibitors of prenyl-protein transferase
INVENTOR(S): Dinsmore, Christopher J.; Hutchinson, John H.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9909985 | A1 | 19990304 | WO 1998-US17696 | 19980826 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2301770 | AA | 19990304 | CA 1998-2301770 | 19980826 |
| AU 9891213 | A1 | 19990316 | AU 1998-91213 | 19980826 |
| AU 741725 | B2 | 20011206 | | |
| EP 1014984 | A1 | 20000705 | EP 1998-943406 | 19980826 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2001513561 | T2 | 20010904 | JP 2000-507375 | 19980826 |
| US 6387903 | B1 | 20020514 | US 2000-463917 | 20000201 |

PRIORITY APPLN. INFO.: US 1997-57080P 19970827
GB 1998-975 19980116
WO 1998-US17696 19980826

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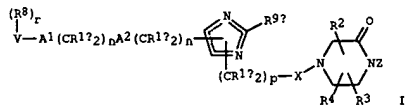

AB Piperazinones I [R1a = H, alkyl; R1b = H, aryl, cycloalkyl, etc.; R3, R4 = H, Me; R2 = H, aryl, heteroaryl, etc.; R8 = H, alkyl, alkenyl, alkynyl, etc.; R9a = H, Me; A1, A2 = bond, CH:CH, C.tplbond.C, CO, etc.; V = H, heterocycle, aryl, etc.; X = CH2, CO; Z = aryl, arylmethyl, arylsulfonyl, etc.; n = 0-4; p = 0-4; m = 0-2], inhibitors of prenyl-protein transferases, farnesyl-protein transferase and geranylgeranyl-protein transferase type I, and the prenylation of the oncogene protein Ras, were

L7 ANSWER 48 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:209709 MARPAT
TITLE: Preparation of imidazolylmethylaminobenzophenones as inhibitors of prenyl-protein transferases, farnesyl-protein transferase and geranylgeranyl-protein transferase type I, and the prenylation of the oncogene protein Ras.
INVENTOR(S): Graham, Samuel L.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9910329 | A1 | 19990304 | WO 1998-US17692 | 19980826 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9889212 | A1 | 19990316 | AU 1998-89212 | 19980826 |

PRIORITY APPLN. INFO.: US 1997-57097P 19970827
GB 1998-13579 19980624
WO 1998-US17692 19980826

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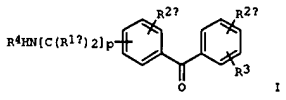
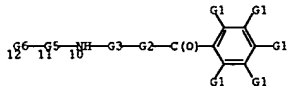


AB Title compds. [I; R1b = H, aryl, heterocyclyl, cycloalkyl, alkenyl, (substituted) alkyl, etc.; R2a, R2b, R3 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, etc.; R4 = specified substituted imidazolyl(alkyl) residue; p = 0-4; with provisos], were prepared Thus, 4-aminobenzophenone in ClCH2CH2Cl was treated with 4Å mol. sieves, NaBH(AcO)3, and 1-(4-cyanobenzyl)-5-imidazolecarboxaldehyde (preparation given)
followed by 26 h stirring to give 4-[[[1-(4-cyanobenzyl)-5-imidazolyl]methyl]amino]benzophenone hydrochloride. The latter inhibited human farnesyl protein transferase with IC50 = 50 μM.

MSTR 1

L7 ANSWER 48 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = 19-12 20-10

G14 = imidazolyl (SO)
G17 = 117-11 119-85

G21 = 227-88 228-86

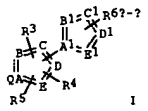
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 49 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:168376 MARPAT
TITLE: Preparation of thienylthienylmethylimidazoles, pyrrolylthienylmethylimidazoles, and related compounds as inhibitors of farnesyl-protein transferase.
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 37 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5874452 | A | 19990223 | US 1997-827484 | 19970327 |

PRIORITY APPLN. INFO.: US 1997-827484 19970327
GI



I

AB Title compds. [I; Q = (R8)rVA1[C(R1)2]nA2[C(R1)2]n[W(R9)q]t[C(R2)2]pX[C(R2)2]p; A = N, C; 0-4 of B, C, D, E = N, NH, O, S, the rest = CH; if A = C, then ≥1 of B, C, D, E = N, NH, O, S; A1 = N, C; 0-4 of B1, C1, D1, E1 = N, NH, O, S, the rest = CH; if A1 = C, then ≥1 of B1, C1, D1, E1 = N, NH, O, S; R1, R2 = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, (substituted) alkyl, etc.; R3, R4, R5 = H, (substituted) aryl, heterocyclyl, alkyl, cycloalkyl, alkenyl, alkynyl, halo, perfluoroalkyl, etc.; R6a-R6d = H, (substituted) aryl, heterocyclyl, alkyl, cycloalkyl, alkenyl, alkynyl, halo, perfluoroalkyl, etc.; R7 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl; R8 = H, (substituted) aryl, heterocyclyl, alkyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, etc.; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, (substituted) alkyl, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, CO, O, S, SO, SO2, etc.; W = heterocyclyl; X = bond, CH:CH, O, DO, CO2, etc.; n, p = 0-4; q = 0-3; R = 0-5; t = 0, 1], were prepared for treatment of cancer, neurofibromin benign proliferative disorder, blindness related to retinal vascularization, restenosis, and hepatitis delta infection (no data).

MSTR 1A

L7 ANSWER 49 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G1 = 37-1 39-3

G2 = NH (SO)
G3 = N / 33

G5 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

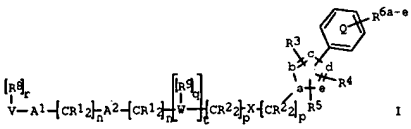
G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

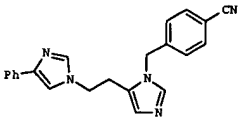
L7 ANSWER 50 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:110262 MARPAT
TITLE: Preparation of arylheteroaryl inhibitors of farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5859035 | A | 19990112 | US 1997-827486 | 19970327 |

PRIORITY APPLN. INFO.: US 1997-827486 19970327
GI



I



II

AB The title compds. [I; a = N, C; from 0-4 of b, c, d and e = N, NH, O, and S, and the remaining b-e = CH; R1, R2 = H, aryl, heterocyclyl, etc.; R3-R5 = H, (un)substituted aryl, heterocyclyl, etc.; R6a-R6e = H, (un)substituted aryl, heterocyclyl, etc.; R8 = H, (un)substituted aryl, heterocyclyl, etc.; R9 = H, C2-6 alkenyl, C2-6 alkynyl, etc.; A1, A2 = a bond, CH:CH, C.tplbond.C, etc.; V = H, heterocycle, aryl, etc.; W = heterocycle; X = a bond, CH:CH, O, etc.; n, p = 0-4; q = 0-3; r = 0-5 (provided that r = 0 when V = H); t = 0-1] and their salts, inhibitors of farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras, and are useful in treating cancer, neurofibromin benign proliferative disease, blindness related to retinal vascularization, infections from hepatitis delta and related viruses, polycystic kidney disease, and in preventing restenosis, were prepared E.g. a 6-step synthesis of imidazole II.2HCl, which showed IC50 of 50 μM

L7 ANSWER 50 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
against human FPTase, was given.

MSTR 1

G1 = 37-1 39-3

G2 = NH (SO)
G3 = N / 33

G5 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:95548 MARPAT
TITLE: Preparation of biheteroaryl compounds as farnesyl protein transferase inhibitors
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 36 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5854265 | A | 19981229 | US 1997-827476 | 19970327 |

PRIORITY APPLN. INFO.: US 1997-827476 19970327

AB Title compds., e.g., R8C6H4A1[C(R1)2]nZ[c(R2)2]pXZ1Q [A1 = bond, O, CO, NH, etc.; Q = (un)substituted pyridyl, -pyrimidinyl, -pyrazolyl, etc.; R1 = H or (cyclo)alkyl; R2 = H, NH2, (ar)alkyl, aryl, etc.; R8 = H, acyl, alkyl, etc.; X = bond, CH:CH, O, CO, NH, etc.; Z = (un)substituted imidazole-1,4-, -1,5-, -4,1-, or -5,1-diyl; Z1 = (un)substituted 5-membered heteroarylene; n = 0 or 1; p = 0-4] were prepared as farnesyl protein transferase inhibitors (no data). Thus, preparation of 1-[5-(2-pyridyl)-2-thienylmethyl]-5-(4-cyanobenzyl)imidazole was described.

MSTR 1A

G1 = 37-1 39-3

G2 = NH (SO)
G3 = 33

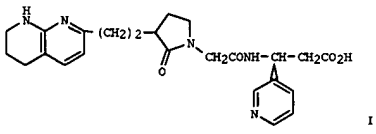
G5 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

L7 ANSWER 52 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 129:310899 MARPAT
TITLE: Combination therapy for the prevention and treatment of osteoporosis
INVENTOR(S): Patchett, Arthur A.; Rodan, Gideon A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9846220 | A1 | 19981022 | WO 1998-US7065 | 19980409 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9871054 | A1 | 19981111 | AU 1998-71054 | 19980409 |

PRIORITY APPLN. INFO.: US 1997-43815P 19970414
GB 1997-16883 19970808
WO 1998-US7065 19980409

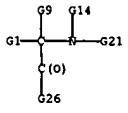
GI



I

AB The combination of an αvβ3 antagonist and a growth hormone secretagogue is useful in the treatment or prevention of diseases involving bone resorption, especially osteoporosis. Among many compds. prepared was I. An example is given for combination therapy with I and N-[1(R)-[[1,2-dihydro-1-methylsulfonylspiro(3H-indole-3,4'-piperidin)-1'-yl]carbonyl]-3-phenylpropyl]-2-amino-2-methylpropanamide.

MSTR 1



L7 ANSWER 52 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

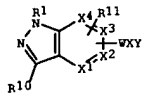
DER: and pharmaceutically acceptable salts
MPL: claim 2
NTE: additional ring formation also claimed
STE: and individual diastereomers

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

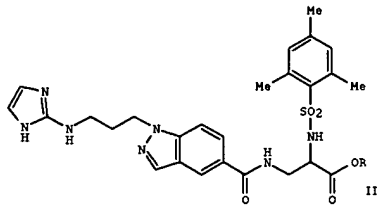
L7 ANSWER 53 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 129:302639 MARPAT
TITLE: Preparation of imidazolylaminopropylindazolylcarbonylaminopropionate ammonioalkyl esters and related compounds as integrin αvβ3 inhibitor prodrugs.
INVENTOR(S): Jadhav, Prabhakar; Batt, Douglas G.; Hussain, Munir A.; Pitts, William J.; Repta, Arnold J.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 311 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9843962 | A1 | 19981008 | WO 1998-US6054 | 19980327 |
| W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9867803 | A1 | 19981022 | AU 1998-67803 | 19980327 |
| US 6214834 | B1 | 20010410 | US 1998-49305 | 19980327 |
| PRIORITY APPLN. INFO.: | | | US 1997-41759P | 19970328 |
| | | | WO 1998-US6054 | 19980327 |

GI



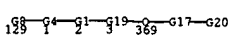
I



II

L7 ANSWER 53 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
AB Title compds. [I; X1-X4 = N, C; ≥2 of X1-X4 = C; R1 = specified heterocyclylalkyl; R10 = H, amino, halo, NO2, cyano, CF3, sulfonylamino, carbamoyl, (substituted) alkyl, alkoxy, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, etc.; R11 = H, halo, CF3, cyano, NO2, OH, amino, (substituted) alkyl, alkoxy, aryl, aralkyl, alkoxycarbonyl, alkylcarbonyl, alkylsulfonyl, alkylaminosulfonyl; W = [C(R12)2]qCONR13, CONR13[C(R12)2]q; X = CR12R14CR12R15; WX = specified piperazinylcarbonyl(alkyl); Y = COR19; R12 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, aryl, aralkyl; R13 = H, (substituted) alkyl, cycloalkylmethyl, aralkyl; R14 = H, alkylthioalkyl, aralkylthioalkyl, aralkoxyalkyl, alkyl, alkoxyalkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R15 = H, (substituted) alkyl, alkoxyalkyl, alkylaminoalkyl, aralkylcarbonyl, aryl, heteroaryl, heteroarylalkyl, aminosulfonyl, aminosulfonylamino, etc.; R19 = O(CH2)kN+R22R23R24 Z-; Z- = specified pharmaceutically acceptable anion; R22-R24 = H, (substituted) alkyl, cyclolalkylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl; R22R23 = (substituted) 5-7 membered heterocyclyl; R22R23R24 = (substituted) heterobicyclyl; q = 0-2; k = 2-6], were prepared I may be administered by iontophoresis for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis. Thus, title compound (II; R = CH2CH2N+Me3) showed electrophoretic mobility = 3.2 cm2/V/s at pH 4.5, vs. 1.7 cm2/V/s for II (R = Me).

MSTR 1A



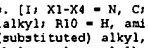
G4 = 150

G7 = Ak<EC (1-) C, BD (ALL) SE> (SO)
G8 = 613

G30 = 45-1 43-63 50-3

G31 = 536

L7 ANSWER 53 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: also incorporates claims 6 and 11
STE: including stereoisomeric forms or mixtures of stereoisomeric forms

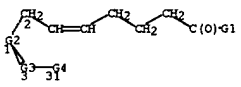
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 129:166072 MARPAT
TITLE: Prostaglandins for enhancing hair growth
INVENTOR(S): Johnstone, Murray A.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9833497 | A1 | 19980806 | WO 1998-US2289 | 19980203 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2279967 | AA | 19980806 | CA 1998-2279967 | 19980203 |
| AU 9862709 | A1 | 19980825 | AU 1998-62709 | 19980203 |
| AU 750039 | B2 | 20020711 | | |
| EP 1021179 | A1 | 20000726 | EP 1998-904968 | 19980203 |
| EP 1021179 | B1 | 20040512 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2001511155 | T2 | 20010807 | JP 1998-533248 | 19980203 |
| AT 266397 | E | 20040515 | AT 1998-904968 | 19980203 |
| US 6262105 | B1 | 20010717 | US 1999-366656 | 19990803 |
| PRIORITY APPLN. INFO.: | | | US 1997-37237P | 19970204 |
| | | | WO 1998-US2289 | 19980203 |

AB Methods and compns. for stimulating the growth of hair are disclosed containing prostaglandins, derivs. or analogs thereof for use in treating the skin or scalp of a human or non-human animal. Prostaglandins of the A2, F2α and E2 types are preferred for this treatment method. A topical cream containing 13,14-dihydro-15-dehydro-17-phenyl-18,19,20-trinor-PGF2α iso-Pr ester was formulated and applied to a bald human scalp 3 times a day to stimulate the growth of hair.

MSTR 1



G2 = 27-2 23-3

L7 ANSWER 54 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G3 = 104

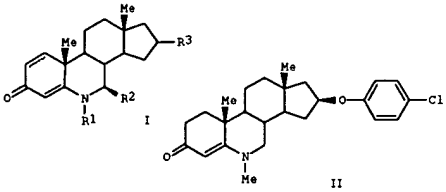
G4 = imidazolyl
G8 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO (1-) OH)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: O-, S-, or N-atom interruptions and additional oxo substitution in Ak in G3 also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 55 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:282976 MARPAT
TITLE: Preparation of 16-substituted-6-azaandrost-4-en-3-ones as 5α-reductase inhibitors
INVENTOR(S): Aster, Susan D.; Graham, Donald W.; Von Langen, Derek J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

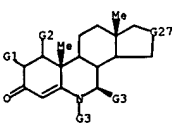
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5741795 | A | 19980421 | US 1996-732953 | 19961017 |
| PRIORITY APPLN. INFO.: | | | US 1996-732953 | 19961017 |

GI



AB Compds. of formula I [R1, R2 = H, alkyl; R3 = H, alkyl, CN, F, OH, alkyl-X, alkenyl-X, aryl-X, etc.; X = O, S, S(O), SO2, CO, CONH, etc.] are prepared as inhibitors of 5α-reductase, and are useful for the treatment of hyperandrogenic disorders such as acne vulgaris, seborrhea, female hirsutism, male pattern baldness, prostatic carcinoma, prostatitis and benign prostatic hyperplasia. Thus, 3β-Hydroxyandrost-5-en-17-one was transformed into the 6-aza compound II in 14 steps. Compds. of formula I had IC50 values between 0.1 to 1000 nM in 5α-reductase inhibitor study. Pharmaceutical compns. containing II are described.

MSTR 1



L7 ANSWER 55 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G5 = 37

G12 = imidazolyl
G27 = 16

DER: or pharmaceutically acceptable salts or esters
MPL: claim 1

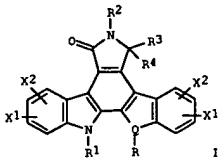
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 56 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:217590 MARPAT
TITLE: Preparation of amino sugar and related sugar derivatives of indolopyrrolocarbazoles as antitumors
INVENTOR(S): Saulnier, Mark George; Balasubramanian, Neelakantan; Frennesson, David Bertil; St. Laurent Denis R.; Langley, David R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9807433 | A1 | 19980226 | WO 1997-US14738 | 19970821 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9741558 | A1 | 19980306 | AU 1997-41558 | 19970821 |
| AU 710669 | B2 | 19990923 | | |
| BR 9711306 | A | 19990817 | BR 1997-11306 | 19970821 |
| CN 1228704 | A | 19990915 | CN 1997-197437 | 19970821 |
| CN 1097460 | B | 20030101 | | |
| EP 971717 | A1 | 20000119 | EP 1997-939482 | 19970821 |
| EP 971717 | B1 | 20011219 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2000516250 | T2 | 20001205 | JP 1998-510975 | 19970821 |
| RU 2167880 | C2 | 20010527 | RU 1999-105751 | 19970821 |
| AT 210988 | E | 20020115 | AT 1997-939482 | 19970821 |
| PT 971717 | T | 20020628 | PT 1997-939482 | 19970821 |
| ES 2169414 | T3 | 20020701 | ES 1997-939482 | 19970821 |
| PL 187205 | B1 | 20040630 | PL 1997-331709 | 19970821 |
| NO 9900789 | A | 19990219 | NO 1999-789 | 19990219 |
| NO 312071 | B1 | 20020311 | | |
| HK 1024177 | A1 | 20020719 | HK 2000-103550 | 20000613 |
| PRIORITY APPLN. INFO.: | | | US 1996-24657P | 19960822 |
| | | | WO 1997-US14738 | 19970821 |

GI

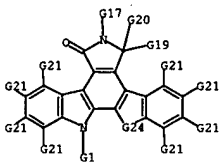
L7 ANSWER 56 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



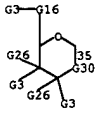
I

AB Title compds. I (R, R1 = independently H, substituted furan or pyran sugar derivative; R2 = H, alkyl, aryl, arylalkyl, alkoxy, amine, aminoalkyl ester; R3, R4 = independently OH, H; R3R4 = O; X1, X2 = independently H, halogen, OH, CN, NC, CF3, acyl, NO2, aminoalkoxy, alkoxy; Q = O, N S, CH2), some of which are topoisomerase I active agents were prepared These compds. were useful in inhibiting proliferation of antitumor cells and antitumor effects. Thus, I (R = H; R1 = 6-amino-6-deoxy-β-D-glucopyranosyl; X1 = H; X2 = F at positions 3 and 9; Q = N) was prepared with in-vitro cell based cytotoxicity activity IC50(μM = 0.11) and topoisomerase I activity EC50(μM = 0.03).

MSTR 1

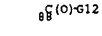


G1 = 35



G3 = 88 / imidazolyl

L7 ANSWER 56 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G4 = O
G16 = 102

DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: additional oxo and imino-formation also claimed

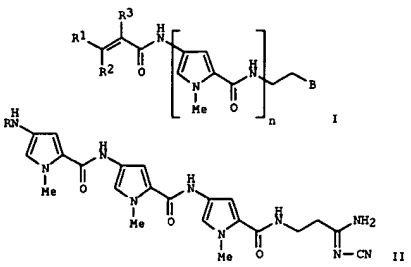
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 57 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:180670 MARPAT
TITLE: Preparation of acryloyl-substituted distamycin derivatives as antitumor and antiviral agents
INVENTOR(S): Cozzi, Paolo; Beria, Italo; Biasoli, Giovanni; Caldarelli, Marina; Capolongo, Laura; Franzetti, Cristina
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9804524 | A1 | 19980205 | WO 1997-EP3719 | 19970710 |
| W: AU, BG, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, SG, SI, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2260060 | AA | 19980205 | CA 1997-2260060 | 19970710 |
| AU 9740098 | A1 | 19980220 | AU 1997-40098 | 19970710 |
| AU 724511 | B2 | 20000921 | | |
| EP 915845 | A1 | 19990519 | EP 1997-937474 | 19970710 |
| EP 915845 | B1 | 20010912 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI | | | | |
| BR 9710717 | A | 19990817 | BR 1997-10717 | 19970710 |
| CN 1226232 | A | 19990818 | CN 1997-196737 | 19970710 |
| CN 1116281 | B | 20030730 | | |
| JP 2000515164 | T2 | 20001114 | JP 1998-508428 | 19970710 |
| AT 205476 | E | 20010915 | AT 1997-937474 | 19970710 |
| ES 2164366 | T3 | 20020216 | ES 1997-937474 | 19970710 |
| PT 915845 | T | 20020328 | PT 1997-937474 | 19970710 |
| IL 127689 | A1 | 20030731 | IL 1997-127689 | 19970710 |
| ZA 9706549 | A | 19980203 | ZA 1997-6549 | 19970723 |
| NO 9900246 | A | 19990120 | NO 1999-246 | 19990120 |
| NO 310817 | B1 | 20010903 | | |
| KR 2000029448 | A | 20000525 | KR 1999-700409 | 19990120 |
| US 6482920 | B1 | 20021119 | US 1999-147573 | 19990122 |
| HK 1020948 | A1 | 20031212 | HK 1999-106034 | 19991222 |
| US 2003023031 | A1 | 20030130 | US 2002-196363 | 20020717 |
| PRIORITY APPLN. INFO.: | | | GB 1996-15692 | 19960725 |
| | | | WO 1997-EP3719 | 19970710 |
| | | | US 1999-147573 | 19990122 |

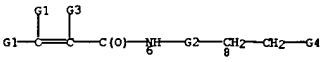
GI

L7 ANSWER 57 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Acryloyl-substituted distamycin derivs. I [n = 2-4; R1, R2 = independently H, halo, C1-C4 alkyl; R3 = H, halo; B = C(NH2):NCN, C(NR4R5):NR6, C(NH2):NOH, C(NH2):NNH2, NHC(NH2):NH, CN, CONR7R8; R4, R5, R6, R7, R8 = independently, H, C1-C4 alkyl, with the proviso that at least one of R4, R5 and R6 = C1-C4 alkyl] and pharmaceutically acceptable salts thereof are prepared as useful antineoplastic and antiviral agents. Thus, treatment of NH2CN with NaH in DMF, followed by addition of distamycin A gave the corresponding cyanamidine II (R = CHO), which was hydrolyzed with aqueous HCl to give amino derivative II (R = H). Treatment of II (R = H) with 1-methyl-4-(α-bromoacrylamido)pyrrole-2-carbonyl chloride (preparation given) gave desired title compound I [n = 4, B = C(NH2):NCN, R1 = R2 = H, R3 = Br]. Prepared compds. I showed very good antitumor activity in vitro and in vivo against murine L1210 leukemia, and remarkable effectiveness in interfering with the reproductive activity of pathogenic viruses and protect tissue cells from viral infections.

MSTR 1



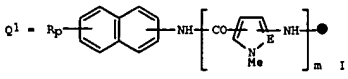
DER: or pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 58 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:3684 MARPAT
TITLE: Preparation of naphthylcarbamoylpyrazole and -pyrrole derivatives as virucides, angiogenesis inhibitors, and neutralizers of TNFα activity.
INVENTOR(S): Mongelli, Nicola; Crugnola, Angelo; Lombardi Borgia, Andrea; Sola, Francesco
PATENT ASSIGNEE(S): Pharmacia Spa, Italy; Pharmacia & Upjohn S.P.A.
SOURCE: Brit. UK Pat. Appl., 53 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

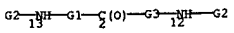
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 2310207 | A1 | 19970820 | GB 1996-3213 | 19960215 |

PRIORITY APPLN. INFO.: GB 1996-3213 19960215
GI



AB BCOB [B = Q1; m = 1-6; p = 1-3; E = CH, N; R = (esterified) acid group], were prepared for treatment of lentivirus infection (no data). Thus, 2-[[3-[(3-amino-1-methylpyrazole-5-carbonyl)amino]-1-methylpyrazole-5-carbonyl]amino]naphthalene-6,8-disulfonic acid monopotassium salt (preparation given), K2CO3, and bis(trichloromethyl)carbonate were stirred in H2O/dioxane to give 86% carbonylbis-2-[[3-[(3-amino-1-methylpyrazole-5-carbonyl)amino]-1-methylpyrazole-5-carbonyl]amino]naphthalene-6,8-disulfonic acid tetrapotassium salt.

MSTR 1

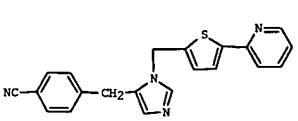


DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 59 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:331491 MARPAT
TITLE: Cyanobenzylimidazoles as inhibitors of farnesyl protein transferase
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Anthony, Neville J.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9736897 | A1 | 19971009 | WO 1997-US5358 | 19970401 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2249665 | AA | 19971009 | CA 1997-2249665 | 19970401 |
| AU 9726021 | A1 | 19971022 | AU 1997-26021 | 19970401 |
| AU 714851 | B2 | 20000113 | | |
| EP 891356 | A1 | 19990120 | EP 1997-917781 | 19970401 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000507592 | T2 | 20000620 | JP 1997-535547 | 19970401 |

PRIORITY APPLN. INFO.: US 1996-14592P 19960403
GB 1996-13462 19960627
US 1996-22558P 19960724
GB 1996-17258 19960816
WO 1997-US5358 19970401
GI



AB Compds. which inhibit farnesyl-protein transferase and the farnesylation of the oncogene protein Ras (no data) are claimed. Some cyanobenzylimidazoles were prepared 4-BrCH2C6H4CN was treated with 4-iodo-1-tritylimidazole, followed by 5-(2-pyridyl)-2-thiophenemethanol to give the imidazole I.

MSTR 1A

G1 = 37-1 39-3

L7 ANSWER 59 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G2 = NH (SO)
G3 = 33

G5 = Ak<BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

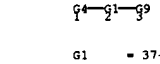
L7 ANSWER 60 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:331489 MARPAT
TITLE: Cyanobenzylimidazoles as inhibitors of farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9736881 | A1 | 19971009 | WO 1997-US5514 | 19970401 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2249639 | AA | 19971009 | CA 1997-2249639 | 19970401 |
| AU 9726058 | A1 | 19971022 | AU 1997-26058 | 19970401 |
| AU 716381 | B2 | 20000224 | | |
| EP 891339 | A1 | 19990120 | EP 1997-917830 | 19970401 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000509371 | T2 | 20000725 | JP 1997-535590 | 19970401 |

PRIORITY APPLN. INFO.: US 1996-14592P 19960403
GB 1996-13462 19960627
US 1996-22340P 19960724
GB 1996-17278 19960816
WO 1997-US5514 19970401

AB Compds. which inhibit farnesyl protein transferase and the farnesylation of the oncogene protein Ras are claimed. Cyanobenzylimidazoles were prepared and tested. Thus, 4-BrCH2C6H4CN was treated with 4-iodo-1-tritylimidazole, followed by 1-bromomethyl-3-phenylisoxazole to give 1-(3-phenyl-5-isoxazolylmethyl)-5-(4-cyanobenzyl)imidazole-HCl which had an IC50 for inhibition of human farnesyl protein transferase of ≤ 50 μM.

MSTR 1



G1 = 37-1 39-3

L7 ANSWER 60 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G2 = NH (SO)
G3 = N / 33

G5 = Ak<BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

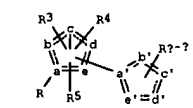
G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed

L7 ANSWER 61 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:331486 MARPAT
TITLE: Preparation of 5-membered ring heterocyclic compounds as inhibitors of farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Anthony, Neville J.
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

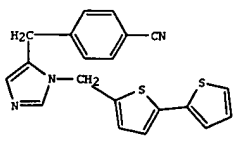
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9736585 | A1 | 19971009 | WO 1997-US6259 | 19970401 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2250204 | AA | 19971009 | CA 1997-2250204 | 19970401 |
| AU 9727307 | A1 | 19971022 | AU 1997-27307 | 19970401 |
| AU 706497 | B2 | 19990617 | | |
| EP 904076 | A1 | 19990331 | EP 1997-921200 | 19970401 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000507956 | T2 | 20000627 | JP 1997-535636 | 19970401 |

PRIORITY APPLN. INFO.: US 1996-14592P 19960403
GB 1996-13462 19960627
US 1996-22586P 19960724
GB 1996-17279 19960816
WO 1997-US6259 19970401

GI



I



II

L7 ANSWER 61 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB The present invention is directed to compds. which inhibit farnesyl-protein transferase (FTase) and the farnesylation of the oncogene protein Ras (no data). The invention is further directed to chemotherapeutic compns. containing the compds: of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras. A method for treating cancer, blindness related to retinal vascularization, infections from hepatitis delta and related viruses, or polycystic kidney disease or preventing restenosis comprises administering to a mammal a therapeutically effective amount of the title compds. The title compds. are represented by formula [I; R = (R8)r-V-A1[C(R1)2]nA2[C(R1)2]n-[W(9)q]t-[C(R2)2]p-X-[C(R2)2]q; a, a' = N, C; 0-4 of b, c, d, and e and 0-4 of b', c', d', and e' = N or C and the remaining b, c, d, e, b', c', d', and e' = CH, provided that if a' and/or a' = C, at least one of b, c, d, and e and/or at least one of b', c', d', and e' = N, NH, O, or S; R1, R2 = H, aryl, heterocyclyl, C3-10 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, (un)substituted OH, acylamino, acyloxy, (un)substituted CONH2 or H2NC(:NH), cyano, NO2, acyl, N3, (un)substituted C1-6 alkyl, etc.; R3, R4, R5, R6a, R6b, R6c, R6d = H, (un)substituted aryl or heterocyclyl, group listed in R1 and R2; R8 = H, (un)substituted aryl, heterocyclyl, C3-10 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, perfluoroalkyl, F, Cl, Br, etc.; R9 = H, C2-6 alkenyl, C2-6 alkynyl, C1-6 perfluoroalkyl, F, Cl, Br, (un)substituted OH, acylamino, (un)substituted CONH2 or H2NC(:NH), cyano, NO2, acyl, N3, NH2, (un)substituted C1-6 alkyl, etc.; A1, A2 = a bond, CH:CH, C.tplbond.C, CO, (un)substituted CONH, NHCO, NH, SO2NH, NHSO2, O, S, SO, SO2; V = H, heterocyclyl, aryl, C2-20 alkenyl, C1-20 alkyl wherein 0-4 of C atoms are replaced with a heteroatom selected from O, S, and N; W = heterocyclyl; X = a bond, CH:CH, O, CO, (un)substituted CONH, NHCO, CONHCO, SO2NH, or NH, CO, OC, SO2, S, SO, SO2; n, p = 0, 1-4; q = 0, 1-3; r = 0-5, t = 0,1]. Thus, 5-(2-thienyl)-2-(hydroxymethyl)thiophene was triflated by triflic anhydride in the presence of diisopropylethylamine in CH2Cl2 at -78° for 1 h, followed by adding a solution of 1-trityl-4-(4-cyanobenzyl)imidazole in CH2Cl2, and the resulting mixture was stirred at ambient temperature for 2 h to give, after HPLC using a gradient mixture of H2O/MeCN containing 0.1% CF3CO2H, [(thienylthienyl)methyl]imidazole derivative (II.2CF3CO2H).

MSTR 1A

G1 = 37-1 39-3

G2 = NH (SO)
G3 = N / 33

L7 ANSWER 61 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G5 = Ak<BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

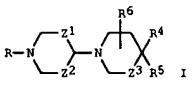
L7 ANSWER 62 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:149078 MARPAT
TITLE: Preparation of aroyl 4-piperidinopiperidides and analogs as tachykinin receptor antagonists
INVENTOR(S): Jansseens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9724324 | A1 | 19970710 | WO 1996-EP5883 | 19961220 |
| W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| TW 531537 | B | 20030511 | TW 1996-85115391 | 19961213 |
| CA 2238818 | AA | 19970710 | CA 1996-2238818 | 19961220 |
| AU 9713084 | A1 | 19970728 | AU 1997-13084 | 19961220 |
| AU 707037 | B2 | 19990701 | | |
| EP 855999 | A1 | 19980805 | EP 1996-944691 | 19961220 |
| EP 855999 | B1 | 20011004 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI | | | | |
| CN 1206406 | A | 19990127 | CN 1996-199389 | 19961220 |
| CN 1131854 | B | 20031224 | | |
| BR 9612334 | A | 19990302 | BR 1996-12334 | 19961220 |
| JP 2000502690 | T2 | 20000307 | JP 1997-524031 | 19961220 |
| AT 206397 | E | 20011015 | AT 1996-944691 | 19961220 |
| ES 2164939 | T3 | 20020301 | ES 1996-944691 | 19961220 |
| PT 855999 | T | 20020328 | PT 1996-944691 | 19961220 |
| IL 124640 | A1 | 20020523 | IL 1996-124640 | 19961220 |
| SK 283555 | B6 | 20030911 | SK 1998-831 | 19961220 |
| ZA 9610885 | A | 19980623 | ZA 1996-10885 | 19961223 |
| NO 9802404 | A | 19980819 | NO 1998-2404 | 19980527 |
| NO 310913 | B1 | 20010917 | | |
| US 6169097 | B1 | 20010102 | US 1998-102295 | 19980622 |
| HK 1011205 | A1 | 20020308 | HK 1998-112227 | 19981124 |
| US 6346540 | B1 | 20020212 | US 2000-615523 | 20000713 |

PRIORITY APPLN. INFO.: EP 1995-203651 19951227; WO 1996-EP5883 19961220; US 1998-102295 19980622

GI

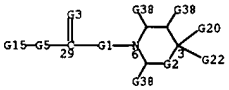
L7 ANSWER 62 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

AB Title compds. [I; R = C(:X)ZR2; R1 = (un)substituted (di)phenyl(alkyl); R2 = (un)substituted phenyl(alkyl), heteroaryl(alkyl), etc.; R4 = H, alkyl, alkoxycarbonyl, Ph, etc.; R5 = H, OH, NH2, phenyl(alkoxy), etc.; R4R5 = atoms to form a ring; R6 = H, OH, (phenyl)alkyl, alkoxy, etc.; X = O or (alkyl)imino; Z = bond, O, S, (alkyl)imino; Z1 = CH2 or CH2CH2; Z2,Z3 = bond, CH2, CH2CH2) were prepared Thus, 1,1-dimethylethyl 4-oxo-2-phenylmethylpiperidine-1-carboxylate was reductively condensed with N-(4-phenyl-4-piperidinyl)acetamide and the product deprotected to give I (R1 = CH2Ph, R4 = Ph, R5 = NHAc, R6 = H, Z1 = Z2 = Z3 = CH2) (II; R = H) which was amidated by 2,4-dimethylthiazole-5-carboxylic acid to give II (R = 2,4-dimethyl-5-thiazolylcarbonyl). Data for biol. activity of I were given.

MSTR 1A



G22 = 168

$_{168}C(O)$-G31

G31 = imidazolyl (SO G26)
G38 = alkyl<(1-6)> (SO (1) G23)
DER: or N-oxides or pharmaceutically acceptable addition salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 6
STE: or stereochemical isomers

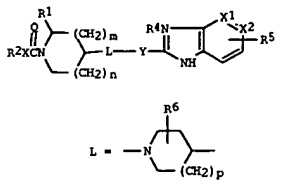
L7 ANSWER 63 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:135802 MARPAT
TITLE: N-acyl-2-substituted-4-(benzimidazolyl- or imidazopyridinyl)piperidines as tachykinin antagonists
INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surlerraux, Dominique Louis Nestor Ghislaine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9724350 | A1 | 19970710 | WO 1996-EP5877 | 19961220 |
| W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| TW 429256 | B | 20010411 | TW 1996-85115389 | 19961213 |
| CA 2238816 | AA | 19970710 | CA 1996-2238816 | 19961220 |
| AU 9713080 | A1 | 19970728 | AU 1997-13080 | 19961220 |
| AU 707116 | B2 | 19990701 | | |
| EP 869955 | A1 | 19981014 | EP 1996-944686 | 19961220 |
| EP 869955 | B1 | 20011024 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI | | | | |
| CN 1206414 | A | 19990127 | CN 1996-199406 | 19961220 |
| CN 1117090 | B | 20030806 | | |
| BR 9612326 | A | 19990713 | BR 1996-12326 | 19961220 |
| JP 2000502689 | T2 | 20000307 | JP 1997-524029 | 19961220 |
| AT 207484 | E | 20011115 | AT 1996-944686 | 19961220 |
| PT 869955 | T | 20020429 | PT 1996-944686 | 19961220 |
| ES 2166915 | T3 | 20020501 | ES 1996-944686 | 19961220 |
| IL 124642 | A1 | 20020814 | IL 1996-124642 | 19961220 |
| PL 184489 | B1 | 20021129 | PL 1996-327440 | 19961220 |
| SK 283533 | B6 | 20030911 | SK 1998-829 | 19961220 |
| CZ 294199 | B6 | 20041013 | CZ 1998-1866 | 19961220 |
| ZA 9610894 | A | 19980623 | ZA 1996-10894 | 19961223 |
| NO 9802406 | A | 19980824 | NO 1998-2406 | 19980527 |
| NO 313291 | B1 | 20020909 | | |
| US 6110939 | A | 20000829 | US 1998-102121 | 19980619 |
| HK 1012187 | A1 | 20020308 | HK 1998-113363 | 19981215 |

PRIORITY APPLN. INFO.: EP 1995-203650 19951227; EP 1995-203653 19951227; EP 1995-203652 19951227; WO 1996-EP5877 19961220

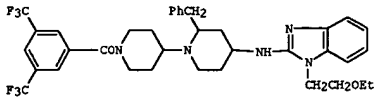
GI

L7 ANSWER 63 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I



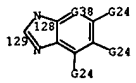


II

AB Title compds. I [n = 0-2; m = 1, 2; X = bond, O, S, NR3; X1, X2 = CH, N; Q = O, NR3; R1 = aryl, aralkyl, diarylalkyl; R2 = aryl, aralkyl, heterocyclyl, heteroxylylalkyl; L = Q1; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = H, halogen, OH, alkoxy; R6 = H, alkyl, aralkyl; p = 0-2] were prepared for use as substance P antagonists. Thus, (±)-tert-Bu 7-benzyl-1,4-dioxa-8-azaspiro[4.5]decane-8-carboxylate was treated with 3,5-(F3C)2C6H3COCl, followed by 1-(2-ethoxyethyl)-2-(4-piperidinylamino)benzimidazole to give the title compound II. Cis-II gave 80.7% inhibition of substance P-induced relaxation of pig coronary artery at 3 X 10-8 M while trans-II gave 85.3 % inhibition.

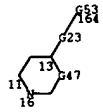
MSTR 1

G1 = 129-3 128-116



L7 ANSWER 63 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G9 = CH2Ph
G20 = 16-8 11-10 164-115



G53 = C(O)
DER: N-oxides or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed
NTE: also incorporates claim 12
NTE: substitution is restricted
STE: or stereochemically isomeric forms

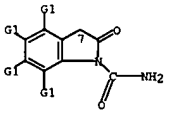
L7 ANSWER 64 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:113378 MARPAT
TITLE: Stable, long acting salts of indole derivatives for the treatment of joint diseases
INVENTOR(S): Ahmed, Imran
PATENT ASSIGNEE(S): Pfizer Inc., USA; Ahmed, Imran
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9722605 | A1 | 19970626 | WO 1996-IB1280 | 19961121 |
| W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9675034 | A1 | 19970714 | AU 1996-75034 | 19961121 |
| ZA 9610582 | A | 19980617 | ZA 1996-10582 | 19961217 |
| PRIORITY APPLN. INFO.: | | | US 1995-8844P | 19951219 |
| | | | WO 1996-IB1280 | 19961121 |

AB Calcium, magnesium, lidocaine and benzathine salts of 2-oxindole-1-carboxamides are useful for the treatment of joint disease by intra-articular administration. Example compds. are tenidap calcium and benzathine salts and their 5-fluoro analogs. Example formulations for these compds. are given.

MSTR 1

G5 = 7



G8 = imidazolyl
DER: or pharmaceutically acceptable salts
MPL: claim 1

L7 ANSWER 65 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:343718 MARPAT
TITLE: Preparation of 16-substituted-6-aza-steroid 5α-reductase inhibitors
INVENTOR(S): Aster, Susan D.; Graham, Donald W.; von Langen, Derek J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9714418 | A1 | 19970424 | WO 1996-US16347 | 19961015 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2233084 | AA | 19970424 | CA 1996-2233084 | 19961015 |
| AU 9674416 | A1 | 19970507 | AU 1996-74416 | 19961015 |
| AU 704933 | B2 | 19990506 | | |
| EP 862436 | A1 | 19980909 | EP 1996-936405 | 19961015 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 11513684 | T2 | 19991124 | JP 1996-515894 | 19961015 |
| PRIORITY APPLN. INFO.: | | | US 1995-5636P | 19951019 |
| | | | WO 1996-US16347 | 19961015 |

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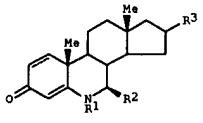
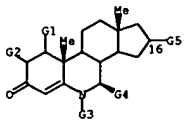


I

AB Title compds. I [R1, R2 = H, alkyl; R3 = H, alkyl, CN, F, OH, XR4; R4 = (un)substituted alkyl, alkenyl, aryl, heteroaryl; X = O, SOn, CO, O2C, NHCO, O2CNH, NHCONH, CH2O; n = 0-2] are inhibitors of 5α-reductase (no data), and are useful for the treatment of hyperandrogenic disorders such as acne vulgaris, seborrhea, female hirsutism, male pattern baldness, prostatic carcinoma, prostatitis and benign prostatic hyperplasia. I [R1 = Me, R2 = H, R3 = β-OH, the 1,2-bond is single] was prepared from dehydroepiandrosterone in 13 steps.

MSTR 1A

L7 ANSWER 65 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = 29

G7 = imidazolyl
DER: or pharmaceutically acceptable salts or esters
MPL: claim 1

L7 ANSWER 66 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:300834 MARPAT
TITLE: Preparation of 1-substituted-piperidin-4-ylmethyl esters and amides as 5-HT4 antagonists
INVENTOR(S): Cereda, Enzo; Bignotti, Maura; Martino, Vincenzo; Schiavi, Giovanni Battista; Sagrada, Angelo
PATENT ASSIGNEE(S): Boehringer Ingelheim Italia S.P.A., Italy
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9628424 | A1 | 19960919 | WO 1996-EP903 | 19960304 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | | |
| CA 2209904 | AA | 19960919 | CA 1996-2209904 | 19960304 |
| AU 9651010 | A1 | 19961002 | AU 1996-51010 | 19960304 |
| BR 9607347 | A | 19971230 | BR 1996-7347 | 19960304 |
| EP 815080 | A1 | 19980107 | EP 1996-907342 | 19960304 |
| EP 815080 | B1 | 20040616 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| CN 1178524 | A | 19980408 | CN 1996-192500 | 19960304 |
| JP 11501640 | T2 | 19990209 | JP 1996-527233 | 19960304 |
| AT 269304 | E | 20040715 | AT 1996-907342 | 19960304 |
| ES 2224159 | T3 | 20050301 | ES 1996-907342 | 19960304 |
| ZA 9602009 | A | 19970915 | ZA 1996-2009 | 19960313 |
| NO 9704236 | A | 19970912 | NO 1997-4236 | 19970912 |
| US 6002009 | A | 19991214 | US 1998-913425 | 19980114 |
| PRIORITY APPLN. INFO.: | | | IT 1995-MI491 | 19950314 |
| | | | WO 1996-EP903 | 19960304 |

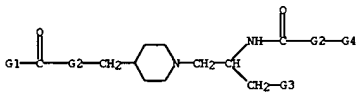
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = (substituted) Ph, carbazol-9-yl, 1H-indol-3-yl; 2-oxo-2,3-dihydro-benzimidazol-1-yl; X = O, NH; Y = alkoxy, aryloxy, aralkyloxy, alkylamino, etc.; R = H, Ph, OH, PhCH2O, etc.] and their salts, useful for the treatment of cardiac arrhythmia, intestinal motility, anxiety, depression, psychosis, cognitive disorders, alc. abuse and migraine, were prepared and formulated. Thus, cyclization of of piperidine derivative (S)-(+)-II with diphosgene in CH2Cl2 afforded the desired product (S)-(+)-III which showed Ki of 1.3x10-9 M against 5-HT4 receptor binding in the pig striatum.

MSTR 1

L7 ANSWER 66 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



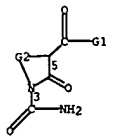
DER: and pharmacologically acceptable acid addition salts
MPL: claim 1

L7 ANSWER 67 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:212698 MARPAT
TITLE: 2-Oxindole-1-carboxamide pharmaceutical agents for the treatment of Alzheimer's disease
INVENTOR(S): Loose, Leland D.; Lombardino, Joseph G.; Weiner, Ethan S.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5545656 | A | 19960813 | US 1995-417178 | 19950405 |
| CA 2217513 | AA | 19961010 | CA 1995-2217513 | 19950508 |
| CA 2217513 | C | 20020205 | | |
| WO 9631209 | A1 | 19961010 | WO 1995-IB334 | 19950508 |
| W: CA, FI, JP, MX, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 818999 | A1 | 19980121 | EP 1995-915985 | 19950508 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| JP 10511978 | T2 | 19981117 | JP 1995-530133 | 19950508 |
| CN 1136921 | A | 19961204 | CN 1996-102993 | 19960328 |
| AU 9650532 | A1 | 19961017 | AU 1996-50532 | 19960404 |
| AU 700932 | B2 | 19990114 | | |
| ZA 9602715 | A | 19971006 | ZA 1996-2715 | 19960404 |
| KR 179315 | B1 | 19990320 | KR 1996-10121 | 19960404 |
| NZ 286331 | A | 20000825 | NZ 1996-286331 | 19960404 |
| PRIORITY APPLN. INFO.: | | | US 1995-417178 | 19950405 |
| | | | WO 1995-IB334 | 19950508 |

AB Certain 3-substituted 2-oxindole-1-carboxamides and their pharmaceutically acceptable base salts (Markush structure given) are useful for the treatment or prevention of Alzheimer's disease in mammals, including humans. The IC50 of tenidap to inhibit the production of prostaglandin D2 (a cyclooxygenase pathway) by RBL-1 cells and the release of interleukin-1β by human monocytes isolated from Ficoll-Hypaque centrifugation of heparinized blood was 40μM. The composition are administered orally or parenterally.

MSTR 1



G2 = o-C6H4 (SO (1-2) G3)
G20 = imidazolyl (SO (1) G17)
DER: or pharmaceutically acceptable salts or solvates

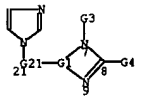
L7 ANSWER 67 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 68 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:151190 MARPAT
TITLE: Benzimidazoles as inhibitors of calcitriol metabolism
INVENTOR(S): Vanden Bossche, Hugo Florent Adolf; Willemsens, Gustaaf Henri Maria; De Coster, Roland
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9619991 | A1 | 19960704 | WO 1995-EP5172 | 19951221 |
| W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9644361 | A1 | 19960719 | AU 1996-44361 | 19951221 |
| EP 800391 | A1 | 19971015 | EP 1995-943236 | 19951221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| JP 10511653 | T2 | 19981110 | JP 1995-520221 | 19951221 |
| PRIORITY APPLN. INFO.: | | | EP 1994-203774 | 19941220 |
| | | | WO 1995-EP5172 | 19951221 |

AB The invention relates to the use of a (1H-imidazol-1-yl)methyl-1H-benzimidazole, a pharmaceutically acceptable addition salt or a stereochem. isomeric form thereof, for the manufacture of a medicament for treating a pathol. condition which is beneficially influenced by inhibiting the metabolic degradation of calcitriol, e.g. keratinization and psoriasis. Examples of pharmaceutical formulations of benzimidazoles alone or in combination with calcitriol or a prodrug thereof are given.

MSTR 1




G1 = 3-21 4-7 5-9


G5 = F

L7 ANSWER 68 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G7 = furyl (SO (1-) G5)
G13 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
STE: or stereochemical isomers

L7 ANSWER 69 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:142275 MARPAT
TITLE: Substituted 4-biarylbutyric or 5-biarylpentanoic acids and derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene; Benz, Guenter Hans Heinz Herbert; Brittelli, David Ross; Bullock, William Harrison; Combs, Kerry Jeanne; Dixon, Brian Richard; Schneider, Stephan; Wood, Jill Elizabeth; Vanzandt, Michael Christopher; et al.
PATENT ASSIGNEE(S): Bayer A.-G., USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

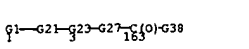
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9615096 | A1 | 19960523 | WO 1995-US14002 | 19951109 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2201863 | AA | 19960523 | CA 1995-2201863 | 19951109 |
| AU 9641975 | A1 | 19960606 | AU 1996-41975 | 19951109 |
| AU 702317 | B2 | 19990218 | | |
| EP 790974 | A1 | 19970827 | EP 1995-940572 | 19951109 |
| EP 790974 | B1 | 20020814 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| BR 9509686 | A | 19970930 | BR 1995-9686 | 19951109 |
| CN 1163604 | A | 19971029 | CN 1995-196209 | 19951109 |
| CN 1121376 | B | 20030917 | | |
| JP 10509146 | T2 | 19980908 | JP 1995-516097 | 19951109 |
| HU 78083 | A2 | 19990830 | HU 1998-233 | 19951109 |
| RU 2159761 | C2 | 20001127 | RU 1997-110108 | 19951109 |
| EE 3435 | B1 | 20010615 | EE 1997-210 | 19951109 |
| PL 183549 | B1 | 20020628 | PL 1995-320285 | 19951109 |
| AT 222230 | E | 20020815 | AT 1995-940572 | 19951109 |
| PT 790974 | T | 20021129 | PT 1995-940572 | 19951109 |
| ES 2181803 | T3 | 20030301 | ES 1995-940572 | 19951109 |
| ZA 9509647 | A | 19970814 | ZA 1995-9647 | 19951114 |
| FI 9702062 | A | 19970714 | FI 1997-2062 | 19970514 |
| NO 9702220 | A | 19970714 | NO 1997-2220 | 19970514 |
| NO 309523 | B1 | 20010212 | | |
| US 5874473 | A | 19990223 | US 1997-864666 | 19970528 |
| US 5886024 | A | 19990323 | US 1997-865325 | 19970528 |
| US 5854277 | A | 19981229 | US 1997-865639 | 19970530 |
| US 5859047 | A | 19990112 | US 1997-866798 | 19970530 |
| US 5861427 | A | 19990119 | US 1997-866679 | 19970530 |
| US 5861428 | A | 19990119 | US 1997-866680 | 19970530 |
| US 5886043 | A | 19990323 | US 1997-866778 | 19970530 |
| PRIORITY APPLN. INFO.: | | | US 1994-339846 | 19941115 |
| | | | US 1995-462729 | 19950605 |
| | | | US 1995-463490 | 19950605 |
| | | | US 1995-463580 | 19950605 |
| | | | US 1995-463794 | 19950605 |
| | | | US 1995-464253 | 19950605 |

L7 ANSWER 69 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

US 1995-465626 19950605
WO 1995-US14002 19951109

AB Matrix metalloprotease inhibitors Tx-A-B-D-E-G [Tx = substituent such as halo, C1-C10 alkyl, or cyanoalkenyl; x = 0, 1, 2; A, B = aromatic or heteroarom. ring; D = CO, CH(OH), CH2, C:NOH, C(S); E = substituted carbon chain; G = PO3H2, CO2H, CO2NH2, etc.] and their pharmaceutically acceptable salts were prepared Thus, (S)-γ-oxo-4'-(pentyloxy)-α-(3-phenylpropyl)-[1,1'-biphenyl]-4-butanoic acid (86) was prepared via alkylation of di-Et (3-phenylpropyl)malonate with 2,4'-dibromoacetophenone, followed by saponification-monodecarboxylation, reaction with 4-methoxybenzeneboronic acid, Me ether cleavage, and O-pentylation. The synthesized compds. (444) were assayed for inhibition of MMP-3, MMP-9, and MMP-2. Using compds. such as 86, the number of tumor metastases was decreased between 38 and 49% as compared to the control. The title compds. were also assayed for inhibition of cartilage lesions in a guinea pig model of osteoarthritis.

MSTR 1A

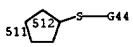


G21 = 100-1 98-3

G22 = 90

G23 = 159

G24 = O
G27 = 511-3 512-163



DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 69 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 70 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 125:10484 MARPAT
TITLE: Distamycin A analogs as antitumor or antiviral agents
INVENTOR(S): Beria, Italo; Pesenti, Enrico; Capolongo, Laura; Mongelli, Nicola; Baraldi, Piergiovanni
PATENT ASSIGNEE(S): Pharmacia S.P.A., Italy
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9605196 | A1 | 19960222 | WO 1995-EP2814 | 19950718 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2172629 | AA | 19960222 | CA 1995-2172629 | 19950718 |
| AU 9531136 | A1 | 19960307 | AU 1995-31136 | 19950718 |
| AU 689623 | B2 | 19980402 | | |
| EP 722446 | A1 | 19960724 | EP 1995-926927 | 19950718 |
| EP 722446 | B1 | 20021211 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, NL, PT, SE | | | | |
| CN 1131946 | A | 19960925 | CN 1995-190742 | 19950718 |
| JP 09504039 | T2 | 19970422 | JP 1995-506945 | 19950718 |
| HU 76267 | A2 | 19970728 | HU 1996-1218 | 19950718 |
| AT 229524 | E | 20021215 | AT 1995-926927 | 19950718 |
| ES 2188666 | T3 | 20030701 | ES 1995-926927 | 19950718 |
| ZA 9506590 | A | 19960318 | ZA 1995-6590 | 19950807 |
| US 5753629 | A | 19980519 | US 1996-612836 | 19960318 |
| NO 9601377 | A | 19960530 | NO 1996-1377 | 19960403 |
| FI 9601506 | A | 19960605 | FI 1996-1506 | 19960403 |

PRIORITY APPLN. INFO.: GB 1994-16005 19940808
WO 1995-EP2814 19950718

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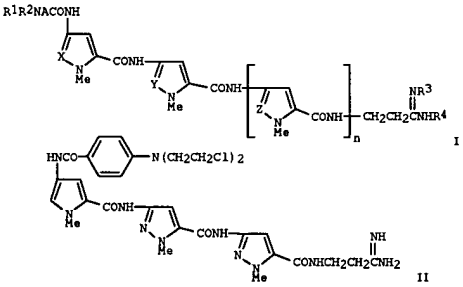
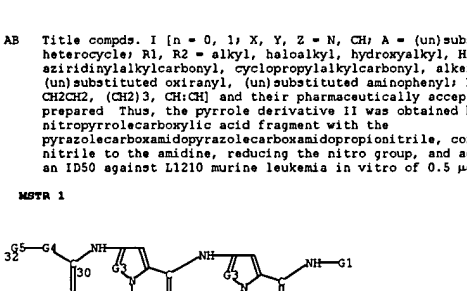
L7 ANSWER 70 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I



II

AB Title compds. I [n = 0, 1; X, Y, Z = N, CH; A = (un)substituted 5-membered heterocycle; R1, R2 = alkyl, haloalkyl, hydroxyalkyl, H, aziridinylalkylcarbonyl, cyclopropylalkylcarbonyl, alkenyl, haloalkenyl, (un)substituted oxiranyl, (un)substituted aminophenyl; R3, R4 = H; R3R4 = CH2CH2, (CH2)3, CH:CH] and their pharmaceutically acceptable salt were prepared Thus, the pyrrole derivative II was obtained by treating the nitropyrrolecarboxylic acid fragment with the pyrazolecarboxamidopyrazolecarboxamidopropionitrile, converting the nitrile to the amidine, reducing the nitro group, and acylating. II had an ID50 against L1210 murine leukemia in vitro of 0.5 μg/mL.

MSTR 1

DER: or pharmaceutically acceptable salts
MPL: claim 1

L7 ANSWER 71 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:220522 MARPAT
TITLE: Pharmaceutical compositions for the treatment of myocardial reperfusion injury and myocardial stunning
INVENTOR(S): Kitsis, Elizabeth A.
PATENT ASSIGNEE(S): Pfizer inc., USA
SOURCE: Can. Pat. Appl., 15 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CA 2143544 | AA | 19950903 | CA 1995-2143544 | 19950228 |
| EP 679396 | A1 | 19951102 | EP 1995-301069 | 19950220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| FI 9500951 | A | 19950903 | FI 1995-951 | 19950301 |
| AU 9513550 | A1 | 19950907 | AU 1995-13550 | 19950301 |
| JP 07267859 | A2 | 19951017 | JP 1995-65294 | 19950301 |
| CN 1112919 | A | 19951206 | CN 1995-100026 | 19950301 |
| HU 71896 | A2 | 19960228 | HU 1995-636 | 19950301 |
| ZA 9501679 | A | 19960902 | ZA 1995-1679 | 19950301 |
| PRIORITY APPLN. INFO.: | | | US 1994-204844 | 19940302 |

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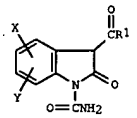


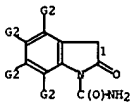
I

AB Certain 3-substituted 2-oxindole-1-carboxamides (I; X = H, halogen, alkyl, alkoxy, alkylthio, CF3, alkylsulfonyl, nitro, Ph, alkanoyl, benzoyl, thenoyl, alkanamido, benzamido or dialkylsulfamoyl; Y = H, halogen, alkyl, cycloalkyl, alkoxy, alkylthio, CF3, or X and Y together with carbon atoms to which they are attached, form a ring; R1 = alkyl, cycloalkyl, cycloalkenyl, substituted Ph, substituted phenoxyalkyl, thiophenoxyalkyl, naphthyl) and their pharmaceutically acceptable base salts are useful for the treatment or prevention of ischemia induced myocardial injury and cytokine mediated myocardial injury in mammals, including humans. The composition can be administered as oral, topical, parenteral, transdermal dosage forms (no data).

MSTR 1

G1—C(O)-G9

L7 ANSWER 71 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G1 = 1



G15 = imidazolyl (SO (1) G11)
DER: or pharmaceutically acceptable salts or solvates
MPL: claim 1

L7 ANSWER 72 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:175826 MARPAT
TITLE: Preparation of 2-indolyldisulfides and analogs as protein tyrosine kinase inhibitors and antitumor agents
INVENTOR(S): Dobrusin, Ellen M.; Showalter, Howard D. H.; Denny, William A.; Palmer, Brian D.; Rewcastle, Gordon W.; Tercel, Moana; Thompson, Andrew M.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 53 pp. Cont.-in-part of U.S. Ser. No. 926, 015, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5464861 | A | 19951107 | US 1993-94792 | 19930809 |
| HU 71553 | A2 | 19951228 | HU 1995-341 | 19930802 |
| CZ 283965 | B6 | 19980715 | CZ 1995-288 | 19930802 |
| NZ 255194 | A | 20000128 | NZ 1993-255194 | 19930802 |
| US 5556874 | A | 19960917 | US 1995-438616 | 19950510 |
| PRIORITY APPLN. INFO.: | | | US 1992-926015 | 19920806 |
| | | | US 1993-94792 | 19930809 |

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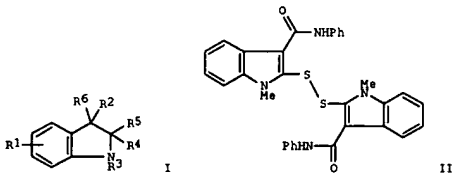
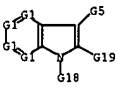


I II

AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, etc.; R2 = (acyl)alkyl, acyl, CH:CHCO2H, etc.; R3 = H, alkyl, CH2Ph; R4 = SH, SnR, SeH, SenR, etc.; R = H, alkyl, (hetero)aryl, I in which R4 = bond, etc.; R4R5 = S, Se; R5R6 = bond; R6 = H; n = 1-3] were prepared 2Hus, 1-methyl-2-indolinone was treated with P2S5 and the product condensed with PhNCO to give, after oxidation, title compound II which had IC50 of 3-4μM against growth factor mediated mitogenesis in vitro.

MSTR 1

L7 ANSWER 72 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G15 = C(O)
G16 = imidazolyl (SO (1) G17)
G18 = CH2Ph
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: also incorporates broader disclosure

L7 ANSWER 73 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:313774 MARPAT
TITLE: Preparation of bicyclic heterocycles as neurokinin A antagonists
INVENTOR(S): Miller, Scott Carson
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9515961 | A1 | 19950615 | WO 1994-GB2646 | 19941202 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN | | | | |
| RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| TW 393470 | B | 20000611 | TW 1994-83107481 | 19940816 |
| CA 2175701 | AA | 19950615 | CA 1994-2175701 | 19941202 |
| AU 9511158 | A1 | 19950627 | AU 1995-11158 | 19941202 |
| EP 733051 | A1 | 19960925 | EP 1995-902223 | 19941202 |
| EP 733051 | B1 | 19990915 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5602138 | A | 19970211 | US 1994-349117 | 19941202 |
| JP 09506103 | T2 | 19970617 | JP 1994-516028 | 19941202 |
| AT 184601 | E | 19991015 | AT 1995-902223 | 19941202 |
| ES 2138181 | T3 | 20000101 | ES 1995-902223 | 19941202 |
| JP 3498849 | B2 | 20040223 | JP 1995-516028 | 19941202 |
| US 5977135 | A | 19991102 | US 1998-89019 | 19980602 |

PRIORITY APPLN. INFO.: GB 1993-25074 19931207; US 1994-349117 19941202; WO 1994-GB2646 19941202; US 1996-694044 19960808

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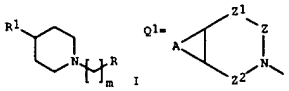



AB Title compds. [I; R = e.g. CQQaCH2NR3R4; R1 = bicyclic heterocycle Q1; A = E1:E2E3:E4; E1-E4 = CH; 1 of E1-E4 = N and the others = CH; Q,R3 = H, alkyl; Qa = (hetero)aryl; R4 = alkanoyl, alkoxycarbonyl, etc.; Z = CH2, CO, etc.; Z1 = bond, CH2CH2, CH:CH, NH, etc.; Z2 = bond, CH2, CH:CH, N:CH, etc.; m = 2-3] were prepared as neurokinin A antagonists (no data). Thus, (S)-N-[2-(3,4-dichlorophenyl)-4-oxobutyl]-N-methylbenzamide was reductively condensed with 4-(1-oxoisoindolin-2-yl)piperidine (preparation each

L7 ANSWER 73 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
given) to give (S)-N-[2-(3,4-dichlorophenyl)-4-[4-(1-oxoisoindolin-2-yl)piperidino]butyl]-N-methylbenzamide.

MSTR 1

G1—G51

G18 = (2-3) CH2
G33 = (0-3) CH2
G34 = C(O)
G35 = 225-134 228-269 225-136


G37 = 272-268 273-271


G38 = imidazolyl
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: also incorporates claim 10

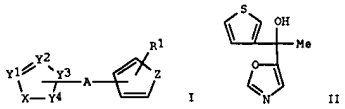
L7 ANSWER 74 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:169608 MARPAT
TITLE: Novel 1-(heteroazolyl)-1-(heterocyclyl)alkanes and their use as neuroprotective agents
INVENTOR(S): Boar, Bernard Robin; Cross, Alan John; Gray, Duncan Alastair; Green, Alfred Richard
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

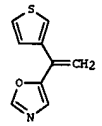
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9501979 | A1 | 19950119 | WO 1994-SE663 | 19940705 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| TW 402603 | B | 20000821 | TW 1994-83105714 | 19940623 |
| ZA 9404569 | A | 19950215 | ZA 1994-4569 | 19940624 |
| IL 110157 | A1 | 20000131 | IL 1994-110157 | 19940629 |
| CA 2164856 | AA | 19950119 | CA 1994-2164856 | 19940705 |
| AU 9471977 | A1 | 19950206 | AU 1994-71977 | 19940705 |
| AU 691506 | B2 | 19980521 | | |
| EP 707584 | A1 | 19960424 | EP 1994-921147 | 19940705 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1126994 | A | 19960717 | CN 1994-192713 | 19940705 |
| BR 9406923 | A | 19960730 | BR 1994-6923 | 19940705 |
| JP 08512312 | T2 | 19961224 | JP 1994-503998 | 19940705 |
| HU 75097 | A2 | 19970428 | HU 1995-3744 | 19940705 |
| RU 2124011 | C1 | 19981227 | RU 1996-103659 | 19940705 |
| PL 176471 | B1 | 19990630 | PL 1994-312417 | 19940705 |
| US 5731335 | A | 19980324 | US 1995-379506 | 19950306 |
| US 5607956 | A | 19970304 | US 1995-459847 | 19950602 |
| NO 9600028 | A | 19960227 | NO 1996-28 | 19960104 |
| FI 9600076 | A | 19960108 | FI 1996-76 | 19960108 |

PRIORITY APPLN. INFO.: SE 1993-2332 19930706; WO 1994-SE663 19940705; US 1995-379506 19950306

GI

L7 ANSWER 74 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)




AB The title compds. 1-(heteroazolyl)-1-(heteroaryl)alkanes, -alkanols and -alkenes I (Y1-Y4X form nitrogen heterocyclic ring; A = methine group, alkenediyl, etc.; Z = oxygen, sulfur, selenium, etc.) were disclosed as neuroprotective agents. Such neuroprotective agents are useful for the treatment of disorders characterized by processes that lead to neuronal cell death or dysfunction. Claimed example compds. are 1-(3-furanyl)-1-(4-methyl-5-oxazolyl)ethanol (II) and 1-(3-furanyl)-1-(4-methyl-5-oxazolyl)ethene (III).

MSTR 1

G1—G11—G7

G1 = 7


G2 = 9


G6 = N / 13


G7 = 31

L7 ANSWER 74 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G8 = alkylcarbonyl<(1-6)>
G9 = 29

G11 = C(O)
DER: and pharmaceutically acceptable acid addition salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 6
STE: or geometric and optical isomers and racemates

L7 ANSWER 75 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:83220 MARPAT
TITLE: Spirocycloalkyl-substituted azetidinones useful as hypocholesterolemic agents
INVENTOR(S): Dugar, Sundeep; Clader, John W.; Burnett, Duane A.; Browne, Margaret E.; Davis, Harry R.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9417038 | A1 | 19940804 | WO 1994-US421 | 19940119 |
| W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| LT 3595 | B | 19951227 | LT 1994-1764 | 19940113 |
| ZA 9400386 | A | 19940719 | ZA 1994-386 | 19940119 |
| CA 2154257 | AA | 19940804 | CA 1994-2154257 | 19940119 |
| CA 2154257 | C | 19990525 | | |
| AU 9460872 | A1 | 19940815 | AU 1994-60872 | 19940119 |
| AU 683048 | B2 | 19971030 | | |
| EP 681569 | A1 | 19951115 | EP 1994-907200 | 19940119 |
| EP 681569 | B1 | 20010321 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 08501110 | T2 | 19960206 | JP 1994-517083 | 19940119 |
| CN 1118163 | A | 19960306 | CN 1994-191245 | 19940119 |
| HU 72592 | A2 | 19960528 | HU 1995-2194 | 19940119 |
| AT 199899 | E | 20010415 | AT 1994-907200 | 19940119 |
| ES 2155849 | T3 | 20010601 | ES 1994-907200 | 19940119 |
| PT 681569 | T | 20010629 | PT 1994-907200 | 19940119 |
| FI 9503497 | A | 19950720 | FI 1995-3497 | 19950720 |
| NO 9502884 | A | 19950920 | NO 1995-2884 | 19950720 |
| GR 3035963 | T3 | 20010831 | GR 2001-400814 | 20010531 |
| PRIORITY APPLN. INFO.: | | | US 1993-6439 | 19930121 |
| | | | WO 1994-US421 | 19940119 |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Spirocyclic azetidinones I (m,n = integer; R4, R20, R21 = substituent) were disclosed. I were claimed as antiatherosclerotics, anticholesteremics, HMG CoA reductase inhibitors and squalene epoxidase inhibitors. Claimed example compds. are 7-(4-chlorophenyl)-1,3-bis(4-methoxyphenyl)-2-azaspiro[3.5]nonan-1-one (II) and 1,6-diphenyl-2-(4-methoxyphenyl)-2-azaspiro[3.3]heptan-1-one (III).

MSTR 1A

L7 ANSWER 75 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = 71-1 71-3 73-6

G5 = loweralkyl
G6 = (1-3) 86

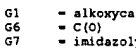
G12 = imidazolyl
G13 = C(O)
DER: or pharmaceutically acceptable salts and N-oxides
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 76 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:25683 MARPAT
TITLE: Epibatidine and derivatives thereof as cholinergic receptor agonists and antagonists
INVENTOR(S): Qian, Changgeng; Li, Tongchuan; Biftu, Tesfaye; Shen, Tsung-Ying
PATENT ASSIGNEE(S): Cytomed, Inc., USA; University of Virginia
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

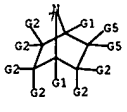
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9507078 | A1 | 19950316 | WO 1994-US10121 | 19940909 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2171440 | AA | 19950316 | CA 1994-2171440 | 19940909 |
| AU 9476845 | A1 | 19950327 | AU 1994-76845 | 19940909 |
| AU 701227 | B2 | 19990121 | | |
| EP 717623 | A1 | 19960626 | EP 1994-927378 | 19940909 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1137753 | A | 19961211 | CN 1994-193830 | 19940909 |
| HU 74949 | A2 | 19970328 | HU 1996-589 | 19940909 |
| JP 11501282 | T2 | 19990202 | JP 1994-508790 | 19940909 |
| US 6177451 | B1 | 20010123 | US 1995-476611 | 19950607 |
| US 6077846 | A | 20000620 | US 1996-612964 | 19961217 |
| PRIORITY APPLN. INFO.: | | | US 1993-119697 | 19930910 |
| | | | WO 1994-US10121 | 19940909 |

AB Epibatidine and its derivs. are useful as cholinergic receptor agonists and antagonists. For example, ED50 values of epibatidine isomers for analgesic effects were 7-9 μg/kg.

MSTR 1



G1 = alkoxycarbonyl
G6 = C(O)
G7 = imidazolyl
G10 = 7



DER: and pharmaceutically acceptable derivatives and salts
MPL: claim 1

L7 ANSWER 76 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 77 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 121:246331 MARPAT
TITLE: Cycloalkylamine bis-aryl squalene synthase inhibitors
INVENTOR(S): Ullrich, John W.; Kiesow, Terence J.; Neuenschwander, Kent W.; Scotese, Anthony C.; Learn, Keith S.; Dankulich, William P.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9414435 | A1 | 19940707 | WO 1993-US12638 | 19931229 |
| W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5451596 | A | 19950919 | US 1992-997818 | 19921229 |
| CA 2152912 | AA | 19940707 | CA 1993-2152912 | 19931229 |
| AU 9460806 | A1 | 19940719 | AU 1994-60806 | 19931229 |
| EP 676960 | A1 | 19951018 | EP 1994-907116 | 19931229 |
| EP 676960 | B1 | 20020522 | | |
| R: DE, FR, GB, IT | | | | |
| JP 08505847 | T2 | 19960625 | JP 1993-515486 | 19931229 |

PRIORITY APPLN. INFO.: US 1992-997818 19921229
WO 1993-US12638 19931229

AB This invention relates to a class of novel polycyclic compds. containing a cycloalkyl ring having substituted thereon a primary amine and which is further linked or bridged to two mono- and/or bicycli rings and which reduces levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. E.g., trans-2-[4-(benzoxazol-2-yl)benzyloxy]cyclohexylamine acetate was prepared This and a number of other compds. were tested for squalene synthase inhibiting and anticholesteremic activity.

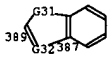
MSTR 1A

G1 = 127-7 130-329

L7 ANSWER 77 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G4 = 13-6 14-8

G13 = C(O)
G23 = CH2
G30 = 389



G31 = 414



G32 = N
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
STE: and stereoisomers, enantiomers, diastereomers, and racemates

L7 ANSWER 78 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 121:134155 MARPAT
TITLE: [(Isoxazolyl)alkyl]piperazines and [(Isoxazolyl)alkyl]piperidines
INVENTOR(S): Varasi, Mario; Pevarello, Paolo; Amici, Raffaella; Carfagna, Nicola; Bonsignori, Alberto
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 4401159 | A1 | 19940721 | DE 1994-4401159 | 19940117 |
| GB 2274282 | A1 | 19940720 | GB 1993-950 | 19930119 |
| GB 2274282 | B2 | 19960814 | | |
| JP 06247965 | A2 | 19940906 | JP 1994-3580 | 19940118 |

PRIORITY APPLN. INFO.: GB 1993-950 19930119

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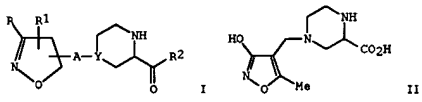
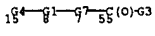


I II

AB The title compds. I (R = OH, carboxy, halo, etc.; R1 = H, alkyl, halo, etc.; R2 = OH, alkoxy, amino, etc.; A = alkylene; Y = nitrogen, carbon) were disclosed. A claimed example compound is 4-[(3-hydroxy-5-methyl-4-isoxazolyl)methyl]-2-piperazinecarboxylic acid (II). I are excitatory amino acid antagonists and are thus useful for the treatment of epilepsy and other CNS disorders (no data).

MSTR 1



DER: and pharmaceutically acceptable salts
MPL: claim 1

L7 ANSWER 79 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:83050 MARPAT
TITLE: Preparation of 2-indolinethiones and related disulfides and seleno-analogs as protein tyrosine kinase inhibitors and antitumor agents
INVENTOR(S): Dobrusin, Ellen Myra; Showalter, Howard Daniel Hollis; Denny, William Alexander; Palmer, Brian Desmond; Rewcastle, Gordon William; Tercel, Moana; Thompson, Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9403427 | A1 | 19940217 | WO 1993-US7272 | 19930802 |
| W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, RU, SK | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 654024 | A1 | 19950524 | EP 1993-918594 | 19930802 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| HU 71553 | A2 | 19951228 | HU 1995-341 | 19930802 |
| JP 08503450 | T2 | 19960416 | JP 1993-519671 | 19930802 |
| AU 672224 | B2 | 19960926 | AU 1993-47994 | 19930802 |
| AU 9347994 | A1 | 19940303 | | |
| CZ 283965 | B6 | 19980715 | CZ 1995-288 | 19930802 |
| NZ 255194 | A | 20000128 | NZ 1993-255194 | 19930802 |
| RU 2155187 | C2 | 20000827 | RU 1995-108332 | 19930802 |
| SK 283413 | B6 | 20030701 | SK 1995-135 | 19930802 |
| PRIORITY APPLN. INFO.: | | | US 1992-926015 | 19920806 |
| | | | WO 1993-US7272 | 19930802 |

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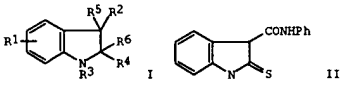
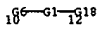


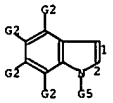

AB Title compds. [I; R1 = H, halo, OH, alkyl, alkoxy, CO2H, etc.; 1 or 2 CR1 = N; R2 = (acyl)alkyl, CH:CHCO2H, alkylcarbabamoyl, acyl, etc.; R3 = H, alkyl, CH2Ph; R4 = ZH, ZnX, ZnQ; R5 = H and R4R6 = S or Se; R5R6 = bond; Q = I in which R4 = Zn and R5R6 = bond; X = H, alkyl, CH2Ph, (hetero)aryl; Z = S, Se; n = 0-3] were prepared Thus, 1-methyl-2-indolinone was treated with P2S5 and the product treated with NaH and PhNCO to give indolinethionecarboxamide II which had IC50 of 2μM against epidermal growth factor mediated mitogenisis.

MSTR 1

L7 ANSWER 79 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)




G1 = 1-10 2-12

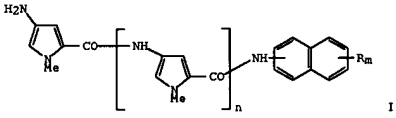



G5 = CH2Ph
G16 = C(O)
G17 = imidazolyl (SO (1-) G13)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 35

L7 ANSWER 80 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:9154 MARPAT
TITLE: Preparation of 4-aminopyrrole-2-carboxamide derivatives as antiviral agents
INVENTOR(S): Mongelli, Nicola; Biasoli, Giovanni; Grandi, Maria; Ciomei, Marina; Geroni, Maria Cristina
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

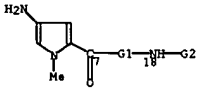
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 583161 | A2 | 19940216 | EP 1993-306338 | 19930811 |
| EP 583161 | A3 | 19940720 | | |
| R: DE, FR, GB, IT | | | | |
| JP 06184098 | A2 | 19940705 | JP 1993-199741 | 19930811 |
| US 5596105 | A | 19970121 | US 1995-372872 | 19950113 |
| PRIORITY APPLN. INFO.: | | | GB 1992-16962 | 19920811 |
| | | | US 1993-97015 | 19930727 |

GI




AB Title compds. I (R = sulfonic acid residue; m = 1-3; n = 0-3), or a pharmaceutically acceptable salt thereof, which are active as antiviral agents, in particular against HIV, (no data), are prepared To trisodium 8-[(amino-N-methyl-4,2-pyrrolecarbonylimino)-1,3,5-naphthalenetrisulfonate].3HCl in water and 1N NaOH, and NaOAc was added 4-nitro-N-methyl-2-pyrrolecarbonyl chloride to give trisodium 8-[(nitro-N-methyl-4,2-pyrrolecarbonylimino)-N-methyl-4,2-pyrrolecarbonylimino-1,3,5-naphthalenetrisulfonate) which in water was reduced over a Pd/C catalyst to the title compound trisodium 8-[(amino-N-methyl-4,2-pyrrolecarbonylimino)-N-methyl-4,2-pyrrolecarbonylimino-1,3,5-naphtalenetrisulfonate].HCl (II). Pharmaceutical formulations comprising II are given.

MSTR 1

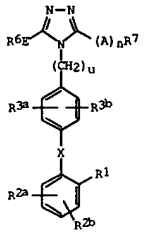



L7 ANSWER 80 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
DER: or pharmaceutically acceptable salts
MPL: claim 1

L7 ANSWER 81 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:45976 MARPAT
TITLE: Substituted triazoles as neurotensin antagonists
INVENTOR(S): Chakravarty, Prasun K.; Ransom, Richard W.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 68 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 2263635 | A1 | 19930804 | GB 1993-937 | 19930119 |
| PRIORITY APPLN. INFO.: | | | US 1992-826710 | 19920128 |

GI

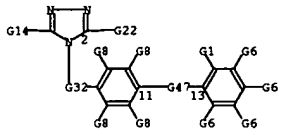


I

AB Substituted triazoles I [ R1 = NHSO2R23, NHSO2NHCOR23, SO2NHR23 (R23 = (hetero)aryl, C3-7 cycloalkyl, (substituted) C1-4 alkyl), etc.; R2a, R2b = H, Cl, Br, I, F, CF3, C1-4 alkyl, C1-4 alkoxy; R3a = H, Cl, Br, I, F, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-4 alkyl; R3b = H, Cl, Br, I, F, CF3, C1-6 alkyl, C1-6 alkoxy, C3-6 cycloalkyl; R6 = aryl, (substituted) C1-6 alkyl, etc.; R7 = (substituted) C1-10 alkyl, (substituted) Ph, etc.; X = CO, O, S, etc.; E = single bond, CHOH, CO, etc.; A = S(O)p (p = 0-2), NR13 (R13 = H, C1-4 acyl, Ph, benzyl, etc.), etc.; n = 0, 1; u = 1, 2], as disclosed in EP-0409332-A2, are neurotensin antagonists useful for the treatment of certain central nervous system and gastrointestinal disorders. Representative compds. of the invention were tested with a neurotensin binding assay using human frontal cortex.

MSTR 1A

L7 ANSWER 81 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

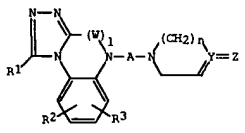


G29 = C(O)
G31 = imidazolyl (SO)
G59 = alkylene (SO)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

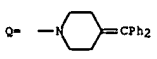
L7 ANSWER 82 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:271212 MARPAT
TITLE: Preparation of tricyclic triazole derivatives as antiinflammatory, antiallergic, and anti-platelet activating factor (PAF) drugs
INVENTOR(S): Shibayama, Katsuhiro; Makino, Tetsuya; Imaoka, Takayuki; Katou, Tetsuya; Kaneko, Masayuki
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

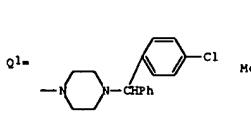
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9218505 | A1 | 19921029 | WO 1992-JP523 | 19920423 |
| W: AU, CA, FI, JP, KR, NO, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| CA 2086112 | AA | 19921024 | CA 1992-2086112 | 19920423 |
| AU 9216670 | A1 | 19921117 | AU 1992-16670 | 19920423 |
| AU 654548 | B2 | 19941110 | | |
| CN 1066849 | A | 19921209 | CN 1992-103991 | 19920423 |
| CN 1033327 | B | 19961120 | | |
| EP 536419 | A1 | 19930414 | EP 1992-909493 | 19920423 |
| EP 536419 | B1 | 19991013 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE | | | | |
| AT 185566 | E | 19991015 | AT 1992-909493 | 19920423 |
| ES 2139595 | T3 | 20000216 | ES 1992-909493 | 19920423 |
| NO 9204976 | A | 19930219 | NO 1992-4976 | 19921222 |
| NO 300775 | B1 | 19970721 | | |
| US 5683998 | A | 19971104 | US 1995-432714 | 19950502 |
| GR 3032019 | T3 | 20000331 | GR 1999-403113 | 19991130 |
| PRIORITY APPLN. INFO.: | | | JP 1991-91961 | 19910423 |
| | | | JP 1991-148804 | 19910620 |
| | | | JP 1991-327541 | 19911211 |
| | | | JP 1992-5741 | 19920116 |
| | | | WO 1992-JP523 | 19920423 |
| | | | US 1993-960417 | 19930223 |

GI



I



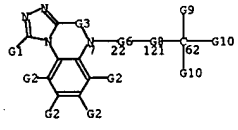


II

L7 ANSWER 82 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. [I; R1 = H, alkyl, C3-5 cycloalkyl; R2, R3 = H, alkyl, alkoxy, halo; W = CO, CR4R5; R4, R5 = H, alkyl; A = C1-5 linear or branched (un)saturated alkylene optionally containing heteroatoms; l = 0-2; n = 1-3; Y = N, C; Z = C(B)Ar1Ar2, CAr1Ar2, OCHAr1Ar2, fused aromatic ring; B = H, HO, MeO; Ar1, Ar2 = H, (un)substituted aryl] are prepared Thus, cyclocondensation of 4-(3-ethoxypropyl)-2-chloroquinoxaline (preparation given) with acetohydrazide in BuOH under reflux and bromination of the the resulting [1,2,4]triazolo[4,3-a]quinoxaline derivative (II; R6 = OEt) with 48% HBr followed by condensation with 4-(diphenylmethylene)piperidine and NaCO3 in DMF at 60-70° gave a title compound (II; R6 = Q). I at 50 mg/kg p.o. inhibited 44-75% passive cutaneous anaphylaxis and 19-87% histamine-induced allergy in rats. I showed IC50 of 0.013-5.4 μg/mL for inhibiting PAF-induced rabbit's blood platelet aggregation. A tablet formulation containing II (R6 = Q1) was given. A total of 121 I were prepared

MSTR 1A



DER: or pharmaceutically acceptable salts
MPL: claim 1

L7 ANSWER 83 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:249963 MARPAT
TITLE: 3,6-disubstituted pyridazine derivative blood platelet aggregation inhibitors
INVENTOR(S): Iwase, Norimichi; Morinaka, Yasuhiro; Tamao, Yoshikuni; Kanayama, Toshiji; Yamada, Kumi
PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
SOURCE: Eur. Pat. Appl., 115 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

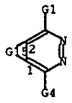
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 534443 | A1 | 19930331 | EP 1992-116413 | 19920924 |
| EP 534443 | B1 | 19981230 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 06135938 | A2 | 19940517 | JP 1992-239545 | 19920908 |
| JP 2730421 | B2 | 19980325 | | |
| CA 2078699 | AA | 19930327 | CA 1992-2078699 | 19920921 |
| AT 175200 | E | 19990115 | AT 1992-116413 | 19920924 |
| ES 2128333 | T3 | 19990516 | ES 1992-116413 | 19920924 |
| US 5324727 | A | 19940628 | US 1992-950947 | 19920925 |
| US 5462941 | A | 19951031 | US 1994-215426 | 19940321 |

PRIORITY APPLN. INFO.: JP 1991-247647 19910926
JP 1991-335277 19911218
JP 1992-239545 19920908
US 1992-950947 19920925

GI For diagram(s), see printed CA Issue.

AB The title compds. I [A = (un)substituted alkyl, C5-7 cycloalkyl, Ph, thienyl, furyl, thiazolyl, etc.; B = (un)substituted (cyclic moiety-substituted methyl)amino groups; ring C = benzene ring], useful for the treatment and prevention of ischemic tissue diseases caused by blood platelet aggregation, are prepared Thus, phthalic anhydride was reacted with cyclohexylmagnesium chloride, producing 2-(cyclohexanoyl)benzoic acid, which was sequentially reacted with NH2NH2, POCl3, and D-α-phenylethylamine, producing the R enantiomer of phthalazine II, which demonstrated 97.1% rat blood platelet agglutination in-vitro inhibitory ratio [(i.e., [(agglutination degree when only a solvent was added (TC) - agglutination degree when a II medicinal solution was added)/TC]+100] at 3 + 10-7 M.

MSTR 1A




DER: and pharmaceutically acceptable acid addition salts

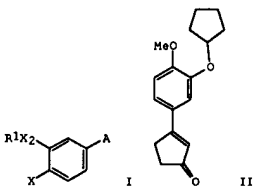
L7 ANSWER 83 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MPL: claim 1
NTE: substitution is restricted
STE: or optical antipodes

L7 ANSWER 84 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:138876 MARPAT
TITLE: Cyclopentane and cyclopentene derivatives with antiallergic antiinflammatory and tumor necrosis factor-inhibiting activity
INVENTOR(S): Christensen, Siegfried Benjamin; Levy, Mark Alan
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9307111 | A1 | 19930415 | WO 1992-US8609 | 19921001 |
| W: AU, CA, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| AU 9228071 | A1 | 19930503 | AU 1992-28071 | 19921001 |
| JP 07500108 | T2 | 19950105 | JP 1992-507201 | 19921001 |
| EP 642489 | A1 | 19950315 | EP 1992-921752 | 19921001 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| JP 3333510 | B2 | 20021015 | JP 1993-507201 | 19921001 |
| ZA 9207591 | A | 19930413 | ZA 1992-7591 | 19921002 |

PRIORITY APPLN. INFO.: US 1991-771062 19911002
WO 1992-US8609 19921001

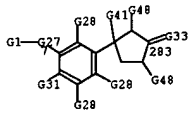
GI




AB The title compds., i.e. phenylcyclopentene and phenylcyclopentane derivs., I (R1 = alkyl, cycloalkyl, etc.; X2 = O or (un)substituted amino; X = fluoro-(un)substituted OMe, OEt, SMe, SEt, halo, NO2, etc.; A = cyclopentyl, cyclopentenyl) are claimed. Inflammation inhibitors and antiallergics and agents which are tumor necrosis factor inhibitors are claimed. Treatment of 4-bromo-2-(cyclopentyloxy)-1-methoxybenzene with butyllithium/THF and 3-isopropoxy-2-cyclopentenone gave 3-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-cyclopenten-1-one (II). The biol. activity of these compds. was not reported.

MSTR 1A

L7 ANSWER 84 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

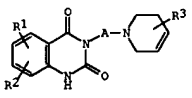



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 85 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:95546 MARPAT
TITLE: Preparation of quinazoline derivatives as dopamine receptor agonists, 5-HT receptor antagonists, or α1 receptor antagonists
INVENTOR(S): Shimazaki, Norihiko; Itoh, Yoshikuni; Yatabe, Takumi; Yamazaki, Hitoshi; Hashimoto, Masashi; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9305035 | A1 | 19930318 | WO 1992-JP1117 | 19920902 |
| W: AU, CA, HU, JP, KR, RU | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| US 5296487 | A | 19940322 | US 1991-755747 | 19910906 |
| AU 9225009 | A1 | 19930405 | AU 1992-25009 | 19920902 |
| EP 602125 | A1 | 19940622 | EP 1992-918711 | 19920902 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE | | | | |
| JP 06510538 | T2 | 19941124 | JP 1992-505101 | 19920902 |
| PRIORITY APPLN. INFO.: | | | US 1991-755747 | 19910906 |
| | | | GB 1990-14 | 19900102 |
| | | | GB 1990-25065 | 19901119 |
| | | | US 1990-627417 | 19901214 |
| | | | WO 1992-JP1117 | 19920902 |

GI

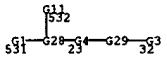


I

AB Title compds. [I; R1 = substituted heterocyclyl-lower alkyl; R2 = H, halo, (protected) NH2, NHOH, lower alkyl, (protected) HO, SO2NH2, (protected) CO2H, SH, (un)substituted heterocyclylcarbonyl or heterocyclyl-lower alkyl, lower alkylthio, (protected) lower hydroxyalkyl; R3 = (un)substituted aryl; A = lower alkylene], having effects on the peripheral or central nervous system and useful for the treatment of hypertension, cardiovascular disorders (e.g. angina pectoris, and myocardial infarction), Parkinsonism, are prepared Thus, a mixture of 0.25 g 2-amino-4-(4-methylpiperazin-1-ylmethyl)-N-[4-(4-phenyl-1,2,3,6-tetrahydropyridin-1-yl)butyl]benzamide, 0.45 g carbonyldiimidazole, and 10 mL dry THF were stirred under reflux for 14 h to give 0.16 g 7-(4-methylpiperazin-1-ylmethyl)-3-[4-(4-phenyl-1,2,3,6-tetrahydropyridin-1-yl)butyl]-1,2,3,4-tetrahydroquinazoline-2,4-dione (II). II showed IC50

L7 ANSWER 85 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
of 2.1 + 10-9 M for inhibiting binding of (2-N-[2,3(n)-3H]-propyl-N-(2-thiofuranyl)-2'-ethylamino)-5-hydroxy-1,2,3,4-tetrahydronaphthalene to dopamine receptor (DA2) prepns. and 3.5 + 10-8 M for inhibiting [ethylene-3H]-ketanserin binding to serotonin 2 (5-HT2) receptor prepns.

MSTR 1

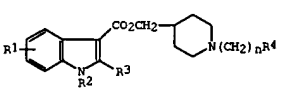


DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 86 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:6871 MARPAT
TITLE: Preparation of 3-(piperidinylmethoxycarbonyl)indoles as serotonin antagonists
INVENTOR(S): Oxford, Alexander William; Whitehead, John William Frank; Knight, John
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 501322 | A1 | 19920902 | EP 1992-102900 | 19920221 |
| EP 501322 | B1 | 19960904 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | |
| CA 2105179 | AA | 19920826 | CA 1992-2105179 | 19920221 |
| WO 9214727 | A1 | 19920903 | WO 1992-EP371 | 19920221 |
| W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US | | | | |
| RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG | | | | |
| AU 9212094 | A1 | 19920915 | AU 1992-12094 | 19920221 |
| AU 645402 | B2 | 19940113 | | |
| JP 06505238 | T2 | 19940616 | JP 1992-504653 | 19920221 |
| HU 65486 | A2 | 19940628 | HU 1993-2414 | 19920221 |
| AT 142205 | E | 19960915 | AT 1992-102900 | 19920221 |
| ES 2091963 | T3 | 19961116 | ES 1992-102900 | 19920221 |
| IL 101042 | A1 | 19960618 | IL 1992-101042 | 19920224 |
| CN 1083059 | A | 19940302 | CN 1992-110050 | 19920824 |
| NO 9303015 | A | 19930824 | NO 1993-3015 | 19930824 |
| PRIORITY APPLN. INFO.: | | | GB 1991-3862 | 19910225 |
| | | | WO 1992-EP371 | 19920221 |

GI

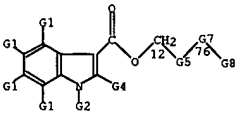


I

AB Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy, HO; R2 = H, C1-3 alkyl, C2-5-alkenyl-CH2, C2-5-alkynyl-CH2; R3 = H, C1-6 alkyl, C1-6 alkoxy; R4 = HO, NC, C1-6 alkoxy, substituted aminosulfonyl, etc.; n = 2,3), and salts and oxides thereof, useful as 5-HT4 antagonists (no data), are prepared 4-Piperidinylmethyl-1-methyl-1H-indole-3-carboxylate (preparation given) in MeCN was stirred with (Me2CH)2EtN followed by MeSO2NHCH2CH2Br, and the mixture refluxed for 2 h to give I (R1 = R3 = H, R2 = Me, R4 = MeSO2NH, n = 2). Pharmaceutical formulations containing I are given.

MSTR 1

L7 ANSWER 86 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



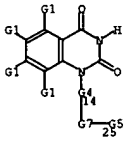
DER: and pharmaceutically acceptable salts and solvates
MPL: claim 1

L7 ANSWER 87 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:131217 MARPAT
TITLE: Preparation of 1-(heterocyclylalkyl)quinazoline-2,4-diones as dopamine agonists useful as cardiovascular agents
INVENTOR(S): Shimazaki, Norihiko; Yamazaki, Hitoshi; Yatabe, Takumi; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 481342 | A1 | 19920422 | EP 1991-117203 | 19911009 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 9107670 | A | 19920624 | ZA 1991-7670 | 19910925 |
| AU 9185800 | A1 | 19920416 | AU 1991-85800 | 19911011 |
| AU 648110 | B2 | 19940414 | | |
| FI 9104826 | A | 19920416 | FI 1991-4826 | 19911014 |
| NO 9104036 | A | 19920421 | NO 1991-4036 | 19911014 |
| CN 1060841 | A | 19920506 | CN 1991-109920 | 19911014 |
| HU 59390 | A2 | 19920528 | HU 1991-3243 | 19911014 |
| JP 04261170 | A2 | 19920917 | JP 1991-332996 | 19911015 |
| JP 3164119 | B2 | 20010508 | | |
| US 5304560 | A | 19940419 | US 1993-103315 | 19930809 |
| PRIORITY APPLN. INFO.: | | | GB 1990-22306 | 19901015 |
| | | | GB 1991-18337 | 19910827 |
| | | | US 1991-770871 | 19911004 |

GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R1, R2 = H, OH, halo, NO2, (protected) amino, hydroxyamino, alkoxy, alkyl, sulfamoyl, (protected) carboxy, carbamoyl, SH, alkylthio, imidazolyl; R3 = (substituted) aryl; A = alkylene; X = atoms to complete a heterocycle], were prepared Thus, title compound II, prepared by treatment of the corresponding 7-methoxy derivative with 47% HBr in refluxing HOAc, at 1 mg/kg orally in rats reduced blood pressure by 19%. I are said to be 5HT2- and α1 receptor antagonists.

MSTR 1A



L7 ANSWER 87 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
DER: or pharmaceutically acceptable salts
MPL: claim 1

L7 ANSWER 88 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:111638 MARPAT
TITLE: Preparation of piperidinyl benzimidazolyl ketones and related compounds as antihistaminics
INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas Marcell; Sommen, Francois Maria
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9206086 | A1 | 19920416 | WO 1991-EP1782 | 19910917 |
| W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US | | | | |
| RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG | | | | |
| AU 9185067 | A1 | 19920428 | AU 1991-85067 | 19910917 |
| PRIORITY APPLN. INFO.: | | | US 1990-590716 | 19901001 |
| | | | WO 1991-EP1782 | 19910917 |

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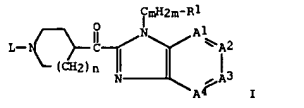
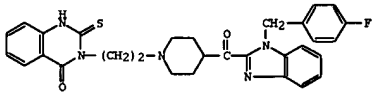


I

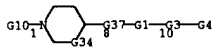


II

AB The title compds. [I; A1:A2A3:A4 = (un)substituted CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, etc.; m = 1-4; n = 0-2; R1 = aryl, DR2; D = O, S; R2 = (un)substituted C1-6 alkyl; L = H, C1-12 alkyl(carbonyl), C3-6 cycloalkyl, (aryl)C3-6 alkenyl, Alk-R3, Alk-YR4, etc.; R3 = cyano, aryl, heterocyclyl; R4 = H, aryl, heterocyclyl, (un)substituted C1-6 alkyl; Alk = C1-6 alkylene; Y = O, S, NR7; R7 = H, C1-6 alkyl(carbonyl)] or their stereoisomers and pharmaceutically acceptable acid addition salts, effective antihistaminics (no data) useful in the treatment of, e.g., allergic rhinitis, conjunctivitis, asthma, and chronic urticaria, were prepared A solution of 2-MeO2CC6H4NCS in THF was added dropwise to a stirred mixture of 1-(2-aminoethyl)-4-piperidinyl 1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl ketone (preparation given) and THF and the whole stirred for 2 h at the ambient temperature to give title compound II.

MSTR 1A

L7 ANSWER 88 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

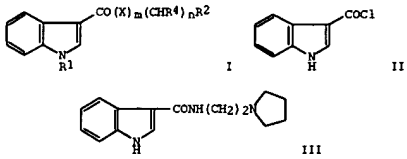


DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 8
STE: or isomeric forms

L7 ANSWER 89 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 116:41299 MARPAT
TITLE: Preparation and formulation of indolecarboxamide derivatives as central nervous agents
INVENTOR(S): Yanai, Makoto; Sato, Hiroaki; Kikuchi, Haruhiko; Hagiwara, Koichiro
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 03161470 | A2 | 19910711 | JP 1989-297498 | 19891117 |

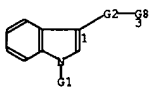
PRIORITY APPLN. INFO.: JP 1989-297498 19891117
GI



I II III

AB Indole derivs. [I; R1 = H, C1-6 alkyl; R2 = morpholino, methylpiperazinyl, benzylpiperazinyl, (fused) heterocyclyl, etc.; X = NR3 wherein R3 = H, C1-6 alkyl; R4 = H, C1-6 m = 0, 1; n = 0-5], effective 5-HT3 receptor antagonists in treating central nervous disorders, are prepared A solution of N-(2-aminoethyl)pyrrolidine in THF was added to a solution of acid chloride II in THF with stirring at 0° and kept overnight at room temperature to give amide III, which showed 80% inhibition against 5HT3 receptor at 1 mg/kg i.v. in rats. Also prepared and tested were 31 addnl. I. Granular, syrup, and injection formulations were given.

MSTR 1



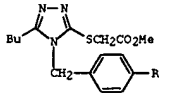
L7 ANSWER 89 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G1 = alkyl<(1-6)>
G2 = C(O)
G8 = 51

GGA = 83 <BD (ALL) SE, RC (1)>
DER: and pharmacologically acceptable acid addition salts, and quaternary ammonium and N-oxide derivatives
MPL: claims

L7 ANSWER 90 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:29337 MARPAT
TITLE: Preparation and formulation of substituted triazoles as angiotensin II antagonists
INVENTOR(S): Ashton, Wallace T.; Maccoss, Malcolm; Chang, Linda L.; Chakravarty, Prasun K.; Cantone, Christine L.; Greenlee, William J.; Patchett, Arthur A.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 98 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 409332 | A2 | 19910123 | EP 1990-201908 | 19900713 |
| EP 409332 | A3 | 19910807 | | |
| R: CH, DE, FR, GB, IT, LI, NL | | | | |
| CA 2021255 | AA | 19910120 | CA 1990-2021255 | 19900716 |
| JP 03128365 | A2 | 19910531 | JP 1990-189595 | 19900719 |
| US 5336778 | A | 19940809 | US 1992-902353 | 19920622 |

PRIORITY APPLN. INFO.: US 1989-382138 19890719
US 1990-503352 19900402
GI

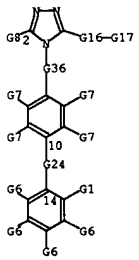


I

AB Triazole derivs., useful as angiotensin II antagonists in treating high blood pressure and congestive heart failure, are prepared Reduction of nitro compound I (R = NO2) (preparation given) with SnCl2.2H2O in HCl gave 25% amine I (R = NH2), which was treated with phthalic anhydride in THF at room temperature to give 77% amide I (R = 2-carboxybenzamido). Also prepared were 71 addnl. triazole derivs. Capsule, tablet, injection, etc., formulations were given. Receptor assay using rabbit aorta membrane and bovine adrenal cortex showed IC50 < 50 μM.

MSTR 1A

L7 ANSWER 90 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

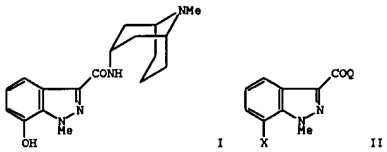


DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 91 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:228912 MARPAT
TITLE: Preparation of 9-azabicyclo[3.3.1]nonane derivatives as 5-HT3 receptor antagonists
INVENTOR(S): Marr, Helen Elizabeth; Haddock, Rodney Eric; Ramsay, Thomas Weir
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9101316 | A1 | 19910207 | WO 1990-GB1110 | 19900719 |
| W: JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| PRIORITY APPLN. INFO.: | | | GB 1989-16682 | 19890721 |

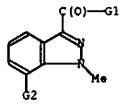
GI




AB 7-Hydroxy-1-methyl-N-(9-methyl-9-azabicyclo[3.3.1]non-3-endo-yl-(1H)-indazole-3-carboxamide (I) was prepared via condensation of indazole derivative
II (Q = Cl, Br, C1-4 alkoxy, phenoxy, etc., X = OH or protected OH) with 3-endo-amino-9-methyl-9-azabicyclo[3.3.1]nonane (III). Thus, 1.0 g II (Q = OH, X = OCH2Ph, preparation given) was dissolved in CH2Cl2 and 0.123 mL SOCl2
were added and the mixture refluxed 2 h under N2, then cooled to room temperature
Then, a solution of 720 mg III in CH2Cl2 was added slowly keeping the temperature
below 20°. The resulting suspension was stirred 18 h at room temperature, followed by work up and subsequent deprotection to afford I·HCl. I·HCl had ED50 of 0.52 ± 0.13 μg/kg against 5-HT induced von Bezold-Jarisch reflex in rats.

MSTR 1

L7 ANSWER 91 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)




G1 = imidazolyl
DER: and pharmaceutically acceptable salt
MPL: claim 4

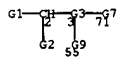
L7 ANSWER 92 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 113:126599 MARPAT
TITLE: Manufacture and use of benzimidazoles and benzotriazoles for the treatment of epithelial disorders
INVENTOR(S): Van Wauwe, Jean P. F.; Raeymaekers, Alfons H. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 371559 | A2 | 19900606 | EP 1989-203001 | 19891127 |
| EP 371559 | A3 | 19920408 | | |
| EP 371559 | B1 | 19941012 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 5157046 | A | 19921020 | US 1989-434962 | 19891113 |
| CA 2002859 | AA | 19900529 | CA 1989-2002859 | 19891114 |
| CA 2002859 | C | 19981229 | | |
| EP 609963 | A1 | 19940810 | EP 1994-200665 | 19891127 |
| EP 609963 | B1 | 20020306 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ES 2065369 | T3 | 19950216 | ES 1989-203001 | 19891127 |
| AT 213941 | E | 20020315 | AT 1994-200665 | 19891127 |
| ES 2173902 | T3 | 20021101 | ES 1994-200665 | 19891127 |
| DK 8905995 | A | 19900530 | DK 1989-5995 | 19891128 |
| DK 175793 | B1 | 20050221 | | |
| AU 8945648 | A1 | 19900607 | AU 1989-45648 | 19891128 |
| AU 623454 | B2 | 19920514 | | |
| ZA 8909074 | A | 19910731 | ZA 1989-9074 | 19891128 |
| IL 92487 | A1 | 19941229 | IL 1989-92487 | 19891128 |
| JP 03020273 | A2 | 19910129 | JP 1989-307792 | 19891129 |
| JP 2752200 | B2 | 19980518 | | |
| AU 9210716 | A1 | 19920319 | AU 1992-10716 | 19920131 |
| AU 630579 | B2 | 19921029 | | |
| US 5342957 | A | 19940830 | US 1992-927571 | 19920810 |
| US 5420147 | A | 19950530 | US 1994-233491 | 19940426 |
| US 5500435 | A | 19960319 | US 1995-409369 | 19950323 |
| JP 10095782 | A2 | 19980414 | JP 1997-137444 | 19970513 |
| JP 2912596 | B2 | 19990628 | | |
| DK 175785 | B1 | 20050221 | | |
| PRIORITY APPLN. INFO.: | | | DK 2004-1449 | 20040923 |
| | | | US 1988-277152 | 19881129 |
| | | | US 1989-434962 | 19891113 |
| | | | EP 1989-203001 | 19891127 |
| | | | DK 1989-5995 | 19891128 |
| | | | JP 1989-307792 | 19891129 |
| | | | US 1992-927571 | 19920810 |
| | | | US 1994-233491 | 19940426 |

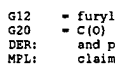
GI For diagram(s), see printed CA Issue.
AB Derivs. of benzimidazoles (I) and benzotriazoles (II) are manufactured and prepared in compns. as adjuncts of retinoids in treating epithelial disorders (acne, psoriasis, carcinoma, etc.) which suppress the metabolism of
endogenous or exogenously administered retinoids. The compds. can be synthesized by several processes which include a reaction of an intermediate of formula III with a reagent of formula IV in a suitable solvent (ether, 1,4-dioxane, THF, etc.). The substituted groups of I-IV

L7 ANSWER 92 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
are defined as : A1A2A3A4 = CH:NCH:CH, CH:NCH:N, CH:NN:CH; R = H, alkyl; R1 = H, cycloalkyl, etc.; R2 = H, alkoxy, etc.; A = CR3:N or C(:X)NR4; R3 = H, halo, etc.; R4 = H, alkyl, etc.; X = O, S; R5 = H, alkenyl, etc.; R6 = H, (ar)alkyl, etc.; R8 = H, NH2, halo, etc. Thus, 5-[(1H-imidazol-1-yl)phenylmethyl]-1-methyl-1H-benzimidazole was prepd. from 1-methyl-α-phenyl-1H-benzimidazole-5-methanol and 1,1'-carbonylbis(1H-imidazole). Vaginal keratinization inhibition by the synthesized compd. in the presence of a small dose of retinoic acid was demonstrated on ovariectomized rats injected with sesame oil contg. estradiol undecylate. The synthesized benzimidazoles were also prepd. as oral drops, soln., capsules, tablets, etc.

MSTR 1A




G3 = 35-2 28-71 29-55 / 34-2 28-71 29-55 / 33-2 28-71 29-55 / 32-2 28-71 29-55

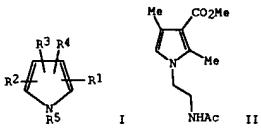



G12 = furyl (SO (1-) X)
G20 = C(O)
DER: and pharmaceutically acceptable acid addition salt
MPL: claim 1
STE: or stereochemical isomers

L7 ANSWER 93 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 113:6150 MARPAT
TITLE: Preparation of aminoalkylpyrroles as CNS agents
INVENTOR(S): Zoller, Gerhard; Beyerle, Rudi; Schindler, Ursula
PATENT ASSIGNEE(S): Cassella A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3820190 | A1 | 19891221 | DE 1988-3820190 | 19880614 |
| US 4966901 | A | 19901030 | US 1989-355993 | 19890523 |
| DK 8902616 | A | 19900115 | DK 1989-2616 | 19890529 |
| EP 346683 | A1 | 19891220 | EP 1989-109808 | 19890531 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| ZA 8904422 | A | 19900228 | ZA 1989-4422 | 19890612 |
| JP 02036167 | A2 | 19900206 | JP 1989-148536 | 19890613 |
| HU 50762 | A2 | 19900328 | HU 1989-3065 | 19890613 |
| HU 206675 | B | 19921228 | | |

PRIORITY APPLN. INFO.: DE 1988-3820190 19880614
OTHER SOURCE(S): CASREACT 113:6150
GI




AB The title compds. [I; R1, R2 = H, alkyl; R3 = H, alkyl, (modified) carboxylate; R4 = (modified) carboxylate, NO2, alkylsulfinyl, alkylsulfonyl, (substituted) phenylsulfinyl, phenylsulfonyl, PhCO, alkylcarbonyl, F3CCO, (NC)2CH, heterocyclylcarbonyl; R5 = aminoalkyl, acylaminoalkyl], were prepared as nootropics (no data). Thus, MeCOCH2CO2Me was added slowly to AcNHCH2CH2NH2. ClCH2COMe was added and the mixture was refluxed 20 h to give 44% pyrrolecarboxylate II.

MSTR 1A

L7 ANSWER 93 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)


G5 = 93

$_{93}^{C(O)-G16}$

G6 = 19 / 93

$_{19}^{C(O)-G18}$ $_{93}^{C(O)-G16}$

G18 = imidazolyl
DER: or pharmaceutically acceptable salts or acid addition salts
MPL: claim 1

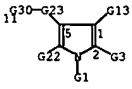
L7 ANSWER 94 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 111:115023 MARPAT
TITLE: Pyrrole derivatives as cardiotonics, process for their preparation and pharmaceutical compositions containing them
INVENTOR(S): Dixon, John; Baxter, Andrew John Gilby; Manners, Carol Nancy; Teague, Simon
PATENT ASSIGNEE(S): Fisons PLC, UK
SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 300688 | A1 | 19890125 | EP 1988-306464 | 19880714 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| DK 8804049 | A | 19890122 | DK 1988-4049 | 19880720 |
| JP 01061455 | A2 | 19890308 | JP 1988-179286 | 19880720 |

PRIORITY APPLN. INFO.: GB 1987-17193 19870721
GB 1987-30116 19871224

GI For diagram(s), see printed CA Issue.
AB Title compds. I [R1 = R11, NHR11, NHCO2R11 wherein R11 = H, C1-6 alkyl; R2, R5 = OH, halo, NO2, etc.; G = (CH2)zWy in which W = CO, SOq, etc.; q = 0-2; z = 0-3; y = 0 or 1 (or 2 provided W = CO); up to 2 of the methylene segments in the chain (CH2)z are optionally replaced by NH and one segment is optionally replaced by O, etc.; the chain is optionally unsatd. and optionally substituted by C1-6 alkyl, alkoxy, etc.; A = (substituted) 5- or 6-membered ring or a bicyclic or tricyclic fused ring system; R3 = H, NO2, CN, halo, etc.; several provisos are given], useful as cardiotonics (no data), were prepared A mixture of 2-((4-nitrophenyl)thio)benzoyl chloride, Me 2,5-dimethyl-1H-pyrrole-3-carboxylate, and AlCl3 in CH2Cl2 was stirred at room temperature for 16 h to give Me 2,5-dimethyl-4-(2-((4-nitrophenyl)thio)benzoyl)-1H-pyrrole-3-carboxylate.

MSTR 1A




G3 = Ak<EC (1-6) C, BD (0-) D (0) T> (SO (1-) G4)
G23 = C(O)
G30 = 161

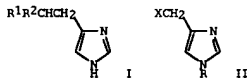

DER: or pharmaceutically acceptable derivatives
MPL: claim 1

L7 ANSWER 94 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
NTE: Ak group in G23 and G25 may be optionally interrupted
NTE: substitution is restricted

L7 ANSWER 95 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 111:78006 MARPAT
TITLE: Preparation of (diarylethyl)imidazole derivatives as antidepressants
INVENTOR(S): Cordi, Alex A.; Gorrisen, Hugo J.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 304910 | A1 | 19890301 | EP 1988-113852 | 19880825 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| US 4882343 | A | 19891121 | US 1987-90890 | 19870828 |
| JP 01071871 | A2 | 19890316 | JP 1988-210908 | 19880826 |

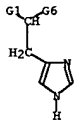
PRIORITY APPLN. INFO.: US 1987-90890 19870828
OTHER SOURCE(S): CASREACT 111:78006
GI



I II

AB The title compds. [I; R1,R2 = (substituted) Ph, heteroaryl], useful as α2-adrenergic receptor blockers and antidepressants, are prepared Tritylation of hydroxymethyl derivative II (R = H, X = OH) followed by chlorination gave II (R = trityl, X = Cl), which was treated with a solution of 4-benzylpyridine, Na, and a few crystals of Fe(NO3)3 in liquid NH3 under N at -70° to give II (R = trityl, X = α-4-pyridylbenzyl) (III). Refluxing III in 90% HOAc gave I (R1 = Ph, R2 = 4-pyridyl), which showed 91% inhibition of α2-receptor binding at 10-7 M, vs. 89% of a reference compound An injection formulation was prepared from 5 mg I and 8 mg NaCl in 1 mL purified H2O.

MSTR 1



L7 ANSWER 95 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

DER: and pharmaceutically acceptable salts
MPL: claim 1
STE: and corresponding optically pure isomers and racemic or non-racemic mixtures

10/802,292

```
=> d his

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L2            1 S L1 SAM
L3           70 S L1 FULL

     FILE 'CA' ENTERED AT 11:15:52 ON 26 MAY 2005
L4            5 S L3

     FILE 'MARPAT' ENTERED AT 11:16:18 ON 26 MAY 2005
L5          155 S L1 FULL
L6          153 S L5/COM
L7           95 S L6 AND PHARM?

=>

---Logging off of STN---


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Executing the logoff script...


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STN INTERNATIONAL LOGOFF AT 11:19:50 ON 26 MAY 2005
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